

Q.P.



Industria y Comercio
SUPERINTENDENCIA

Delegatura de Propiedad Industrial

División de Nuevas Creaciones

PC1

SOLICITUD

PATENTE DE INVENCION

21. EXPEDIENTE No.

05-54387

54. TITULO

6-(2-HALOGENOFENIL) TRIAZOLOPIRIMIDINAS SUSTITUIDAS

51. CLASIFICACION INTERNACIONAL

71. SOLICITANTE

BASK AKTIENGESELLSCHAFT

DOMICILIO

Ludwigshafen, República Federal de Alemania,

74. APODERADO

EMILIO FERRERO

C.C. 438,019 de Usaquén,

22. BOGOTA, D.C.,



Industria y Comercio
SUPERINTENDENCIA

PETITORIO

INDUSTRIA Y COMERCIO
Radicación: 18-07-53 5902223 Folios: 175
Fecha (MD): 2005-06-03 18-07-53
Tramite: 1 811 OFI-PATENT 379 FASE NACI 411 PRESENTA
Dependencia: 2020 DIVISION DE MARCAS (SEEDINQUES)

**FORMULARIO UNICO DE SOLICITUD DE PATENTE
PCT
ENTRADA EN FASE NACIONAL**

SOLICITUD DE:

- 1 ☒ Patente de Invención. ☐ Patente de Modelo de Utilidad.
☐ Capítulo I. ☒ Capítulo II.

2
**SOLICITANTE
(71)**

Nombre: **BASF AKTIENGESELLSCHAFT**
sociedad constituida y existente bajo las
leyes de República Federal de Alemania
Dirección: 67056 Ludwigshafen,
República Federal de Alemania.
Domicilio: Ludwigshafen,
República Federal de Alemania.

IDENTIFICACIÓN

C.C. ☐ NIT ☐
C.E. ☐ Otro ☐
Cual _____
Número _____

3
**REPRESENTANTE
O APODERADO**

Nombre: **EMILIO FERRERO**
Dirección: **Carrera 4ª. No. 72-35**
Teléfono: **347 36 11**
Fax: **211 86 50**
E-mail: **clientes@camyp.com**
Domicilio: **Bogotá, Colombia.**

IDENTIFICACIÓN

C.C. ☒ NIT ☐
C.E. ☐ Otro ☐
Cual _____
Número **438.019** T.P **31.929**

4
**INVENTOR (ES)
(72)**

1. **Jordi TORMO I BLASCO**
Carl-Benz-Str. 10-3,
69514 Laudenbach,
República Federal de Alemania.
- 3- **Bernd MÜLLER**
Stockingerstr. 7,
67227 Frankenthal,
República Federal de Alemania.
- 5- **Wassilios GRAMMENOS**
Alexander-Fleming-Str. 13,
67071 Ludwigshafen,
República Federal de Alemania.
- 7- **Andreas GYPSE**
B 4 4,
68159 Mannheim,
República Federal de Alemania.
- 9- **Peter SCHÄFER**
Romerstr. 1,
67308 Ottersheim,
República Federal de Alemania.
- 11- **Anja SCHWÖGLER**
Heinrich -Lanz-Str. 3,
68165 Mannheim,
República Federal de Alemania.
- 13- **Stigfried STRATHMANN**
Donnersbergstr. 9,
67117 Limburgerhof,
República Federal de Alemania.
- 15- **Rolnhard STIERL**
Jahnstr. 8,
67251 Freinsheim,
República Federal de Alemania

2. **Carsten BLETNER**
Richard-Wagner-Str. 48,
68165 Mannheim,
República Federal de Alemania.
- 4- **Markus GEWEHR**
Goethestr. 21,
56288 Kastellaun,
República Federal de Alemania.
- 6- **Thomas GROTE**
Im Hoehnhausen 18,
67157 Wachenheim,
República Federal de Alemania.
- 8- **Joachim RHEINHEIMER**
Merziger Str. 24,
67063 Ludwigshafen,
República Federal de Alemania.
- 10- **Frank SCHIEWECK**
Lindenweg 4,
67258 Heßheim,
República Federal de Alemania
- 12- **Eberhard AMMERMAN**
Von-Gagern-Str.2,
64646 Heppenheim,
República Federal de Alemania.
- 14- **Ulrich SCHÖFL**
Erlenstr. 8,
68782 Brühl,
República Federal de Alemania.

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PCT (86)Solicitud Internacional No. PCT/EP2003/012276Fecha: 4 de noviembre de 2003Publicación Internacional No. WO 2004/041824 A3Fecha: 21 de mayo de 2004

6

Título (54)**6-(2-HALÓGENOFENIL)TRIAZOLOPIRIMIDINAS**
SUSTITUIDAS

7

Clasificación Internacional (51) C07D 487/04

8

PrioridadSI ☒ NO ☐**(33) País de Origen**EP.**(32) Fecha**7 de noviembre de 2002**(31) Número de Solicitud**02024808.4

9

Comprobante de pago No.: 05-38.858**Fecha: 26 de mayo de 2005**

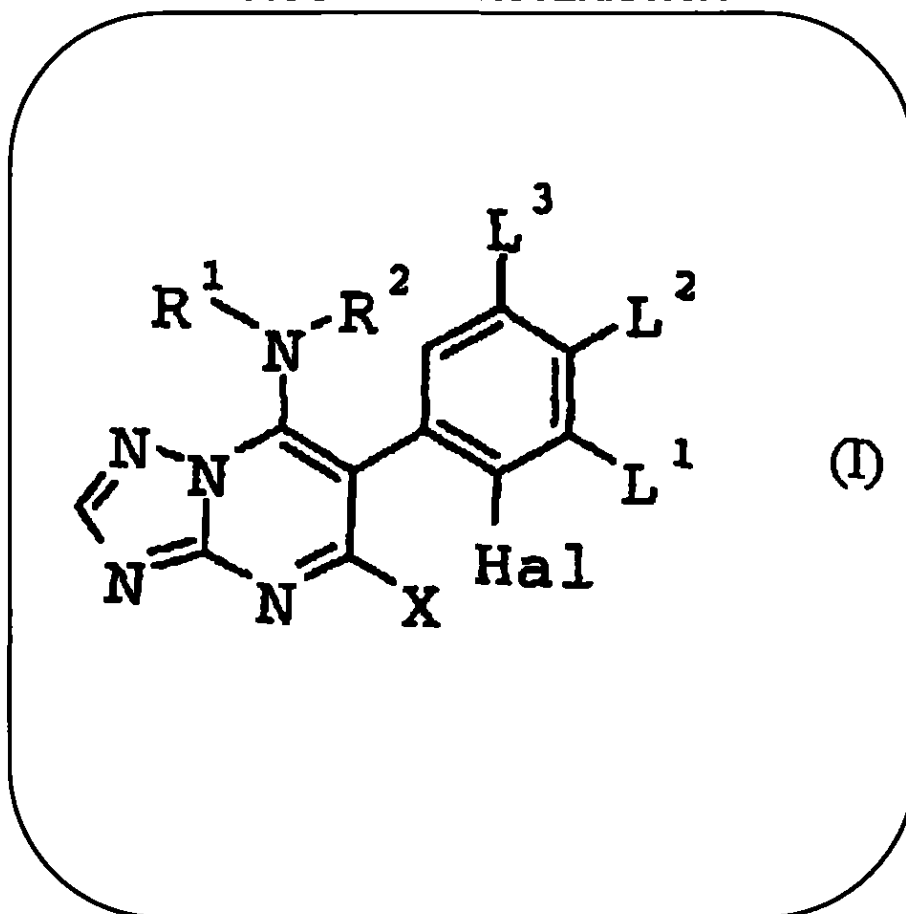
10

Anexos:

- ☒ Comprobante de pago de la tasa de presentación de la solicitud por \$ 443.000.00.
- ☐ Comprobante de pago por reivindicación de prioridad.
- ☒ Documento que acredita la existencia y representación legal cuando el solicitante sea persona jurídica.
- ☒ Poderes, si fuere el caso.
- ☐ Documento de cesión del inventor al solicitante o a su causante.
- ☐ Declaraciones.
- ☒ Copia de la solicitud internacional. (Resumen, descripción, reivindicaciones, dibujos)
- ☒ Traducción de la solicitud Internacional al castellano. (Resumen, descripción, reivindicaciones, dibujos)
- ☒ Copia del examen preliminar efectuado por la IPEA.
- ☐ Traducción del examen preliminar efectuado por la IPEA.
- ☐ De ser el caso, copia del contrato de accaso.
- ☐ De ser el caso, documento que acredite la licencia o autorización de uso de conocimientos tradicionales de las comunidades indígenas.
- ☐ De ser el caso, certificado de depósito del material biológico.
- ☒ Arte final 12x12
- ☐ De ser el caso, información sobre otras solicitudes de patente o títulos obtenidos en el extranjero por el mismo titular o su causante, relacionadas parcial o totalmente con la invención de esta solicitud.
- ☒ Otros: Ver hojas de documentos anexos.

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FIGURA CARACTERÍSTICA .



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Solicito la concesión de la patente,

NOMBRE:

EMILIO FERRERO

FIRMA :

C.C. 438.019 de Usaquén T.P. 31.929

MRE/mm-ld-4101.

REPRESENTACION Y PODER

Yo (nosotros), abajo firmado (s)

BASF Aktiengesellschaft

domiciliado(s) en **Ludwigshafen, República Federal de Alemania**

por el presente nombramos a **GERMAN CAVELIER**, tpa. 833; **GONZALO PERDOMO**, tpa. 831; **ERNESTO CAVELIER**, tpa. 11519; y **EMILIO FERRERO**, tpa. 31929; domiciliados en la Carrera # N° 73-38, Bogotá, Colombia, en obediencia a lo dispuesto en el parágrafo 1° del Artículo 543 del Código de Comercio, al primero como principal y los demás como suplentes, en su orden, como nuestros representantes en todos los asuntos de propiedad industrial con facultad para recibir notificaciones y nombrar apoderados judiciales o extrajudiciales. El primer representante suplente reemplazará al principal en caso de ausencia o impedimento temporal o definitivo de aquél. La misma regla se aplicará cuando el segundo representante suplente haya de reemplazar al primero, o al tercero al segundo. En tales casos, el representante principal, o el primero, o segundo suplente, en su caso, o ambos, declararán su intención de no ejercer la representación mediante declaración autenticada por Notario Público en Colombia, o por Notario u otro Funcionario Público, o Cónsul de Colombia, en el extranjero; y si se tratare de impedimento, con el certificado respectivo. Si alguno de los nombrados faltare definitivamente, el siguiente tomará su lugar. Cuando cese la ausencia o impedimento del representante principal, o de su primero o segundo suplente, en su caso, podrán ejercer la representación, en su orden, uno u otros según el caso, asumiéndola por el solo hecho de ejercerla, cesando en ese momento el ejercicio de la representación por el primero, segundo o tercer suplente en su caso. Además, y bajo las mismas reglas, conferimos poder a los abogados arriba nombrados, para obtener la protección de mi (nuestra) propiedad industrial y contra la competencia desleal, a cuyo efecto autorizo(amos) a los dichos apoderados para que me(nos) representen ante cualesquiera entidades o personas, ejerzan o no jurisdicción, especialmente ante las del orden administrativo, contencioso-administrativo y judicial, en todo lo concerniente a los siguientes asuntos: a) Obtención de patentes de invención, modelos y dibujos industriales; el registro de marcas de fábrica, colectivas, defensivas y de servicios; el depósito de nombres comerciales y enseñas; su renovación, traspaso, cambio de nombre o domicilio, cancelación voluntaria; y el registro de licencias de uso de esos derechos; b) Las acciones de oposición, cancelación, nulidad, medidas cautelares, concesión de licencias y en general los juicios civiles, penales, administrativos o contencioso-administrativos relacionados con estos derechos; acciones y juicios que promovamos o se nos promuevan. Y para que en todos los asuntos arriba determinados, puedan sustituir este mandato, transigir, desistír, cancelar, recibir, renunciar, y ratificar los actos hechos por agentes oficiales.

Dado y firmado hoy **17 de marzo de 1989**

en **D-6700 Ludwigshafen**

BASF Aktiengesellschaft

ppa. Welzel

VERTRETUNG UND VOLLMACHT

Ich (wir) unten Unterscheidender (de)

BASF Aktiengesellschaft

wohnhaft in **Ludwigshafen, República Federal de Alemania**

verleihen durch dieses Schreiben an **GERMAN CAVELIER**, tpa. 833; **GONZALO PERDOMO**, tpa. 831; **ERNESTO CAVELIER**, tpa. 11519; und **EMILIO FERRERO**, tpa. 31929; wohnhaft in der Carrera # N° 73-38, Bogotá, Kolumbien, um die Verfügung des Absatzes 1 im Artikel 543 des Handelsgesetzbuch zu beachten, der erste als Hauptvertreter und die übrigen als Stellvertreter, beziehungsweise, als unsere Vertreter in allen was sich auf das industrielle Eigentum bezieht, berechtigt Meldungen zu empfangen und gerichtliche und aussergerichtliche Bevollmächtigte zu ernennen. Der erste Stellvertreter wird den Hauptvertreter ersetzen wegen zeitweiligen oder definitiven Abwesenheit oder Hindernisses des ersten. Dieselbe Regel wird angewandt werden wenn der zweite Stellvertreter den ersten ersetzen muss, oder der dritte den zweiten. In solchen Fälle der Hauptvertreter, oder der erste oder der zweite Stellvertreter, beziehungsweise, oder beide, werden ihre Absicht aussprechen um nicht die Vertretung auszuüben mittelst Aussage notariell beglaubigt in Kolumbien, oder vor Notar oder vor einem anderen öffentlichen Beamten, oder Kolumbianischen Konsul im Auslande; und wenn es ein Hindernis sei, mittelst der betreffenden Beglaubigung. Wenn einer der Genannten insinfort abwesend wäre, der Folgende wird ihn ersetzen. Im Falle dass die Abwesenheit oder das Hindernis des Hauptvertreters, oder diejenigen seines ersten, zweiten oder dritten Vertreters, beziehungsweise, besonders, einer oder anderen, ordnungsgewiss werden die Vertretung ausüben und sie zu sich nehmen nur auf Grund sie ausüben, mit Aufheben zur Zeit der Ausübung der Vertretung durch den ersten, den zweiten oder den dritten Stellvertreter beziehungsweise.

Ausserdem, und unter diesen Regeln, wir verleihen den genannten Rechtsanwälte die Vollmacht, und um den Schutz meines (unseres) industriellen Eigentums zu erhalten, und gegen den unlauteren Wettbewerb; zu dem Zweck ich (wir) die genannten Bevollmächtigten ernenne(n), damit sie uns vor beliebigen Institutionen oder Personen, die die Rechtsprechung ausüben oder nicht, vor allem vor denen mit Verwaltungs, streitigen Verwaltungs, und juristischem Charakter, in allen was sich auf folgende Angelegenheiten bezieht, zu vertreten: a) Erlangung von Patenten, Modellen und Zeichnungen; Registrierung von Waren-, Spatmarken, Defensive und Servicezeichen; Aufbewahrung von Handelsnamen und Zeichen; ihre Erneuerung und Übertragung, Namen und Wohnsitzänderung, freiwillige Annullierung und die Registrierung von Verträgen; b) Die Oppositionsklagen, Annullierung, Ungültigkeit, Vorsichtmassnahmen, Lizenzen und im allgemeinen die Zivil-, Straf-, Verwaltungs- oder streitigen Verwaltungsprozesse, die mit dem industriellen Eigentum, oder die man uns (uns) mit dem industriellen Eigentum oben bestimmten (unseren) mit dem industriellen Eigentum, regeln, davon bezeugen, den annullieren, empfangen, verzichten, kassieren, kassieren und gerichtliche und aussergerichtliche Bevollmächtigte ernennen, und die Handlungen der öffentlichen Vertreter betreffen.

Unterschiedet heute **17 de marzo de 1989**

in **D-6700 Ludwigshafen**

NOTARIO

ppa. Richters

U.R.Nr. 1407/89

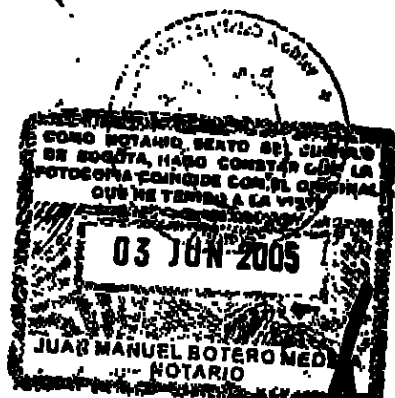
Ich beglaube hiermit die Echtheit der vorst.
eigenhändig vor mir vollzogenen Unterschriften der für
die Firma BASF Aktiengesellschaft Ludwigshafen am Rhein
handelnden Herren:

1. Dr. Günther W e l z e l , Chemiker in
Ludwigshafen am Rhein,
2. Dr. Peter R i c h t e r s , Chemiker in
Ludwigshafen am Rhein.

Die Herren Dr. Welzel und Dr. Richters sind mir persönlich
bekannt.

Aufgrund heutiger Einsichtnahme in das Handelsregister
beim Amtsgericht Ludwigshafen am Rhein HR B 3000 be-
scheine ich, daß die Herren Dr. Welzel und Dr. Richters
als Prokuristen gemeinschaftlich zur Vertretung und
Zeichnung der Firma BASF Aktiengesellschaft mit dem
Sitz in Ludwigshafen am Rhein berechtigt sind.

Ludwigshafen am Rhein, den 17. März 1989



Th. Walter

Th. Walter
Notarassessor
als amtlich bestellter Vertreter
des Notars Dr. Ignaz Kohler

Die Echtheit vorstehender Unterschrift des Notarassessors
Thomas Walter

als amtlich bestellter Vertreter des Notars Justizrat Dr. Kohler
in Ludwigshafen am Rhein und die Echtheit des beigedruckten
Dienststempels werden hiermit bestätigt. Zugleich wird bescheinigt,
daß der Vorgenannte zur Vornahme der Amtshandlung befugt war.

Frankenthal (Pfalz), den einundzwanzigsten März neunzehnhundert-
neunundachtzig

Präsident des Landgerichts

Franz Serfas
(Franz Serfas)



Kostenvermerk: Gebühr 20,-- DM

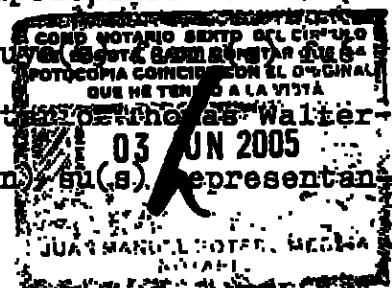
LIZ ARRADA DE HERBER
INSTRUMENTA E INTERESSES
1001
Boletim No. 559 Matrícula



El suscrito Cónsul General de Colombia en Frankfurt
am Main, República Federal de Alemania, vistos los
documentos presentados por los interesados,

C E R T I F I C A:

Que el señor Thomas Walter-----
quien como Notario en Ludwigshafen am Rhein-----
autenticó la(s) firma(s) del(os) signatario(s) del
Poder precedente, ejercía las funciones indicadas y
su firma corresponde a la registrada ante el Tribunal Re-
gional de Frankenthal (Pfalz)-----
que la compañía BASF Aktiengesellschaft-----
es una sociedad constituida de acuerdo con las leyes
de la República Federal de Alemania y cumple su objeto
conforme a las mismas; que el(los) señor(es) Dr. Gunther
WELZEL y el Dr. Peter RICHTERS-----
quien(es) en sus carácter(es) de apoderados-----
----- y cónyuge(s) de la señora Thomas Walter-----
(fueron) autenticada(s) ante el Notario Thomas Walter-----
----- es(son) su(s) representante(s) legal(es) en este país.



El Cónsul General no asume responsabilidad por el con-
tenido del documento.

Esta Certificación se expide en Frankfurt am Main,
el seis de Abril de mil novecientos ochenta y nueve.

Frankfurt a. M 06 APR 1989

M-0077

PAGADO IMPUESTO DE TIMBRE

Don P. 6. de Ley E. S. M. H.



Martha Niño de Stand
Martha Niño de Stand
Cónsul General de Colombia

MINISTERIO DE RELACIONES EXTERIORES

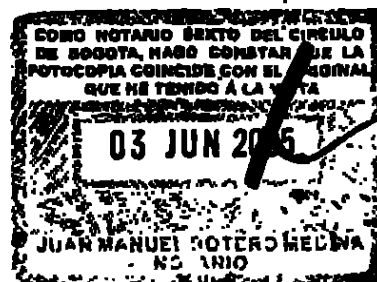
LEGALIZACIONES

Bogotá, 12 ABR. 1989 No. 85464
MASE MINISTERIO

Yo el Sr. Martha Nino
cuyo firma aparece al pie del presente
documento, declaro que en este fecha
las funciones del Poder...

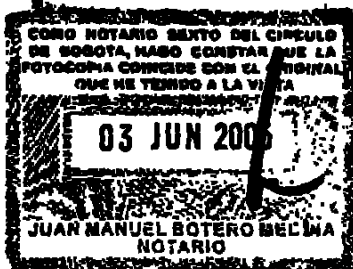
COMO NOTARIO SEYTO DEL C. J. DE BOGOTÁ, HAGO CONSTAR QUE LA FOTOCOPIA COINCIDE CON EL ORIGINAL QUE HE TENIDO A LA VISTA
03 JUN 2005
JUAN MANUEL BOTERO MEDINA
NOTARIO

Michael E. Inocencio Acuña
MICHAEL E. INOCENCIO ACUÑA
Abogado General



Die konsularische Legalisierung ist notwendig.

NOTA PARA EL SEÑOR CONSUL COLOMBIANO: Según los artículos 65 del C. de P. C. y 480 del C. de Co., si el poderdante es una sociedad, el señor Cónsul debe certificar que tuvo a la vista las pruebas de su existencia, que ejerce su objeto social, que quien confiere el poder es su representante y puede otorgar poder, seguidamente autenticar la firma de éste.



TRADUCCION OFICIAL NO. 2056

de un documento escrito en Alemán, el cual para su identificación se sella con el sello de la traductora, lo mismo que la presente.-

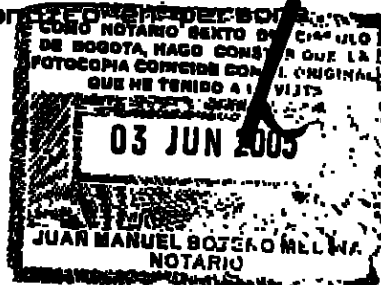
(A continuación del poder conferido a GERMAN CAVELIER, GONZALO PERDOMO, ERNESTO CAVELIER y EMILIO FERRERO, domiciliados en la Cra. 4 No. 72-35, Bogotá, el 17 de marzo de 1989, por la sociedad BASF Aktiengesellschaft, domiciliada en Ludwigshafen, RFA:)

Protocolo notarial No. 1407/89

Certifico la autenticidad de las firmas anteriores puestas ante mí, por los señores:

1. Dr. Gunther W e l z e l , químico, domiciliado en Ludwigshafen am Rhein,
2. Dr. Peter R i c h t e r s , químico, domiciliado en Ludwigshafen am Rhein.

A los doctores Welzel y Richters los comparece el Sr. JUAN MANUEL BOZERO MELIA, como NOTARIO SEXTO DE CÍRCULO DE BOGOTÁ, HAGO CONSTAR QUE LA FOTOCOPIA CONSIDERADA ORIGINAL QUE HE TENIDO A LA VISTA



Certifico, por haber tenido a la vista el registro de comercio HR B 3000, del juzgado de Ludwigshafen, que los doctores Wizel y Richters, en su calidad de apoderados, están facultados para representar conjuntamente y firmar por la sociedad BASF Aktiengesellschaft, con sede en Ludwigshafen.

Ludwigshafen am Rhein, 17 de marzo de 1989

(sello redondo)

Dr. Siegfried Kohler

Fdo.: firma ilegible

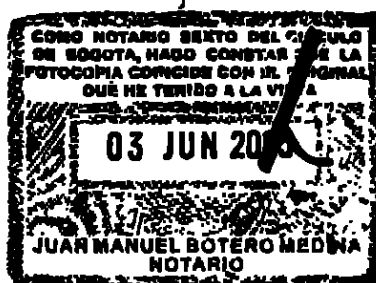
Notario de Ludwigshafen

Th. Walter

Asesor del notario como representante oficialmente designado del notario Dr. Siegfried Kohler

Se certifica la autenticidad de la firma anterior del asesor del notario, consejero de justicia Dr. Kohler, domiciliado en Ludwigshafen am Rhein, y la del sello oficial que la acompaña. Al mismo tiempo se certifica que el mencionado notario estaba facultado para realizar ese acto oficial.

Frankenthal (Pfalz), veintiuno de marzo de mil novecientos ochenta y nueve



2

91
El Presidente del Tribunal Regional

Fdp.: firma ilegible (sello redondo:)

(Franz Serfas)

El Presidente del Tribunal Regional

de Frankenthal (Pfalz)

Nota: Derrechos 20,-- DM

EN ESPAÑOL: La legalización de las firmas anteriores por el
Consulado de General de Colombia en Frankfurt, bajo el No.
0077 (Certificación consular) del 6 de abril de 1989 y del
Ministerio de Relaciones Exteriores de Colombia bajo el No.
85061 del 24 de abril de 1989.

Pagado impuesto de timbre US\$ 6,00

ES TRADUCCION FIEL Y COMPLETA, de la cual se deja copia en
los archivos de estas oficinas para su confrontación.

Bogotá, 26 de abril de 1989

LUZ ARRIAGA DE HERBEN

TRADUCTORA E INTERPRETE OFICIAL

Luz Arriaga de Herben

Regulación No. 599 Probatario

COPIA NOTARIAL DEL ORIGINAL DE SANTAFE DE
BOGOTA, HAY QUE VERIFICAR LA AUTENTICIDAD DE
MÁS, PUES...
Luz Arriaga de Herben
L. ARRIAGA DE HERBEN C.C. NO. (8)
SANTAFE DE BOGOTA
05 AGO. 1999
SANTAFE DE BOGOTA
CASO NOTARIO SEXTO DEL CIRCULO
DE BOGOTA, HAY QUE VERIFICAR LA
FOTOCOPIA CONCORDA CON EL ORIGINAL
QUE ME TENIDO A LA VISTA
03 JUN 2005
JUAN MANUEL BOTERO MELIA
NOTARIO

MINISTERIO DE RELACIONES EXTERIORES
LEGALIZACIONES
Bogotá 28 MAR 1965 No. 89045
HACE CONSTAR
Que el señor
LUZ DE HERRERA
cuya firma aparece al pie del presente
documento, desempeña en esa fecha
las funciones allí indicadas.

División Consular
Encargado
COMO NOTARIO SEÑTO DEL CIRCULO
DE BOGOTA, HAGO CONSTAR QUE LA
FOTOCOPIA CONCORDA CON EL ORIGINAL
QUE ME FUE PRESENTADO A LA VISTA
03 JUN 2005
JUAN MANUEL BOTERO MEDINA
NOTARIO

LEY 158 DE 1991
RECUERDE QUE TODOS LOS

AL BORRADOR DE ESTE FORMULARIO.
SE DEBEN ESTAR DILIGENCIADOS CON ALGUN VALOR; EN CASO CONTRARIO, ESCRIBA CERO (0)

881051599954



DECLARACION Y PAGO DEL

IMPUESTO D

TIMBR
NACIONAL

16 013 01 000045 1

16 013 01 000045 1

1 Administración de Impuestos de:		Código	2 Teléfono
BOGOTÁ		0,3	2120100
3 Documento de identificación (marque X)		4 Apellidos y Nombres o Razón Social de las partes (máximo 60 caracteres).	
C.C. & T.I. ☐ C ☒ NIT. ☐ A ☒ No. 960041367 D.V. ☐ 3		CAVELIER ABOGADOS	
5 Documento de identificación (marque X)		6 Apellidos y Nombres o Razón Social de las partes (máximo 60 caracteres).	
C.C. & T.I. ☐ C ☒ NIT. ☐ A ☒ No. 19'301.629 D.V. ☐		FORERO ROCHA JAIME	
7 Dirección (de la persona o entidad identificada en la celda 4)		Municipio	Departamento
Cra. 4a. No. 72-35		BOGOTÁ	CUNDINAMARCA
8 Relación oficial tipo(s) de documento(s), indicando número, fecha, valor y naturaleza de la transacción		9 Número documento tributario.	
TIMBRE TRADUCCION OFICIAL No. 2056 DE ABRIL 26 DE 1989		1	
PAGO DERECHOS TRADUCCION DE UN PODER			
COLO 0361-52-218-8			

REVISADO
SECCION DE NEGOCIOS GENERALES
ASUNTO: ASUNTO CONSUMOS
SINCEPTE

FIRMA	Responsable del pago
	Nombre
	C.C. No. 19301629

1 VALOR DEL IMPUESTO A PAGAR	750
2 Sanciones	0
3 Impuesto de m...	0
4 TOTAL PAGAR (impuestos de 2 + 3)	750
5	750
6	0
7	0
8	0
9	0
10	0
11	0
12	0
13	0
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COMO NOTARIO SEXTO DEL CONSULADO
DE BOGOTÁ, HABO CONFESE QUE LA
FOTOCOPIA COINCIDE CON EL ORIGINAL
QUE HE TENIDO A LA VISTA
03 JUN 2005
JUAN MANUEL BOTERO REGINA
NOTARIO

CAVELIER

ABOGADOS

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CARRERA 4ª, No. 72-35
BOGOTA 8, COLOMBIA

CORREO ELECTRONICO MUNDIAL CAVE
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DIVISION DE NUEVAS CREACIONES
Superintendencia de Industria y Comercio
E. S. D.

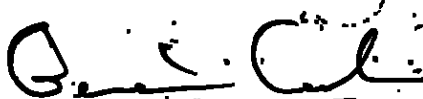
Poderdante: BASF AKTIENGESELLSCHAFT

Poder conferido el: 17-03-89

Yo, GERMAN CAVELIER, obrando en mi calidad de apoderado principal del poderdante arriba mencionado, manifiesto a Usted que sustituyo el poder conferido en el doctor EMILIO FERRERO, Abogado con Tarjeta Profesional No. 31.929, con todas las facultades que me fueron conferidas.

Hago la anterior sustitución conforme al artículo 66 del Código de Procedimiento Civil ya que los otros sustitutos faltan en este momento.

Señor Jefe,

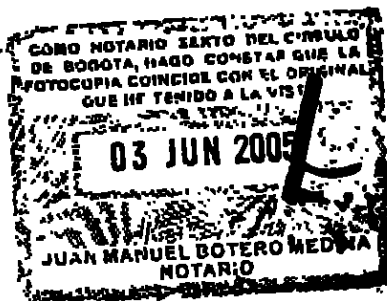


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2e-780-2

CAVELIER ABOGADOS NIT. 860.041.367-3

DILIGENCIA DE AUTENTICACION DE FIRMA
CON PRESENTACION PERSONAL

(Art. 1ro. del Decreto 2782 de 1989)

Ante el Notario Cincuenta (50) del Circulo de Santafé de
Bogotá-c.mparecio, el Doctor SMILIO FERRAZ

quien exhibió la cédula de ciudadanía número 438.91
expedida en VAJUN y la tarjeta profesional
número 21.929 del Ministerio de Justicia, con
el presente documento dirigido a: R.D. HUARAS (MEXICANO)
y declaró que la firma que aparece en e. c. s. uya.

FIRMA AUTOGRAFA DEL DECLARANTE

- 6 OCT. 1993

Santafé de Bogotá, D. C.

AUTORIZO LA ANTERIOR



DILIGENCIA DE AUTENTICACION DE FIRMA
CON PRESENTACION PERSONAL

(Art. 1ro. del Decreto 2782 de 1989)

Ante el Notario Cincuenta (50) del Circulo de Santafé de
Bogotá-c.mparecio, el Doctor SMILIO FERRAZ

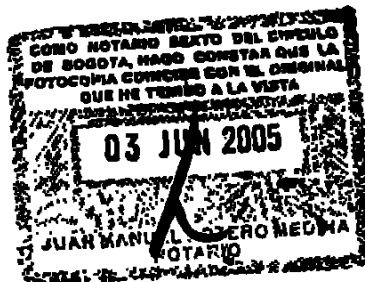
quien exhibió la cédula de ciudadanía número 207224
expedida en 27 y la tarjeta profesional
número 637 del Ministerio de Justicia, con
el presente documento dirigido a: División Nueva Creación
y declaró que la firma que aparece en e. c. s. uya.

FIRMA AUTOGRAFA DEL DECLARANTE

01 OCT. 1993

Santafé de Bogotá, D. C.

AUTORIZO LA ANTERIOR



**DOCUMENTOS ANEXOS**

1. ☒ **Publicación Internacional WO 2004/041824 A3**

Descripción:

Páginas 1-43 en el idioma original

Páginas 1-71 en español

Reivindicaciones: Número (s) 1-10

Figuras: Número (s) _____

2. ☐ **Forma PCT/RO/101. PCT Request**
3. ☐ **Forma PCT/RO/106. Invitation to Correct Defects.**
4. ☒ **Forma PCT/ISA/210. Informe de Búsqueda Internacional.**
5. ☐ **Forma PCT/ISA/220. Notificación De La Transmisión Del Informe De Búsqueda Internacional Y De La Opinión Escrita De La Administración Encargada De La Búsqueda Internacional, O De La Declaración.**
6. ☐ **Forma PCT/ISA/237. Opinión Escrita De La Administración Encargada De La Búsqueda Internacional.**
7. ☐ **Forma PCT/IB/304. Notification Concerning Submission Or Transmittal Of Priority Document.**
8. ☐ **Forma PCT/IB/306. Notification Of The Recoding Of A Change.**
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9. ☐ **Forma PCT/IB/308. Notice Informing The Applicant Of The Communication Of The International Application To The Designated Offices.**
10. ☐ **Forma PCT/IB/332. Information Concerning Elected Offices Notified Of Their Election.**
11. ☐ **Forma PCT/IPEA/401. PCT Demand**
12. ☐ **Forma PCT/IPEA/402. Notification Of Receipt Of Demand By Competent International Preliminary Examining Authority.**
13. ☐ **Forma PCT/IPEA/408. Written Opinion.**
14. ☐ **Forma PCT/IPEA/416. Notification Of Transmittal Of The International Preliminary Examination Report.**
15. ☒ **Forma PCT/IPEA/409. Informe Del Examen Preliminar Internacional.**
16. ☐ **Traducción Al Español Del Informe Del Examen Preliminar Internacional.**
17. ☐ **Traducción Al Español De Los Anexos Presentados Junto Con El Informe Del Examen Preliminar Internacional.**

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MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT,
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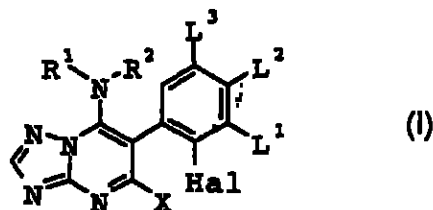
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ning of each regular issue of the PCT Gazette.

(54) Title: SUBSTITUTED 6-(2-HALOGENPHENYL)-TRIAZOLOPYRIMIDINES



(57) Abstract: Substituted 6-(2-halogenphenyl)-triazolopyrimidines of formula (I) in which R1 denote alkyl, alkenyl, alkynyl, alkadienyl, haloalkyl, haloalkenyl, cycloalkyl, phenyl, naphthyl, or a 5- or 6-membered saturated, unsaturated, or aromatic heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom, wherein R1 and R2 radicals may be substituted as defined in the description, R2 denote hydrogen, or a group mentioned for R1; or R1 and R2 together with the interjacent nitrogen atom represent a 5- or 6-membered heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom, which ring may be substituted as defined in the description; Hal is halogen; L1, L2 independently denote hydrogen, halogen, or alkyl; L3 is hydrogen, halogen, haloalkyl, or NH2, NHRb, or N(Rb)2, wherein Rb is as defined in the description, wherein at least one from L1, L2, and L3 is not hydrogen; X is halogen, cyano, alkyl, alkoxy, haloalkoxy or alkenyloxy processes for their preparation, compositions containing them and to their use for combating phytopathogenic fungi.

WO 2004/041824 A2

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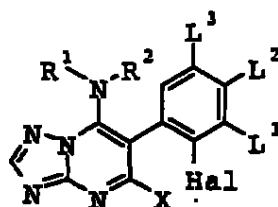
Substituted 6-(2-halogenphenyl)-triazolopyrimidines

Description

5

The invention relates to substituted 6-(2-halogenphenyl)-triazolopyrimidines of formula I

10



I

in which

15

R^1 denote C_1 - C_{10} -alkyl, C_2 - C_{10} -alkenyl, C_2 - C_{10} -alkynyl, or C_4 - C_{10} -alkadienyl, C_1 - C_{10} -haloalkyl, C_2 - C_{10} -haloalkenyl, C_3 - C_{10} -cycloalkyl, phenyl, naphthyl, or

20

a 5- or 6-membered saturated, unsaturated, or aromatic heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom,

25

wherein R^1 and R^2 radicals may be unsubstituted or partly or fully halogenated or may carry one to three groups R^a ,

30

R^a is cyano, nitro, hydroxyl, C_1 - C_6 -alkyl, C_3 - C_6 -cycloalkyl, C_1 - C_6 -alkoxy, C_1 - C_6 -alkylthio, C_1 - C_6 -alkylamino, di- C_1 - C_6 -alkylamino, C_2 - C_6 -alkenyl, C_2 - C_6 -alkenyloxy, C_2 - C_6 -alkynyl, C_3 - C_6 -alkynyloxy, or C_1 - C_4 -alkylenedioxy;

35

R^2 denote hydrogen, or a group mentioned for R^1 ; or

40

R^1 and R^2 together with the interjacent nitrogen atom represent a saturated or partially unsaturated 5- or 6-membered heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom, which ring may be substituted by one to three R^a radicals;

45

Hal is halogen;

L^1, L^3 independently denote hydrogen, halogen, or C_1 - C_4 -alkyl;

50

L^2 is hydrogen, halogen, C_1 - C_4 -haloalkyl, or NH_2 , NHR^b , or $N(R^b)_2$,

2

R^b is C₁-C₈-alkyl, C₃-C₁₀-alkenyl, C₃-C₁₀-alkynyl, C₁-C₆-haloalkyl, C₃-C₆-haloalkenyl, C₃-C₆-haloalkynyl, C₁-C₈-alkoxy-C₁-C₈-alkyl, C₁-C₈-alkylthio-C₁-C₈-alkyl, C₃-C₁₀-cycloalkyl, or C(=O)-A, in which

5

A is hydrogen, hydroxy, C₁-C₈-alkyl, C₁-C₈-alkoxy, C₁-C₆-halogenalkoxy, C₁-C₈-alkylamino or di-(C₁-C₈-alkyl)amino;

10 wherein at least one from L¹, L², and L³ is not hydrogen;

X is halogen, cyano, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy or C₃-C₈-alkenyloxy.

15 Moreover, the invention relates to processes for their preparation, compositions containing them and to their use for combating phytopathogenic fungi.

6-Phenyl-7-amino-triazolopyrimidines are generally known from
20 US 4,567,262, and EP-A 550 113.

Triazolopyrimidines with a trifluorophenyl group in 6-position are disclosed in WO 98/46607 and EP-A 945 453. From EP-A 834 513 diverse 6-pentafluorophenyl-triazolopyrimidines are known.

25

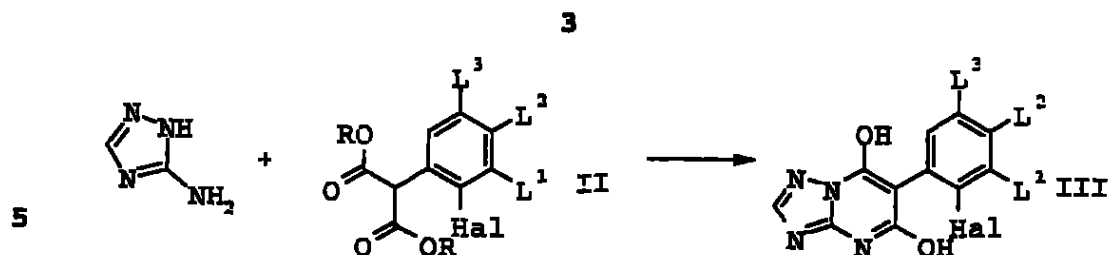
The compounds disclosed in the documents discussed above are said to be active against various phytopathogenic fungi.

It is an object of the present invention to provide compounds having improved fungicidal activity.
30

We have found that this object is achieved by the compounds defined at the outset. Furthermore, we have found processes for their preparation, compositions comprising them and methods for controlling phytopathogenic fungi using the compounds I.
35

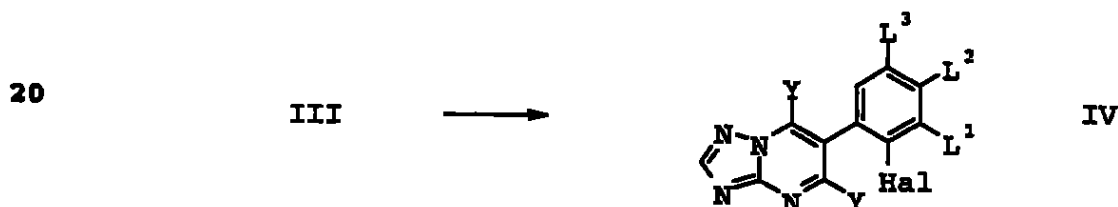
The compounds of formula I differ from the compounds known from closest prior art EP-A 945 453 and EP-A 834 513 in the 6-(2-halogenphenyl) group, which is further substituted in 3-, 4- and/or
40 5-position.

Compounds of formula I can be prepared similar to the conditions known from EP-A 550 113. Preferably the preparation of compounds of formula I as defined above comprises reacting 5-amino-triazole
45 with 2-(2-halogenphenyl)-substituted malonic acid ester of formula II, in which



R represents alkyl, preferably C₁-C₆-alkyl, in particular methyl or ethyl, under alkaline conditions, preferably using high boiling tertiary amines as for example tri-n-butylamine as disclosed
10 for example by EP-A 770 615 to yield compounds of formula III.

The resulting 5,7-dihydroxy-6-phenyl-triazolopyrimidine of formula III, wherein L¹ to L³ are as defined for formula I, is subsequently treated with a halogenating agent, preferably with a brominating or chlorinating agent, such as phosphorus oxybromide or phosphorus oxychloride, neat or in the presence of a solvent to
15 give IV, wherein Y is halogen, such as chlorine or bromine.



The reaction is suitably carried out at a temperature in the
25 range from 0°C to 150°C, the preferred reaction temperature being from 80°C to 125°C as disclosed for example by EP-A 770 615.

Dihalotriazolopyrimidine IV is further reacted with an amine of formula V

30



in which R¹ and R² are as defined in formula I to produce compounds of formula I in which X is halogen.
35

The reaction between the 5,7-dihalo compound IV and the amine of formula V can be carried out under conditions known from WO 98/46608. The reaction is preferably carried out in the presence
40 of a solvent. Suitable solvents include ethers, such as dioxane, diethyl ether and, especially, tetrahydrofuran, halogenated hydrocarbons such as dichloromethane and aromatic hydrocarbons, for example toluene.

45

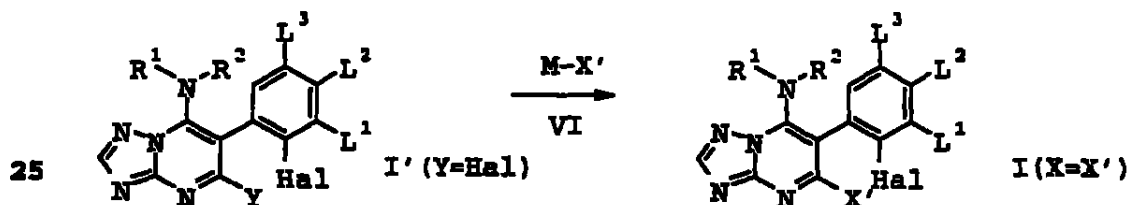
4

The reaction is suitably carried out at a temperature in the range from 0°C to 70°C, the preferred reaction temperature being from 10°C to 35°C.

5 It is also preferred that the reaction is carried out in the presence of a base. Suitable bases include tertiary amines, such as triethylamine, and inorganic bases, such as potassium carbonate or sodium carbonate. Alternatively, an excess of the compound of formula V may serve as a base.

10

Compounds of formula I in which X denotes cyano, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy or C₃-C₆-alkenyloxy can be prepared by reacting compounds I' in which Y is halogen, preferably chloro, with compounds of formula VI, which are, dependent from the value of X' to be introduced to yield formula I compounds, an anorganic cyano salt, an alkoxylate, haloalkoxylate or an alkenyloxylate, respectively, preferably in the presence of a solvent. The cation M in formula VI has minor influence; for practical and economical reasons usually ammonium-, tetraalkylammonium- or alkalimetal- and earth metal salts are preferred.



The reaction is suitably carried out at a temperature in the range from 0 to 120°C, the preferred reaction temperature being from 10 to 40°C [cf. J. Heterocycl. Chem. Vol.12, p. 861-863

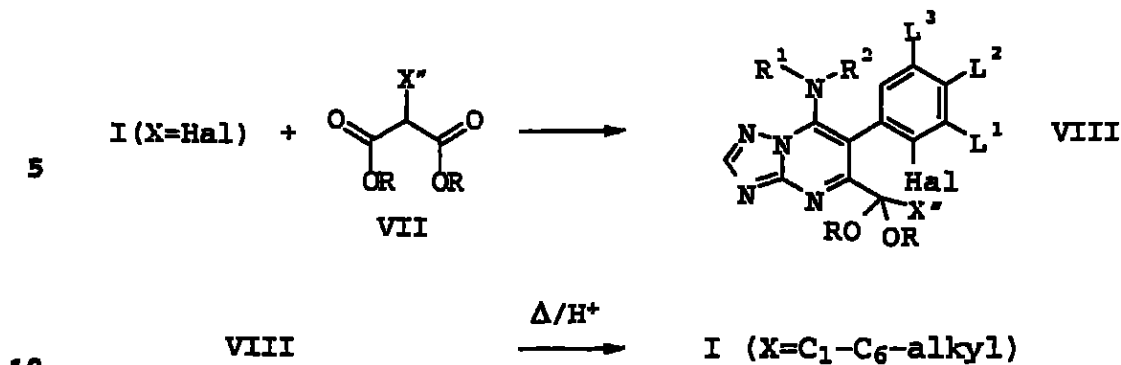
30 (1975)].

Suitable solvents include ethers, such as dioxane, diethyl ether and, especially, tetrahydrofuran, halogenated hydrocarbons such as dichloromethane and aromatic hydrocarbons, for example toluene.

Compounds of formula I in which X denotes C₁-C₆-alkyl can be prepared by reacting compounds I in which X is halogen, preferably chloro, with malonic acid esters of formula VII, wherein X' denotes H or C₁-C₅-alkyl and R denotes C₁-C₄-alkyl, to compounds of formula VIII and decarboxylation under conditions described in US 5,994,360.

45

5



Accordingly, the invention relates to the novel intermediates of formulae II, III and IV.

- 15 The compounds of formula II are preferably prepared by reaction of the corresponding substituted bromobenzenes with sodium dialkylmalonates in the presence of a copper(I) salt [cf. Chemistry Letters, pp. 367-370, 1981; EP-A 10 02 788].
- 20 The compounds of formula II may also be prepared by reaction of an alkyl 2-(2-halogenphenyl)-acetate with dialkylcarbonate in the presence of a strong base, preferably sodium ethoxide and sodium hydride (cf. Heterocycles, pp. 1031-1047, 1996).
- 25 The substituted phenylacetates which are the starting compounds for compounds of formula II are known and commercially available, and/or they are obtainable by generally known methods.

The reaction mixtures are worked up in a customary manner, for example by mixing with water, phase separation and, if required, chromatographic purification of the crude products. Some of the end products are obtained in the form of colorless or slightly brownish, viscous oils, which are purified or freed from volatile components under reduced pressure and at moderately elevated temperatures. If the end products are obtained as solids, purification can also be carried out by recrystallization or digestion.

If individual compounds I are not obtainable by the routes described above, they can be prepared by derivatization of other compounds I.

In the symbol definitions given in the formulae above, collective terms were used which generally represent the following substituents:

45

- Halogen: fluorine, chlorine, bromine and iodine;

6

C₁-C₁₀-alkyl: saturated, straight-chain or branched hydrocarbon radicals having 1 to 10, especially 1 to 6 carbon atoms, for example C₁-C₄-alkyl as mentioned above or pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 2,2-di-methylpropyl, 1-ethylpropyl, 5 hexyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-ethylbutyl, 2-ethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, 10 1-ethyl-1-methylpropyl and 1-ethyl-2-methylpropyl;

C₂-C₁₀-alkenyl: unsaturated, straight-chain or branched hydrocarbon radicals having 2 to 10, especially 2 to 6 carbon atoms and a double bond in any position, for example ethenyl, 15 1-propenyl, 2-propenyl, 1-methylethenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-methyl-1-propenyl, 2-methyl-1-propenyl, 1-methyl-2-propenyl and 2-methyl-2-propenyl;

C₂-C₁₀-alkynyl: straight-chain or branched hydrocarbon radicals having 2 to 10, especially 2 to 4 carbon atoms and a triple bond in any position, for example ethynyl, 1-propynyl, 2-propynyl, 1-butynyl, 2-butynyl, 3-butynyl and 1-methyl-2-propynyl; 20

C₃-C₁₀-cycloalkyl: mono- or bicyclic cycloalkyl groups having 3 to 10 carbon atoms; monocyclic groups preferably have 3 to 8, especially 3 to 6 ring members, bicyclic groups preferably have 8 to 10 ring members. 25

A 5- or 6-membered saturated or partially unsaturated heterocycle, containing one to four nitrogen atoms or one to 30 three nitrogen atoms and one sulfur or oxygen atom, preferably one oxygen atom, for example saturated heterocycles such as 1-pyrimidinyl, 2-pyrimidinyl, morpholin-4-yl, thiomorpholin-4-yl; or partially unsaturated heterocycles, containing one C=C or one 35 N=C double bond, such as 3,6-dihydro-2H-pyridin-1-yl, or 2,5-dihydropyrrol-1-yl;

A 5-membered aromatic heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or 40 oxygen atom: 5-membered heteroaryl groups which, in addition to carbon atoms, may contain one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom as ring members, for example 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyrrolyl, 3-pyrrolyl, 3-isoxazolyl, 4-isoxazolyl, 5-isoxazolyl, 45 3-isothiazolyl, 4-isothiazolyl, 5-isothiazolyl, 3-pyrazolyl, 4-pyrazolyl, 5-pyrazolyl, 2-oxazolyl, 4-oxazolyl, 5-oxazolyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, 2-imidazolyl, 4-imidazo-

lyl, 1,2,4-oxadiazol-3-yl, 1,2,4-oxadiazol-5-yl, 1,2,4-thiadiazol-3-yl, 1,2,4-thiadiazol-5-yl, 1,2,4-triazol-3-yl, 1,3,4-oxadiazol-2-yl, 1,3,4-thiadiazol-2-yl and 1,3,4-triazol-2-yl;

5 6-membered aromatic heterocycle, containing one to four nitrogen atoms: 6-membered heteroaryl groups which, in addition to carbon atoms, may contain one to three or one to four nitrogen atoms as ring members, for example 2-pyridinyl, 3-pyridinyl, 4-pyridinyl, 3-pyridazinyl, 4-pyridazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 2-pyrazinyl, 1,3,5-triazin-2-yl and 1,2,4-triazin-3-yl, preferably pyridyl, pyrimidyl, pyrazolyl or thienyl.

With respect to their intended use, preference is given to triazolopyrimidines of the formula I having the following substituents, where the preference is valid in each case on its own or in combination:

A preferred cycloalkyl moiety is cyclopentyl being optionally substituted by one or more nitro, cyano, C₁-C₆-alkyl, C₁-C₆-alkoxy groups.

Preference is given to compounds of formula I in which any alkyl or haloalkyl part of the groups R¹ or R², which may be straight chained or branched, contains up to 10 carbon atoms, preferably 1 to 9 carbon atoms, more preferably 2 to 6 carbon atoms, any alkenyl or alkynyl part of the substituents R¹ or R² contains up to 10 carbon atoms, preferably 2 to 9 carbon atoms, more preferably 3 to 6 carbon atoms, any cycloalkyl part of the substituents R¹ or R² contains from 3 to 10 carbon atoms, preferably from 3 to 8 carbon atoms, more preferably from 3 to 6 carbon atoms, and any bicycloalkyl part of the substituents R¹ or R² contains from 5 to 9 carbon atoms, preferably from 7 to 9 carbon atoms. Any alkyl, alkenyl or alkynyl group may be linear or branched.

Compounds of formula I are preferred in which R¹ represents a straight-chained or branched C₁-C₁₀-alkyl, in particular a branched C₃-C₁₀-alkyl group, a C₃-C₈-cycloalkyl, a C₅-C₉-bicycloalkyl, a C₃-C₈-cycloalkyl-C₁-C₆-alkyl, C₁-C₁₀-alkoxy-C₁-C₆-alkyl, a C₁-C₁₀-haloalkyl or a phenyl group being optionally substituted by one to three halogen atoms or C₁-C₁₀-alkyl or C₁-C₁₀-alkoxy groups.

Moreover, particular preference is given to compounds I in which R¹ and R² together with the interjacent nitrogen atom form an optionally substituted heterocyclic ring, preferably an optionally substituted C₃-C₇-heterocyclic ring, in particular a 5- or 6-membered saturated heterocycle, such as pyrrolidine, piperidine, morpholine, or tetrahydropyridine, or a 5- or

6-membered partially unsaturated heterocycle, such as 3,6-dihydro-2H-pyridin-1-yl, or 2,5-dihydropyrrol-1-yl, wherein the saturated or unsaturated heterocycle is optionally substituted by one or more C₁-C₄-alkyl or C₁-C₂-haloalkyl groups, preferably by one or two methyl groups. Particular preference is given to compounds I, in which R¹ and R² together form a 4-methylpiperidin-1-yl group.

Furthermore, particular preference is given to compounds I in which R² represents hydrogen, C₁-C₁₀-alkyl or C₁-C₁₀-haloalkyl, in particular hydrogen.

Moreover, particular preference is given to compounds I in which R² is methyl or ethyl.

If R¹ denotes C₁-C₁₀-haloalkyl, preferably polyfluorinated alkyl, in particular 2,2,2-trifluoroethyl, 2-(1,1,1-trifluoropropyl) or 2-(1,1,1-trifluorobutyl), R² preferably represents hydrogen.

Particular preference is given to compounds I in which Hal is fluoro, chloro, or bromo, particularly fluoro.

Furthermore, preference is given to compounds I in which L¹ is hydrogen, or fluoro, particularly hydrogen.

Besides, particular preference is given to compounds I in which L² is hydrogen, fluoro, trifluoromethyl, amino, dimethylamino, or N-acetylamino, particularly fluoro.

Furthermore, preference is given to compounds I in which L² is NHR^b or N(R^b)₂, wherein R^b is methyl or C(=O)-C₁-C₄-alkyl.

Likewise, particular preference is given to compounds I in which L³ is hydrogen, fluoro, methyl, particularly hydrogen.

A particularly preferred embodiment of the present invention are compounds of formula I, in which the 6-(2-halophenyl) group represents one of the following moieties:

2,3,5-trifluorophenyl, 2,4-difluorophenyl, 2-F,4-CF₃-phenyl, 2-F,5-CH₃-phenyl, 2-Cl,4-F-phenyl, 2-F,4-Cl-phenyl, 2-F,4-Br-phenyl, 2-Cl,4-Br-phenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 2,4,5-trifluorophenyl, 2,3,4-trifluorophenyl, 2-F,4-NH₂-phenyl, 2-F,4-N(CH₃)₂-phenyl, 2-F,4-NHC(O)CH₃-phenyl, 2-Br,3,5-difluorophenyl, 2-F,4-NO₂-phenyl, and 2-Cl,4-NO₂-phenyl.

8

9

Moreover, preference is given to compounds I in which X is halogen, cyano or methyl, preferably halogen, such as chloro or bromo, particularly chloro.

5 The particularly preferred embodiments of the intermediates with respect to the variables correspond to those of the radicals X and L¹ to L³ of formula I.

10 Included in the scope of the present invention are (R) and (S) isomers of compounds of general formula I having a chiral center and the racemates thereof, and salts, N-oxides and acid addition compounds.

With respect to their use, particular preference is given to the 15 compounds I compiled in the tables below. The groups mentioned in the tables for a substituent are furthermore for their part, independently of the combination in which they are mentioned, a particularly preferred embodiment of the respective substituents.

20 Table 1

Compounds of formula I, in which X is chloro, Hal, L¹ and L³ are fluoro, L² is hydrogen and R¹ and R² correspond to one row in Table A

25 Table 2

Compounds of formula I, in which X is cyano, Hal, L¹ and L³ are fluoro, L² is hydrogen and R¹ and R² correspond to one row in Table A

30 Table 3

Compounds of formula I, in which X is methyl, Hal, L¹ and L³ are fluoro, L² is hydrogen and R¹ and R² correspond to one row in Table A

35 Table 4

Compounds of formula I, in which X is methoxy, Hal, L¹ and L³ are fluoro, L² is hydrogen and R¹ and R² correspond to one row in Table A

40 Table 5

Compounds of formula I, in which X is chloro, Hal and L² are fluoro, L¹ and L³ are hydrogen and R¹ and R² correspond to one row in Table A

45

10

Table 6

Compounds of formula I, in which X is cyano, Hal and L² are fluoro, L¹ and L³ are hydrogen and R¹ and R² correspond to one row in Table A

5

Table 7

Compounds of formula I, in which X is methyl, Hal and L² are fluoro, L¹ and L³ are hydrogen and R¹ and R² correspond to one row in Table A

10

Table 8

Compounds of formula I, in which X is methoxy, Hal and L² are fluoro, L¹ and L³ are hydrogen and R¹ and R² correspond to one row in Table A

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Table 9

Compounds of formula I, in which X is chloro, Hal is fluoro, L¹ and L³ are hydrogen, L² is trifluoromethyl and R¹ and R² correspond to one row in Table A

20

Table 10

Compounds of formula I, in which X is cyano, Hal is fluoro, L¹ and L³ are hydrogen, L² is trifluoromethyl and R¹ and R² correspond to one row in Table A

25

Table 11

Compounds of formula I, in which X is methyl, Hal is fluoro, L¹ and L³ are hydrogen, L² is trifluoromethyl and R¹ and R² correspond to one row in Table A

30

Table 12

Compounds of formula I, in which X is methoxy, Hal is fluoro, L¹ and L³ are hydrogen, L² is trifluoromethyl and R¹ and R² correspond to one row in Table A

35

Table 13

Compounds of formula I, in which X is chloro, Hal is fluoro, L¹ and L² are hydrogen, L³ is methyl and R¹ and R² correspond to one row in Table A

40

Table 14

Compounds of formula I, in which X is cyano, Hal is fluoro, L¹ and L² are hydrogen, L³ is methyl and R¹ and R² correspond to one row in Table A

45

11

Table 15

Compounds of formula I, in which X is methyl, Hal is fluoro, L¹ and L² are hydrogen, L³ is methyl and R¹ and R² correspond to one row in Table A

5

Table 16

Compounds of formula I, in which X is methoxy, Hal is fluoro, L¹ and L² are hydrogen, L³ is methyl and R¹ and R² correspond to one row in Table A

10

Table 17

Compounds of formula I, in which X and Hal are chloro, L¹ and L³ are hydrogen, L² is fluoro and R¹ and R² correspond to one row in Table A

15

Table 18

Compounds of formula I, in which X is cyano, Hal is chloro, L¹ and L³ are hydrogen, L² is fluoro and R¹ and R² correspond to one row in Table A

20

Table 19

Compounds of formula I, in which X is methyl, Hal is chloro, L¹ and L³ are hydrogen, L² is fluoro and R¹ and R² correspond to one row in Table A

25

Table 20

Compounds of formula I, in which X is methoxy, Hal is chloro, L¹ and L³ are hydrogen, L² is fluoro and R¹ and R² correspond to one row in Table A

30

Table 21

Compounds of formula I, in which X is chloro, Hal is fluoro, L¹ and L³ are hydrogen, L² is chloro and R¹ and R² correspond to one row in Table A

35

Table 22

Compounds of formula I, in which X is cyano, Hal is fluoro, L¹ and L³ are hydrogen, L² is chloro and R¹ and R² correspond to one row in Table A

40

Table 23 ;

Compounds of formula I, in which X is methyl, Hal is fluoro, L¹ and L³ are hydrogen, L² is chloro and R¹ and R² correspond to one row in Table A

45

Table 24

Compounds of formula I, in which X is methoxy, Hal is fluoro, L¹ and L³ are hydrogen, L² is chloro and R¹ and R² correspond to one row in Table A

5

Table 25

Compounds of formula I, in which X is chloro, Hal is fluoro, L¹ and L³ are hydrogen, L² is bromo and R¹ and R² correspond to one row in Table A

10

Table 26

Compounds of formula I, in which X is cyano, Hal is fluoro, L¹ and L³ are hydrogen, L² is bromo and R¹ and R² correspond to one row in Table A

15

Table 27

Compounds of formula I, in which X is methyl, Hal is fluoro, L¹ and L³ are hydrogen, L² is bromo and R¹ and R² correspond to one row in Table A

20

Table 28

Compounds of formula I, in which X is methoxy, Hal is fluoro, L¹ and L³ are hydrogen, L² is bromo and R¹ and R² correspond to one row in Table A

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Table 29

Compounds of formula I, in which X and Hal are chloro, L¹ and L³ are hydrogen, L² is bromo and R¹ and R² correspond to one row in Table A

30

Table 30

Compounds of formula I, in which X is cyano, Hal is chloro, L¹ and L³ are hydrogen, L² is bromo and R¹ and R² correspond to one row in Table A

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Table 31

Compounds of formula I, in which X is methyl, Hal is chloro, L¹ and L³ are hydrogen, L² is bromo and R¹ and R² correspond to one row in Table A

40

Table 32

Compounds of formula I, in which X is methoxy, Hal is chloro, L¹ and L³ are hydrogen, L² is bromo and R¹ and R² correspond to one row in Table A

45

13

Table 33

Compounds of formula I, in which X, Hal and L² are chloro, L¹ and L³ are hydrogen and R¹ and R² correspond to one row in Table A

5 Table 34

Compounds of formula I, in which X is cyano, Hal and L² are chloro, L¹ and L³ are hydrogen and R¹ and R² correspond to one row in Table A

10 Table 35

Compounds of formula I, in which X is methyl, Hal and L² are chloro, L¹ and L³ are hydrogen and R¹ and R² correspond to one row in Table A

15 Table 36

Compounds of formula I, in which X is methoxy, Hal and L² are chloro, L¹ and L³ are hydrogen and R¹ and R² correspond to one row in Table A

20 Table 37

Compounds of formula I, in which X is chloro, Hal and L¹ are fluoro, L² and L³ are hydrogen and R¹ and R² correspond to one row in Table A

25 Table 38

Compounds of formula I, in which X is cyano, Hal and L¹ are fluoro, L² and L³ are hydrogen and R¹ and R² correspond to one row in Table A

30 Table 39

Compounds of formula I, in which X is methyl, Hal and L¹ are fluoro, L² and L³ are hydrogen and R¹ and R² correspond to one row in Table A

35 Table 40

Compounds of formula I, in which X is methoxy, Hal and L¹ are fluoro, L² and L³ are hydrogen and R¹ and R² correspond to one row in Table A

40 Table 41

Compounds of formula I, in which X is chloro, Hal and L³ are fluoro, L¹ and L² hydrogen and R¹ and R² correspond to one row in Table A

Table 42

Compounds of formula I, in which X is cyano, Hal and L³ are fluoro, L¹ and L² hydrogen and R¹ and R² correspond to one row in Table A

5

Table 43

Compounds of formula I, in which X is methyl, Hal and L³ are fluoro, L¹ and L² hydrogen and R¹ and R² correspond to one row in Table A

10

Table 44

Compounds of formula I, in which X is methoxy, Hal and L³ are fluoro, L¹ and L² hydrogen and R¹ and R² correspond to one row in Table A

15

Table 45

Compounds of formula I, in which X is chloro, Hal, L² and L³ are fluoro, L¹ is hydrogen and R¹ and R² correspond to one row in Table A

20

Table 46

Compounds of formula I, in which X is cyano, Hal, L² and L³ are fluoro, L¹ is hydrogen and R¹ and R² correspond to one row in Table A

25

Table 47

Compounds of formula I, in which X is methyl, Hal, L² and L³ are fluoro, L¹ is hydrogen and R¹ and R² correspond to one row in Table A

30

Table 48

Compounds of formula I, in which X is methoxy, Hal, L² and L³ are fluoro, L¹ is hydrogen and R¹ and R² correspond to one row in Table A

35

Table 49

Compounds of formula I, in which X is chloro, Hal, L¹ and L² are fluoro, L³ is hydrogen and R¹ and R² correspond to one row in Table A

40

Table 50

Compounds of formula I, in which X is cyano, Hal, L¹ and L² are fluoro, L³ is hydrogen and R¹ and R² correspond to one row in Table A

45

21

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Table 51

Compounds of formula I, in which X is methyl, Hal, L¹ and L² are fluoro, L³ is hydrogen and R¹ and R² correspond to one row in Table A

5

Table 52

Compounds of formula I, in which X is methoxy, Hal, L¹ and L² are fluoro, L³ is hydrogen and R¹ and R² correspond to one row in Table A

10

Table 53

Compounds of formula I, in which X is chloro, Hal is bromo, L¹ and L³ are fluoro, L² is hydrogen and R¹ and R² correspond to one row in Table A

15

Table 54

Compounds of formula I, in which X is cyano, Hal is bromo, L¹ and L³ are fluoro, L² is hydrogen and R¹ and R² correspond to one row in Table A

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Table 55

Compounds of formula I, in which X is methyl, Hal is bromo, L¹ and L³ are fluoro, L² is hydrogen and R¹ and R² correspond to one row in Table A

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Table 56

Compounds of formula I, in which X is methoxy, Hal is bromo, L¹ and L³ are fluoro, L² is hydrogen and R¹ and R² correspond to one row in Table A

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Table 57

Compounds of formula I, in which X is chloro, Hal is fluoro, L¹ and L³ are hydrogen, L² is amino and R¹ and R² correspond to one row in Table A

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Table 58

Compounds of formula I, in which X is cyano, Hal is fluoro, L¹ and L³ are hydrogen, L² is amino and R¹ and R² correspond to one row in Table A

40

Table 59 ;

Compounds of formula I, in which X is methyl, Hal is fluoro, L¹ and L³ are hydrogen, L² is amino and R¹ and R² correspond to one row in Table A

45

Table 60

Compounds of formula I, in which X is methoxy, Hal is fluoro, L¹ and L³ are hydrogen, L² is amino and R¹ and R² correspond to one row in Table A

5

Table 61

Compounds of formula I, in which X is chloro, Hal is fluoro, L¹ and L³ are hydrogen, L² is methylamino and R¹ and R² correspond to one row in Table A

10

Table 62

Compounds of formula I, in which X is cyano, Hal is fluoro, L¹ and L³ are hydrogen, L² is methylamino and R¹ and R² correspond to one row in Table A

15

Table 63

Compounds of formula I, in which X is methyl, Hal is fluoro, L¹ and L³ are hydrogen, L² is methylamino and R¹ and R² correspond to one row in Table A

20

Table 64

Compounds of formula I, in which X is methoxy, Hal is fluoro, L¹ and L³ are hydrogen, L² is methylamino and R¹ and R² correspond to one row in Table A

25

Table 65

Compounds of formula I, in which X is chloro, Hal is fluoro, L¹ and L³ are hydrogen, L² is dimethylamino and R¹ and R² correspond to one row in Table A

30

Table 66

Compounds of formula I, in which X is cyano, Hal is fluoro, L¹ and L³ are hydrogen, L² is dimethylamino and R¹ and R² correspond to one row in Table A

35

Table 67

Compounds of formula I, in which X is methyl, Hal is fluoro, L¹ and L³ are hydrogen, L² is dimethylamino and R¹ and R² correspond to one row in Table A

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Table 68

Compounds of formula I, in which X is methoxy, Hal is fluoro, L¹ and L³ are hydrogen, L² is dimethylamino and R¹ and R² correspond to one row in Table A

45

Table 69

Compounds of formula I, in which X is chloro, Hal is fluoro, L¹ and L³ are hydrogen, L² is N-acetylamino and R¹ and R² correspond to one row in Table A

5

Table 70

Compounds of formula I, in which X is cyano, Hal is fluoro, L¹ and L³ are hydrogen, L² is N-acetylamino and R¹ and R² correspond to one row in Table A

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Table 71

Compounds of formula I, in which X is methyl, Hal is fluoro, L¹ and L³ are hydrogen, L² is N-acetylamino and R¹ and R² correspond to one row in Table A

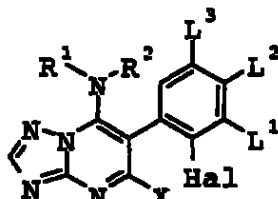
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Table 72

Compounds of formula I, in which X is methoxy, Hal is fluoro, L¹ and L³ are hydrogen, L² is N-acetylamino and R¹ and R² correspond to one row in Table A

20

Table A



I

25

No.	R ¹	R ²
30	A-1	CH ₂ CH ₃
	A-2	CH ₂ CH ₃
	A-3	CH ₂ CH ₃
	A-4	CH ₂ CF ₃
	A-5	CH ₂ CF ₃
35	A-6	CH ₂ CF ₃
	A-7	CH ₂ CCl ₃
	A-8	CH ₂ CCl ₃
	A-9	CH ₂ CCl ₃
40	A-10	CH ₂ CH ₂ CH ₃
	A-11	CH ₂ CH ₂ CH ₃
	A-12	CH ₂ CH ₂ CH ₃
	A-13	CH ₂ CH ₂ CH ₃
45	A-14	CH(CH ₃) ₂
	A-15	CH(CH ₃) ₂
	A-16	CH(CH ₃) ₂

No.	R ¹	R ²
A-17	(±) CH(CH ₃)-CH ₂ CH ₃	H
A-18	(±) CH(CH ₃)-CH ₂ CH ₃	CH ₃
5 A-19	(±) CH(CH ₃)-CH ₂ CH ₃	CH ₂ CH ₃
A-20	(S) CH(CH ₃)-CH ₂ CH ₃	H
A-21	(S) CH(CH ₃)-CH ₂ CH ₃	CH ₃
A-22	(S) CH(CH ₃)-CH ₂ CH ₃	CH ₂ CH ₃
10 A-23	(R) CH(CH ₃)-CH ₂ CH ₃	H
A-24	(R) CH(CH ₃)-CH ₂ CH ₃	CH ₃
A-25	(R) CH(CH ₃)-CH ₂ CH ₃	CH ₂ CH ₃
A-26	(±) CH(CH ₃)-CH(CH ₃) ₂	H
A-27	(±) CH(CH ₃)-CH(CH ₃) ₂	CH ₃
15 A-28	(±) CH(CH ₃)-CH(CH ₃) ₂	CH ₂ CH ₃
A-29	(S) CH(CH ₃)-CH(CH ₃) ₂	H
A-30	(S) CH(CH ₃)-CH(CH ₃) ₂	CH ₃
A-31	(S) CH(CH ₃)-CH(CH ₃) ₂	CH ₂ CH ₃
20 A-32	(R) CH(CH ₃)-CH(CH ₃) ₂	H
A-33	(R) CH(CH ₃)-CH(CH ₃) ₂	CH ₃
A-34	(R) CH(CH ₃)-CH(CH ₃) ₂	CH ₂ CH ₃
A-35	(±) CH(CH ₃)-C(CH ₃) ₃	H
25 A-36	(±) CH(CH ₃)-C(CH ₃) ₃	CH ₃
A-37	(±) CH(CH ₃)-C(CH ₃) ₃	CH ₂ CH ₃
A-38	(S) CH(CH ₃)-C(CH ₃) ₃	H
A-39	(S) CH(CH ₃)-C(CH ₃) ₃	CH ₃
30 A-40	(S) CH(CH ₃)-C(CH ₃) ₃	CH ₂ CH ₃
A-41	(R) CH(CH ₃)-C(CH ₃) ₃	H
A-42	(R) CH(CH ₃)-C(CH ₃) ₃	CH ₃
A-43	(R) CH(CH ₃)-C(CH ₃) ₃	CH ₂ CH ₃
A-44	(±) CH(CH ₃)-CF ₃	H
35 A-45	(±) CH(CH ₃)-CF ₃	CH ₃
A-46	(±) CH(CH ₃)-CF ₃	CH ₂ CH ₃
A-47	(S) CH(CH ₃)-CF ₃	H
A-48	(S) CH(CH ₃)-CF ₃	CH ₃
40 A-49	(S) CH(CH ₃)-CF ₃	CH ₂ CH ₃
A-50	(R) CH(CH ₃)-CF ₃	H
A-51	(R) CH(CH ₃)-CF ₃	CH ₃
A-52	(R) CH(CH ₃)-CF ₃	CH ₂ CH ₃
45 A-53	(±) CH(CH ₃)-CCl ₃	H
A-54	(±) CH(CH ₃)-CCl ₃	CH ₃
A-55	(±) CH(CH ₃)-CCl ₃	CH ₂ CH ₃

19

No.	R ¹	R ²
A-56	(S) CH(CH ₃)-CCl ₃	H
A-57	(S) CH(CH ₃)-CCl ₃	CH ₃
5 A-58	(S) CH(CH ₃)-CCl ₃	CH ₂ CH ₃
A-59	(R) CH(CH ₃)-CCl ₃	H
A-60	(R) CH(CH ₃)-CCl ₃	CH ₃
A-61	(R) CH(CH ₃)-CCl ₃	CH ₂ CH ₃
10 A-62	CH ₂ CF ₂ CF ₃	H
A-63	CH ₂ CF ₂ CF ₃	CH ₃
A-64	CH ₂ CF ₂ CF ₃	CH ₂ CH ₃
A-65	CH ₂ (CF ₂) ₂ CF ₃	H
A-66	CH ₂ (CF ₂) ₂ CF ₃	CH ₃
15 A-67	CH ₂ (CF ₂) ₂ CF ₃	CH ₂ CH ₃
A-68	CH ₂ C(CH ₃)=CH ₂	H
A-69	CH ₂ C(CH ₃)=CH ₂	CH ₃
A-70	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃
20 A-71	cyclopentyl	H
A-72	cyclopentyl	CH ₃
A-73	cyclopentyl	CH ₂ CH ₃
A-74	Cyclohexyl	H
25 A-75	Cyclohexyl	CH ₃
A-76	Cyclohexyl	CH ₂ CH ₃
A-77	-(CH ₂) ₂ CH=CHCH ₂ -	
A-78	-(CH ₂) ₂ C(CH ₃)=CHCH ₂ -	
A-79	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -	
30 A-80	-(CH ₂) ₂ CHF(CH ₂) ₂ -	
A-81	-(CH ₂) ₃ CHFCCH ₂ -	
A-82	-(CH ₂) ₂ CH(CF ₃)(CH ₂) ₂ -	
A-83	-(CH ₂) ₂ O(CH ₂) ₂ -	
35 A-84	-(CH ₂) ₂ S(CH ₃) ₂ -	
A-85	-(CH ₂) ₅ -	
A-86	-(CH ₂) ₄ -	
A-87	-CH ₂ CH=CHCH ₂ -	
40 A-88	-CH(CH ₃)(CH ₂) ₃ -	
A-89	-CH ₂ CH(CH ₃)(CH ₂) ₂ -	

The compounds I are suitable as fungicides. They are distinguished by an outstanding activity against a broad spectrum of phytopathogenic fungi, in particular from the classes of the Ascomycetes, Deuteromycetes, Oomycetes and Basidiomycetes. Some of them

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act systemically, and they can be employed in crop protection as foliar- and soil-acting fungicides.

They are especially important for controlling a large number of
5 fungi on a variety of crop plants such as wheat, rye, barley, oats, rice, maize, grass, bananas, cotton, soya, coffee, sugar cane, grapevines, fruit species, ornamentals and vegetables such as cucumbers, beans, tomatoes, potatoes and cucurbits, and on the seeds of these plants.

10

Specifically, they are suitable for controlling the following plant diseases:

- *Alternaria* species on vegetables and fruit,
- 15 • *Bipolaris* and *Drechslera* species on cereals, rice and turf,
- *Blumeria graminis* (powdery mildew) on cereals,
- *Botrytis cinerea* (gray mold) on strawberries, vegetables, ornamentals and grapevines,
- *Erysiphe cichoracearum* and *Sphaerotheca fuliginea* on cucur-
- 20 bits,
- *Fusarium* and *Verticillium* species on various plants,
- *Mycosphaerella* species on cereals, bananas and peanuts,
- *Phytophthora infestans* on potatoes and tomatoes,
- *Plasmopara viticola* on grapevines,
- 25 • *Podosphaera leucotricha* on apples,
- *Pseudocercospora herpotrichoides* on wheat and barley,
- *Pseudoperonospora* species on hops and cucumbers,
- *Puccinia* species on cereals,
- *Pyricularia oryzae* on rice,
- 30 • *Rhizoctonia* species on cotton, rice and turf,
- *Septoria tritici* and *Stagonospora nodorum* on wheat,
- *Uncinula necator* on grapevines,
- *Ustilago* species on cereals and sugar cane, and
- *Venturia* species (scab) on apples and pears.

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Moreover, the compounds I are suitable for controlling harmful fungi such as *Paecilomyces variotii* in the protection of materials (e.g. wood, paper, paint dispersions, fibers and fabrics) and in the protection of stored products.

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The compounds I are applied by treating the fungi, or the plants, seeds, materials or the soil to be protected against fungal infection, with a fungicidally active amount of the active ingredients. Application can be effected both before and after infection of the materials, plants or seeds by the fungi.

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In general, the fungicidal compositions comprise between 0.1 and 95, preferably 0.5 and 90 % by weight of active ingredient.

When used in crop protection, the rates of application are from 0.01 to 2.0 kg of active ingredient per ha, depending on the nature of the effect desired.

In the treatment of seed, amounts of active ingredient of from 0.001 to 0.1 g, preferably 0.01 to 0.05 g, are generally required per kilogram of seed.

When used in the protection of materials or stored products, the rate of application of active ingredient depends on the nature of the field of application and on the effect desired. Rates of application conventionally used in the protection of materials are, for example, from 0.001 g to 2 kg, preferably 0.005 g to 1 kg, of active ingredient per cubic meter of material treated.

The compounds I can be converted into the customary formulations, eg. solutions, emulsions, suspensions, dusts, powders, pastes and granules. The use form depends on the particular purpose; it is intended to ensure in each case a fine and uniform distribution of the compound according to the invention.

The formulations are prepared in a known manner, eg. by extending the active ingredient with solvents and/or carriers, if desired using emulsifiers and dispersants. Solvents/auxiliaries which are suitable are essentially:

water, aromatic solvents (for example Solvesso products, xylene), paraffins (for example mineral fractions), alcohols (for example methanol, butanol, pentanol, benzyl alcohol), ketones (for example cyclohexanone, gamma-butyrolactone), pyrrolidones (NMP, NOP), acetates (glycol diacetate), glycols, fatty acid dimethylamides, fatty acids and fatty acid esters. In principle, solvent mixtures may also be used.

carriers such as ground natural minerals (eg. kaolins, clays, talc, chalk) and ground synthetic minerals (eg. highly disperse silica, silicates); emulsifiers such as nonionic and anionic emulsifiers (eg. polyoxyethylene fatty alcohol ethers, alkylsulfonates and arylsulfonates) and dispersants such as lignin-sulfite waste liquors and methylcellulose.

Suitable surfactants are alkali metal, alkaline earth metal and ammonium salts of lignosulfonic acid, naphthalenesulfonic acid, phenolsulfonic acid, dibutyl-naphthalenesulfonic acid, alkylaryl-

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sulfonates, alkyl sulfates, alkylsulfonates, fatty alcohol sulfates, fatty acids and sulfated fatty alcohol glycol ethers, furthermore condensates of sulfonated naphthalene and naphthalene derivatives with formaldehyde, condensates of naphthalene or of naphthalenesulfonic acid with phenol and formaldehyde, polyoxyethylene octylphenyl ether, ethoxylated isooctylphenol, octylphenol, nonylphenol, alkylphenyl polyglycol ethers, tributylphenyl polyglycol ether, tristearylphenyl polyglycol ether, alkylaryl polyether alcohols, alcohol and fatty alcohol/ethylene oxide condensates, ethoxylated castor oil, polyoxyethylene alkyl ethers, ethoxylated polyoxypropylene, lauryl alcohol polyglycol ether acetal, sorbitol esters, lignin-sulfite waste liquors and methylcellulose.

Substances which are suitable for the preparation of directly sprayable solutions, emulsions, pastes or oil dispersions are mineral oil fractions of medium to high boiling point, such as kerosene or diesel oil, furthermore coal tar oils and oils of vegetable or animal origin, aliphatic, cyclic and aromatic hydrocarbons, for example toluene, xylene, paraffin, tetrahydronaphthalene, alkylated naphthalenes or their derivatives, methanol, ethanol, propanol, butanol, cyclohexanol, cyclohexanone, isophorone, strongly polar solvents, for example dimethyl sulfoxide, N-methylpyrrolidone and water.

25

Powders, materials for spreading and dusts can be prepared by mixing or concomitantly grinding the active substances with a solid carrier.

Granules, for example coated granules, impregnated granules and homogeneous granules, can be prepared by binding the active ingredients to solid carriers. Examples of solid carriers are mineral earths such as silica gels, silicates, talc, kaolin, attaclay, limestone, lime, chalk, bole, loess, clay, dolomite, diatomaceous earth, calcium sulfate, magnesium sulfate, magnesium oxide, ground synthetic materials, fertilizers, such as, for example, ammonium sulfate, ammonium phosphate, ammonium nitrate, ureas, and products of vegetable origin, such as cereal meal, tree bark meal, wood meal and nutshell meal, cellulose powders and other solid carriers.

In general, the formulations comprise from 0.01 to 95% by weight, preferably from 0.1 to 90% by weight, of the active ingredient. The active ingredients are employed in a purity of from 90% to 100%, preferably 95% to 100% (according to NMR spectrum).

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The following are examples of formulations: 1. Products for dilution with water

A Soluble concentrates (SL)

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10 parts by weight of a compound according to the invention are dissolved in water or in a water-soluble solvent. As an alternative, wetters or other auxiliaries are added. The active ingredient dissolves upon dilution with water.

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B Dispersible concentrates (DC)

20 parts by weight of a compound according to the invention are dissolved in cyclohexanone with addition of a dispersant, for example polyvinylpyrrolidone. Dilution with water gives a dispersion.

C Emulsifiable concentrates (EC)

20 15 parts by weight of a compound according to the invention are dissolved in xylene with addition of calcium dodecylbenzenesulfonate and castor oil ethoxylate (in each case 5% strength). Dilution with water gives an emulsion.

25 D Emulsions (EW, EO)

40 parts by weight of a compound according to the invention are dissolved in xylene with addition of calcium dodecylbenzenesulfonate and castor oil ethoxylate (in each case 5% strength). This mixture is introduced into water by means of an emulsifier (Ultraturrax) and made into a homogeneous emulsion. Dilution with water gives an emulsion.

E Suspensions (SC, OD)

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In an agitated ball mill, 20 parts by weight of a compound according to the invention are comminuted with addition of dispersant, wetters and water or an organic solvent to give a fine active ingredient suspension. Dilution with water gives a stable suspension of the active ingredient.

F Water-dispersible granules and water-soluble granules (WG, SG)

45 50 parts by weight of a compound according to the invention are ground finely with addition of dispersants and wetters and made into water-dispersible or water-soluble granules by means of

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technical appliances (for example extrusion, spray tower, fluidized bed). Dilution with water gives a stable dispersion or solution of the active ingredient.

5 G Water-dispersible powders and water-soluble powders (WP, SP)

75 parts by weight of a compound according to the invention are ground in a rotor-stator mill with addition of dispersant, wetters and silica gel. Dilution with water gives a stable dispersion or solution with the active ingredient.

2. Products to be applied undiluted

H Dustable powders (DP)

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5 parts by weight of a compound according to the invention are ground finely and mixed intimately with 95% of finely divided kaolin. This gives a dustable product.

20 I Granules (GR, FG, GG, MG)

0.5 part by weight of a compound according to the invention is ground finely and associated with 95.5% carriers. Current methods are extrusion, spray-drying or the fluidized bed. This gives granules to be applied undiluted.

J ULV solutions (UL)

10 parts by weight of a compound according to the invention are dissolved in an organic solvent, for example xylene. This gives a product to be applied undiluted.

The active ingredients can be used as such, in the form of their formulations or the use forms prepared therefrom, eg. in the form of directly sprayable solutions, powders, suspensions or dispersions, emulsions, oil dispersions, pastes, dustable products, materials for spreading, or granules, by means of spraying, atomizing, dusting, spreading or pouring. The use forms depend entirely on the intended purposes; it is intended to ensure in each case the finest possible distribution of the active ingredients according to the invention.

Aqueous use forms can be prepared from emulsion concentrates, pastes or wettable powders (sprayable powders, oil dispersions) by adding water. To prepare emulsions, pastes or oil dispersions, the substances, as such or dissolved in an oil or solvent, can be homogenized in water by means of a wetter, tackifier, dispersant

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or emulsifier. Alternatively, it is possible to prepare concentrates composed of active substance, wetter, tackifier, dispersant or emulsifier and, if appropriate, solvent or oil, and such concentrates are suitable for dilution with water.

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The active ingredient concentrations in the ready-to-use products can be varied within relatively wide ranges. In general, they are from 0.0001 to 10%, preferably from 0.01 to 1%.

10 The active ingredients may also be used successfully in the ultra-low-volume process (ULV), it being possible to apply formulations comprising over 95% by weight of active ingredient, or even to apply the active ingredient without additives.

15 Various types of oils, wetters, adjuvants, herbicides, fungicides, other pesticides, or bactericides may be added to the active ingredients, if appropriate just immediately prior to use (tank mix). These agents can be admixed with the agents according to the invention in a weight ratio of 1:10 to 10:1.

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In the use form as fungicides, the compositions according to the invention can also be present together with other active ingredients, for example with herbicides, insecticides, growth regulators, fungicides or else with fertilizers. Mixing the compounds I

25 or the compositions comprising them in the use form as fungicides with other fungicides frequently results in a broader fungicidal spectrum of action.

The following list of fungicides together with which the

30 compounds according to the invention can be used is intended to illustrate the possible combinations, but not to impose any limitation:

- acylalanines such as benalaxyl, metalaxyl, ofurace, oxadixyl,
- 35 • amine derivatives such as aldimorph, dodine, dodemorph, fenpropimorph, fenpropidin, guazatine, iminoctadine, spiroxamin, tridemorph
- anilinopyrimidines such as pyrimethanil, mepanipyrim or cyrodinyl,
- 40 • antibiotics such as cycloheximid, griseofulvin, kasugamycin, natamycin, polyoxin or streptomycin,
- azoles such as bitertanol, bromoconazole, cyproconazole, difenoconazole, dinitroconazole, epoxiconazole, fenbuconazole, fluquiconazole, flusilazole, hexaconazole, imazalil, metconazole,
- 45 • myclobutanil, penconazole, propiconazole, prochloraz, prothioconazole, tebuconazole, triadimefon, triadimenol, triflumizol, triticonazole,

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- dicarboximides such as iprodion, myclozolin, procymidon, vinclozolin,
- dithiocarbamates such as ferbam, nabam, maneb, mancozeb, metatam, metiram, propineb, polycarbamate, thiram, ziram, zineb,
- 5 • heterocyclic compounds such as anilazine, benomyl, boscalid, carbendazim, carboxin, oxycarboxin, cyazofamid, dazomet, dithianon, famoxadon, fenamidon, fenarimol, fuberidazole, flutolanil, furametpyr, isoprothiolane, nepronil, nuarimol, probenazole, proquinazid, pyrifenoxy, pyroquilon, quinoxifen,
- 10 • silthiofam, thiabendazole, thifluzamid, thiophanate-methyl, tiadinil, tricyclazole, triforine,
- copper fungicides such as Bordeaux mixture, copper acetate, copper oxychloride, basic copper sulfate,
- nitrophenyl derivatives such as binapacryl, dinocap, dinobuton, nitrophthalisopropyl
- 15 • phenylpyrroles such as fenpiclonil or fludioxonil,
- sulfur
- other fungicides such as acibenzolar-S-methyl, benthiavalicarb, carpropamid, chlorothalonil, cyflufenamid, cymoxanil, dazomet, diclomezin, diclocymet, diethofencarb, edifenphos, ethaboxam, fenhexamid, fentin-acetate, fenoxanil, ferimzone,
- 20 • fluazinam, fosetyl, fosetyl-aluminum, iprovalicarb, hexachlorobenzene, metrafenon, pencycuron, propamocarb, phthalide, toloclofos-methyl, quintozone, zoxamid
- 25 • strobilurins such as azoxystrobin, dimoxystrobin, fluoxastrobin, kresoxim-methyl, metominostrobin, orysastrobin, picoxystrobin, pyraclostrobin or trifloxystrobin,
- sulfenic acid derivatives such as captafol, captan, dichlofluanid, folpet, tolylfluanid
- 30 • cinnemamides and analogs such as dimethomorph, flumetover or flumorph.

Synthesis Examples

35 With due modification of the starting compounds, the protocols shown in the synthesis examples below were used for obtaining further compounds I. The resulting compounds I, together with physical data, are listed in the Table I which follows.

40 **Example 1** Preparation of diethyl (2,3,5-trifluorophenyl)-malonate

Ethyl 2-(2,3,5-trifluorophenyl)-acetate (29 g) was slowly added to a mixture of diethylcarbonate (63 g) and sodium hydride
 45 (9.5 g) in toluene (350 ml). After being refluxed for 3 hours, the reaction mixture was cooled, treated with ice-water and washed with water. The organic layer was separated, dried and filtered.

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red. The filtrate was concentrated in vacuo to yield 32 g of the title compound.

Example 2 Preparation of 5,7-dihydroxy-6-(2,3,5-trifluorophenyl)-[1,2,4]-triazolo-[1,5-a]pyrimidine

A mixture of 3-amino-1,2,4-triazole (14 g), diethyl (2,3,5-trifluorophenyl)-malonate (0.17 mol, obtained from Ex. 1) and tributylamine (50 ml) was heated at 180°C for six hours. The reaction mixture was cooled to about 70°C. After addition of aqueous sodium hydroxide (21 g/200 ml H₂O) the reaction mixture was stirred for 30 minutes. After separation of the organic phase the aqueous phase was extracted with diethyl ether. The aqueous phase was acidified with concentrated hydrochloric acid. The precipitate was collected by filtration and dried to yield 43 g of the title compound.

Example 3 Preparation of 5,7-dichloro-6-(2,3,5-trifluorophenyl)-[1,2,4]-triazolo-[1,5-a]pyrimidine

A mixture of 5,7-dihydroxy-6-(2,3,5-trifluorophenyl)-[1,2,4]-triazolo-[1,5-a]pyrimidine (30 g, obtained from Ex. 2) and phosphorous oxychloride (50 ml) is refluxed for 8 h. Phosphorous oxychloride partly distilled off. The residue was poured into a mixture of dichloromethane and water. The organic layer was separated, dried and filtered. The filtrate was concentrated in vacuo to yield 26 g of the title compound of mp. 191°C.

Example 4 Preparation of 5-chloro-6-(2,3,5-trifluorophenyl)-7-isopropylamino-[1,2,4]-triazolo[1,5-a]pyrimidine [I-2]

A mixture of isopropylamine (1.5 mmol), triethylamine (1.5 mmol) and dichloromethane (10 ml) was added to a mixture of 5,7-dichloro-6-(2,3,5-trifluorophenyl)-[1,2,4]-triazolo[1,5-a]pyrimidine (1.5 mmol, obtained from Ex. 3) and dichloromethane (20 ml) under stirring. The reaction mixture was stirred for 16 h. at 20 to 25°C and washed with 5% hydrochloric acid. The organic layer was separated, dried and filtered. The filtrate was evaporated and the residue was purified by column chromatography to yield 0.42 g of the title compound of mp. 151°C.

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Example 5 Preparation of 5-cyano-6-(2-chloro-4-fluorophenyl)-7-(4-methylpiperidin-1-yl)-[1,2,4]-triazolo[1,5-a]pyrimidine

5 A mixture of 5-chloro-6-(2-chloro-4-fluorophenyl)-7-(4-methylpiperidin-1-yl)-[1,2,4]-triazolo[1,5-a]pyrimidine (0.1 mol) and tetraethylammonium cyanide (0.25 mol) in 750 ml Dimethylformamide (DMF) was stirred for 16 hours at 20 to 25 °C. To this mixture was added water and methyl-tert. butylether (MTBE), the organic phase
10 was separated, washed with water, dried and filtered. The filtrate was evaporated and the residue was purified by column chromatography to yield 5.91 g of the title compound of mp. 247°C.

Example 6 Preparation of 5-methoxy-6-(2-chloro-4-fluorophenyl)-7-(4-methylpiperidin-1-yl)-[1,2,4]-triazolo[1,5-a]pyrimidine
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To a solution of 5-chloro-6-(2-chloro-4-fluorophenyl)-7-(4-methylpiperidin-1-yl)-[1,2,4]-triazolo[1,5-a]pyrimidine
20 (65 mmol) in 400 ml dry methanol was added a solution of sodium methanolate (30%, 71.5 mmol) at 20 to 25°C. This mixture was stirred for 16 hours at 20 to 25°C. Methanol was evaporated and the residue was dissolved with dichloromethane. The organic phase was washed with water, dried and filtered. The filtrate was evaporated
25 ted under reduced pressure and the residue was purified by column chromatography to yield 4.52 g of the title compound of mp. 186°C.

Example 7 Preparation of 5-methyl-6-(2-chloro-4-fluorophenyl)-7-(4-methylpiperidin-1-yl)-[1,2,4]-triazolo[1,5-a]pyrimidine
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A mixture of 20 ml diethyl malonate and NaH (0.27 g of a 50% dispersion in mineral oil, 5.65 mmol) in 50 ml acetonitrile was stirred at 20 to 25°C for about 2 hours. To this mixture 5-chloro-
35 6-(2-chloro-4-fluorophenyl)-7-(4-methylpiperidin-1-yl)-[1,2,4]-triazolo[1,5-a]pyrimidine (4.71 mmol) was added. The reaction mixture was heated to 60°C and stirred for about 20 hours. Aqueous ammonium chloride (50 ml) was added and the mixture was acidified with diluted HCl. The reaction mixture was extracted with MTBE.
40 The combined organic phases were dried and concentrated. The residue was purified by column chromatography.

The pure product obtained was diluted in concentrated HCl and heated to 80°C for about 24 hours. This reaction mixture was cooled and adjusted to pH of 5 by addition of aqueous NaOH, and sub-

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sequently extracted with MTBE. The combined organic phases were dried, concentrated and purified by column chromatography to yield 0,78 g of the title compound of mp. 236°C.

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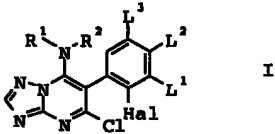
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Table I



No.	R ¹	R ²	Hal	L ¹	L ²	L ³	phys. data (m.p.[°C])
I-1	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	F	F	H	F	128
I-2	CH(CH ₃) ₂	H	F	F	H	F	151
I-3	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	F	H	F	171
I-4	cyclopentyl	H	F	F	H	F	111
I-5	CH ₂ CH ₃	CH ₂ CH ₃	F	F	H	F	165
I-6	CH ₂ CH ₂ CH ₃	CH ₂ CH ₂ CH ₃	F	F	H	F	107
I-7	CH(CH ₃) ₂	CH ₃	F	F	H	F	172
I-8	(±) CH(CH ₃)-CH ₂ CH ₃	H	F	F	H	F	99
I-9	(S) CH(CH ₃)-CH ₂ CH ₃	H	F	F	H	F	94
I-10	(R) CH(CH ₃)-CH ₂ CH ₃	H	F	F	H	F	94
I-11	(±) CH(CH ₃)-CH(CH ₃) ₂	H	F	F	H	F	113 / 114
I-12	(S) CH(CH ₃)-CH(CH ₃) ₂	H	F	F	H	F	108 / 122
I-13	(R) CH(CH ₃)-CH(CH ₃) ₂	H	F	F	H	F	108 / 122
I-14	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	F	H	F	138 / 129
I-15	(S) CH(CH ₃)-C(CH ₃) ₃	H	F	F	H	F	129 / 121

No.	R ¹	R ²	Hal	L ¹	L ²	L ³	phys. data (m.p. [°C])
I-16	(R) CH(CH ₃)-C(CH ₃) ₃	H	F	F	H	F	129 / 121
I-17	(±) CH(CH ₃)-CF ₃	H	F	F	H	F	164
I-18	(S) CH(CH ₃)-CF ₃	H	F	F	H	F	147
I-19	(R) CH(CH ₃)-CF ₃	H	F	F	H	F	147
I-20	CH ₂ CF ₃	H	F	F	H	F	161
I-21	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	F	H	F	H	105
I-22	CH(CH ₃) ₂	H	F	H	F	H	159
I-23	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	F	H	208
I-24	(±) CH(CH ₃)-CH ₂ CH ₃	H	F	H	F	H	86
I-25	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	H	F	H	160
I-26	(±) CH(CH ₃)-CF ₃	H	F	H	F	H	151
I-27	(S) CH(CH ₃)-CF ₃	H	F	H	F	H	116
I-28	CH ₂ CF ₃	H	F	H	F	H	181
I-29	CH(CH ₃) ₂	H	F	H	Br	H	83
I-30	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	Br	H	175
I-31	cyclopentyl	H	F	H	Br	H	161
I-32	CH ₂ CH ₃	CH ₂ CH ₃	F	H	Br	H	142
I-33	(±) CH(CH ₃)-CH ₂ CH ₃	H	F	H	Br	H	81
I-34	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	H	Br	H	196
I-35	(±) CH(CH ₃)-CF ₃	H	F	H	Br	H	157
I-36	CH ₂ CF ₃	H	F	H	Br	H	108
I-37	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	F	F	H	H	116

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No.	R ¹	R ²	Hal	L ¹	L ²	L ³	phys. data (m.p.[°C])
I-38	CH(CH ₃) ₂	H	F	F	H	H	138
I-39	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	F	H	H	208
I-40	cyclopentyl	H	F	F	H	H	65
I-41	CH ₂ CH ₃	CH ₂ CH ₃	F	F	H	H	135
I-42	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	F	H	H	140
I-43	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	F	H	H	F	121
I-44	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	H	F	181
I-45	(±) CH(CH ₃)-CH ₂ CH ₃	H	F	H	H	F	134
I-46	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	H	H	F	184
I-47	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	F	H	F	F	138
I-48	CH(CH ₃) ₂	H	F	H	F	F	138
I-49	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	F	F	192
I-50	cyclopentyl	H	F	H	F	F	165
I-51	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	H	F	F	149
I-52	(±) CH(CH ₃)-CF ₃	H	F	H	F	F	159
I-53	CH ₂ CF ₃	H	F	H	F	F	178
I-54	CH(CH ₃) ₂	H	F	F	F	H	139
I-55	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	F	F	H	241
I-56	CH ₂ CH ₃	CH ₂ CH ₃	F	F	F	H	152
I-57	(±) CH(CH ₃)-CH ₂ CH ₃	H	F	F	F	H	123
I-58	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	F	F	H	160
I-59	(±) CH(CH ₃)-CF ₃	H	F	F	F	H	157

32

No.	R ¹	R ²	Hal	L ¹	L ²	L ³	phys. data (m.p. [°C])
I-60	CH ₂ CF ₃	H	F	F	F	H	174
I-61	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	NH ₂	H	249
I-62	(±) CH(CH ₃)-CF ₃	H	F	H	NH ₂	H	196
I-63	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	N(CH ₃) ₂	H	143
I-64	(±) CH(CH ₃)-CF ₃	H	F	H	N(CH ₃) ₂	H	147
I-65	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	NHCOCH ₃	H	135
I-66	(±) CH(CH ₃)-CF ₃	H	F	H	NHCOCH ₃	H	147
I-67	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	Br	F	H	F	139
I-68	CH(CH ₃) ₂	H	Br	F	H	F	138
I-69	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		Br	F	H	F	153
I-70	cyclopentyl	H	Br	F	H	F	117
I-71	(±) CH(CH ₃)-CH ₂ CH ₃	H	Br	F	H	F	121
I-72	(S) CH(CH ₃)-CH ₂ CH ₃	H	Br	F	H	F	133
I-73	(R) CH(CH ₃)-CH ₂ CH ₃	H	Br	F	H	F	133
I-74	(±) CH(CH ₃)-CH(CH ₃) ₂	H	Br	F	H	F	113
I-75	(S) CH(CH ₃)-CH(CH ₃) ₂	H	Br	F	H	F	125
I-76	(R) CH(CH ₃)-CH(CH ₃) ₂	H	Br	F	H	F	125
I-77	(±) CH(CH ₃)-C(CH ₃) ₃	H	Br	F	H	F	119
I-78	(S) CH(CH ₃)-C(CH ₃) ₃	H	Br	F	H	F	130
I-79	(R) CH(CH ₃)-C(CH ₃) ₃	H	Br	F	H	F	130
I-80	(±) CH(CH ₃)-CF ₃	H	Br	F	H	F	65
I-81	(S) CH(CH ₃)-CF ₃	H	Br	F	H	F	78

No.	R ¹	R ²	Hal	L ¹	L ²	L ³	phys. data (m.p. [°C])
I-82	(R) CH(CH ₃)-CF ₃	H	Br	F	H	F	78
I-83	(S) CH(CH ₃)-CH ₂ CH ₃	H	F	H	F	H	79
I-84	(R) CH(CH ₃)-CH ₂ CH ₃	H	F	H	F	H	79
I-85	(S) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	F	H	133
I-86	(R) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	F	H	133
I-87	(S) CH(CH ₃)-C(CH ₃) ₃	H	F	H	F	H	161
I-88	(R) CH(CH ₃)-C(CH ₃) ₃	H	F	H	F	H	161
I-89	(R) CH(CH ₃)-CF ₃	H	F	H	F	H	116
I-90	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	F	H	Cl	H	123
I-91	(±) CH(CH ₃)-CH ₂ CH ₃	H	F	H	Cl	H	110
I-92	(S) CH(CH ₃)-CH ₂ CH ₃	H	F	H	Cl	H	99
I-93	(R) CH(CH ₃)-CH ₂ CH ₃	H	F	H	Cl	H	99
I-94	(±) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	Cl	H	141
I-95	(S) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	Cl	H	131
I-96	(R) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	Cl	H	131
I-97	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	H	Cl	H	191
I-98	(S) CH(CH ₃)-C(CH ₃) ₃	H	F	H	Cl	H	186
I-99	(R) CH(CH ₃)-C(CH ₃) ₃	H	F	H	Cl	H	185
I-100	(±) CH(CH ₃)-CF ₃	H	F	H	Cl	H	162
I-101	(S) CH(CH ₃)-CF ₃	H	F	H	Cl	H	162
I-102	(R) CH(CH ₃)-CF ₃	H	F	H	Cl	H	162
I-103	CH ₂ CF ₃	H	F	H	Cl	H	146

No.	R ¹	R ²	Hal	L ¹	L ²	L ³	phys. data (m.p. [°C])
I-104	C(CH ₃)CH(CH ₃) ₂	H	F	H	F	H	130
I-105	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	F	H	CF ₃	H	140
I-106	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	CF ₃	H	177
I-107	(±) CH(CH ₃)-CH ₂ CH ₃	H	F	H	CF ₃	H	137
I-108	(S) CH(CH ₃)-CH ₂ CH ₃	H	F	H	CF ₃	H	128
I-109	(R) CH(CH ₃)-CH ₂ CH ₃	H	F	H	CF ₃	H	128
I-110	(±) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	CF ₃	H	150
I-111	(S) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	CF ₃	H	143
I-112	(R) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	CF ₃	H	143
I-113	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	H	CF ₃	H	193
I-114	(S) CH(CH ₃)-C(CH ₃) ₃	H	F	H	CF ₃	H	195
I-115	(R) CH(CH ₃)-C(CH ₃) ₃	H	F	H	CF ₃	H	194
I-116	(±) CH(CH ₃)-CF ₃	H	F	H	CF ₃	H	167
I-117	(S) CH(CH ₃)-CF ₃	H	F	H	CF ₃	H	135
I-118	(R) CH(CH ₃)-CF ₃	H	F	H	CF ₃	H	135
I-119	CH ₂ CF ₃	H	F	H	CF ₃	H	143
I-120	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	F	H	H	CH ₃	121
I-121	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	H	CH ₃	141
I-122	(±) CH(CH ₃)-CH ₂ CH ₃	H	F	H	H	CH ₃	134
I-123	(S) CH(CH ₃)-CH ₂ CH ₃	H	F	H	H	CH ₃	131
I-124	(R) CH(CH ₃)-CH ₂ CH ₃	H	F	H	H	CH ₃	131
I-125	(±) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	H	CH ₃	158

No.	R ¹	R ²	Mol	L ¹	L ²	L ³	phys. data (m.p. [°C])
I-126	(S) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	H	CH ₃	159
I-127	(R) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	H	CH ₃	159
I-128	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	H	H	CH ₃	181
I-129	(S) CH(CH ₃)-C(CH ₃) ₃	H	F	H	H	CH ₃	171
I-130	(R) CH(CH ₃)-C(CH ₃) ₃	H	F	H	H	CH ₃	171
I-131	(±) CH(CH ₃)-CF ₃	H	F	H	H	CH ₃	170
I-132	(S) CH(CH ₃)-CF ₃	H	F	H	H	CH ₃	140
I-133	(R) CH(CH ₃)-CF ₃	H	F	H	H	CH ₃	140
I-134	CH ₂ CF ₃	H	F	H	H	CH ₃	185
I-135	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	Cl	H	F	H	128
I-136	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		Cl	H	F	H	124
I-137	(±) CH(CH ₃)-CH ₂ CH ₃	H	Cl	H	F	H	155
I-138	(S) CH(CH ₃)-CH ₂ CH ₃	H	Cl	H	F	H	130
I-139	(R) CH(CH ₃)-CH ₂ CH ₃	H	Cl	H	F	H	131
I-140	(±) CH(CH ₃)-CH(CH ₃) ₂	H	Cl	H	F	H	121
I-141	(S) CH(CH ₃)-CH(CH ₃) ₂	H	Cl	H	F	H	108
I-142	(R) CH(CH ₃)-CH(CH ₃) ₂	H	Cl	H	F	H	109
I-143	(±) CH(CH ₃)-C(CH ₃) ₃	H	Cl	H	F	H	156
I-144	(S) CH(CH ₃)-C(CH ₃) ₃	H	Cl	H	F	H	153
I-145	(R) CH(CH ₃)-C(CH ₃) ₃	H	Cl	H	F	H	153
I-146	(±) CH(CH ₃)-CF ₃	H	Cl	H	F	H	194
I-147	(S) CH(CH ₃)-CF ₃	H	Cl	H	F	H	155

37

No.	R ¹	R ²	Hal	L ¹	L ²	L ³	phys. data (m.p. [°C])
I-148	(R) CH(CH ₃)-CF ₃	H	Cl	H	F	H	155
I-149	CH ₂ CF ₃	H	Cl	H	F	H	176
I-150	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	Cl	H	187
I-151	(±) CH(CH ₃)-CH ₂ CH ₃	H	F	F	H	H	133
I-152	(S) CH(CH ₃)-CH ₂ CH ₃	H	F	F	H	H	137
I-153	(R) CH(CH ₃)-CH ₂ CH ₃	H	F	F	H	H	137
I-154	(±) CH(CH ₃)-CH(CH ₃) ₂	H	F	F	H	H	135
I-155	(S) CH(CH ₃)-CH(CH ₃) ₂	H	F	F	H	H	124
I-156	(R) CH(CH ₃)-CH(CH ₃) ₂	H	F	F	H	H	124
I-157	(S) CH(CH ₃)-C(CH ₃) ₃	H	F	F	H	H	142
I-158	(R) CH(CH ₃)-C(CH ₃) ₃	H	F	F	H	H	142
I-159	(±) CH(CH ₃)-CF ₃	H	F	F	H	H	187
I-160	(S) CH(CH ₃)-CF ₃	H	F	F	H	H	149
I-161	(R) CH(CH ₃)-CF ₃	H	F	F	H	H	149
I-162	CH ₂ CF ₃	H	F	F	H	H	186
I-163	(S) CH(CH ₃)-CH ₂ CH ₃	H	F	H	H	F	131
I-164	(R) CH(CH ₃)-CH ₂ CH ₃	H	F	H	H	F	131
I-165	(±) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	H	F	159
I-166	(S) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	H	F	162
I-167	(R) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	H	F	162
I-168	(S) CH(CH ₃)-C(CH ₃) ₃	H	F	H	H	F	180
I-169	(R) CH(CH ₃)-C(CH ₃) ₃	H	F	H	H	F	180

No.	R ¹	R ²	Hal	L ¹	L ²	L ³	phys. data (m.p. [°C])
I-170	(±) CH(CH ₃)-CF ₃	H	F	H	H	F	63
I-171	(S) CH(CH ₃)-CF ₃	H	F	H	H	F	59
I-172	(R) CH(CH ₃)-CF ₃	H	F	H	H	F	59
I-173	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	F	H	NO ₂	H	170
I-174	CH(CH ₃) ₂	H	F	H	NO ₂	H	169
I-175	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	NO ₂	H	231
I-176	cyclopentyl	H	F	H	NO ₂	H	201
I-177	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	H	NO ₂	H	165
I-178	(±) CH(CH ₃)-CF ₃	H	F	H	NO ₂	H	241
I-179	CH ₂ CF ₃	H	F	H	NO ₂	H	237
I-180	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	Cl	H	NO ₂	H	166
I-181	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		Cl	H	NO ₂	H	204

In some cases of chiral groups R¹ and due to the hindered rotation of the phenyl group two diastereomers exist which may differ in their physical properties.

38

Examples for the action against harmful fungi

The fungicidal action of the compounds of formula I was demonstrated by the following experiments:

The active ingredients, separately or jointly, were used to prepare a stock solution comprising 0.25 % by weight of active ingredient in acetone or DMSO. 1% by weight of the emulsifier Uni-perol® EL (emulsifying and dispersing wetter based on ethoxylated alkylphenols) was added to this solution and the mixture was diluted with water to give the desired concentration.

Use Example 1 - Fungicidal control of early blight on tomatoes (*Alternaria solani*)

Leaves of pot grown tomato seedlings of the "Große Fleischtomate St. Pierre" variety were sprayed with an aqueous suspension containing the active compound in the concentration mentioned below. The next day the leaves were infected with a zoospore suspension of *Alternaria solani* (0.17×10^6 spores per ml of a 2% strength bio-malt solution). The plants were then placed in a water vapour-saturated chamber at 20 to 22°C. After 5 days the disease had spread to such a great extent on the untreated plants that the fungicidal activity of the substances could be assessed.

In this test, the plants which had been treated with 250 ppm of compounds I-2, I-4, I-8, I-17, I-20, I-21, I-25, I-28, I-90, I-91, I-94, I-97, and I-101, resp., showed an infection of not more than 7 %, whereas the untreated plants were infected to 90 %.

Use Example 2 - Control of gray mould (*Botrytis cinerea*) on paprika leaves

Paprika seedlings were sprayed to run-off at the four- to five leave stage with an aqueous suspension containing the concentration of active ingredient mentioned below. The next day the plants were inoculated with a spore suspension of *Botrytis cinerea* containing 1.7×10^6 spores per ml in 2 wt. % aqueous biomalt solution. The infected plants were then incubated in chambers with high humidity for five days at 22-24°C. The extent of fungus spread was assessed as %-attack of the whole leaf surface.

40

In this test, the plants which had been treated with 250 ppm of compounds I-2, I-4, I-5, I-8, I-17, and I-20, resp., showed an infection of not more than 5 %, whereas the the untreated plants were infected to 85 %.

5

Use Example 3 - Fungicidal control of grape downy mildew (*Plasmopara viticola*)

Leaves of potted vines of the "Müller Thurgau" variety were
10 sprayed with aqueous liquors made from a stock solution containing the concentration of active ingredient mentioned below. The next day they were inoculated with an aqueous spore suspension of *Plasmopara viticola* by spraying it at the lower leaf-side. Then the trial plants were transferred for 48 h to a humid chamber
15 with about 24°C and a relative humidity close to 100 %. For a period of 5 days, cultivation followed in a greenhouse at 20 to 30°C. To stimulate the outbreak of the disease symptoms, the plants were transferred to a humid chamber again for 16 hours. Then the extent of fungal attack on the lower leaf surface was
20 visually assessed as % diseased leaf area.

In this test, the plants which had been treated with 250 ppm of compounds I-2, I-4, I-8, I-17, and I-20, resp., showed an infection of not more than 15 %, whereas the untreated plants were infected to 95 %.

Use Example 4 - Action on *Pyricularia oryzae* (protective action)

Leaves of pot grown rice seedlings of the "Tai-Nong 67" variety
30 were sprayed to runoff with an aqueous suspension, containing the concentration of active ingredient mentioned below. The next day the plants were inoculated with an aqueous spore suspension of *Pyricularia oryzae*. The plants were then placed for 6 days in a humid chamber at 22 to 24°C and a relative humidity of 95 to 99 %.
35 The extent of fungus spread was assessed as %-attack of the whole leaf surface.

In this test, the plants which had been treated with 250 ppm of compounds I-2, I-4, I-5, and I-20, resp., showed an infection of
40 not more than 15%, whereas the untreated plants were infected to 80 %.

45

41

33 Use Example 5 - Control of net blotch on barley caused by *Pyrenophora teres*

Leaves of pot grown barley seedlings of the variety "Igri" were
5 sprayed to run-off with an aqueous suspension, containing the
concentration of active ingredient mentioned below. The next day
the treated plants were inoculated with an aqueous spore
suspension of *Pyrenophora* [syn. *Drechslera*] *teres*. Then the trial
plants were immediately transferred to a humid chamber in the
10 greenhouse. After 6 days of cultivation at 20-24°C and a relative
humidity close to 100 %, the extent of fungal attack on the lea-
ves was visually assessed as % diseased leaf area.

In this test, which had been treated with 250 ppm of compounds
15 I-21, I-25, I-28, I-43, I-45, I-91, I-94, and I-97, resp., showed
an infection of not more than 10 %, whereas the untreated plants
were infected to 90 %.

20

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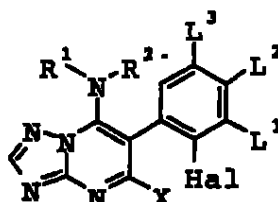
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Claims:

1. Substituted 6-(2-halogenphenyl)-triazolopyrimidines of formula I



I

in which

R¹ denote C₁-C₁₀-alkyl, C₂-C₁₀-alkenyl, C₂-C₁₀-alkynyl, or C₄-C₁₀-alkadienyl, C₁-C₁₀-haloalkyl, C₂-C₁₀-haloalkenyl, C₃-C₁₀-cycloalkyl, phenyl, naphthyl, or

a 5- or 6-membered saturated, unsaturated, or aromatic heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom,

wherein R¹ and R² radicals may be unsubstituted or partly or fully halogenated or may carry one to three groups R^a,

R^a is cyano, nitro, hydroxyl, C₁-C₆-alkyl, C₃-C₆-cycloalkyl, C₁-C₆-alkoxy, C₁-C₆-alkylthio, C₁-C₆-alkylamino, di-C₁-C₆-alkylamino, C₂-C₆-alkenyl, C₂-C₆-alkenyloxy, C₂-C₆-alkynyl, C₃-C₆-alkynyloxy, or C₁-C₄-alkylenedioxy; or

R² denote hydrogen, or a group mentioned for R¹; or

R¹ and R² together with the interjacent nitrogen atom represent a saturated or partially unsaturated 5- or 6-membered heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom, which ring may be substituted by one to three R^a radicals;

Hal is halogen;

L¹, L³ independently denote hydrogen, halogen, or C₁-C₄-alkyl;

43

34 L² is hydrogen, halogen, C₁-C₄-haloalkyl, or NH₂, NHR^b, or N(R^b)₂,

5 R^b is C₁-C₈-alkyl, C₃-C₁₀-alkenyl, C₃-C₁₀-alkynyl, C₁-C₆-haloalkyl, C₃-C₆-haloalkenyl, C₃-C₆-haloalkynyl, C₁-C₈-alkoxy-C₁-C₈-alkyl, C₁-C₈-alkylthio-C₁-C₈-alkyl, C₃-C₁₀-cycloalkyl, or C(=O)-A, in which
10 A is hydrogen, hydroxy, C₁-C₈-alkyl, C₁-C₈-alkoxy, C₁-C₆-halogenalkoxy, C₁-C₈-alkylamino or di-(C₁-C₈-alkyl)amino;

wherein at least one from L¹, L², and L³ is not hydrogen;

15 X is halogen, cyano, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy or C₃-C₈-alkenyloxy.

2. Compounds of formula I according to claim 1, in which

20 R¹ is straight chained or branched C₂-C₆-alkenyl, C₁-C₆-alkyl, or C₁-C₁₀-haloalkyl, and

R² is hydrogen or C₁-C₆-alkyl, or

25 R¹ and R² together with the interjacent nitrogen atom represent a heterocyclic ring with 5 or 6 carbon atoms being optionally substituted with one or two C₁-C₄-alkyl groups.

30 3. Compounds according to any one of claims 1 or 2 in which R¹ and R² together with the interjacent nitrogen atom represent a 5- or 6-membered heterocyclic ring being optionally substituted with one or two methyl groups.

35 4. Compounds a formula I to any one of claims 1 to 3 in which X is halogen.

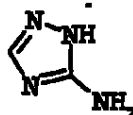
40 5. Compounds a formula I according to claims 1 to 4 in which thew 6-(2-halogenphenyl)group represents one of the following moieties:

2,3,5-trifluorophenyl, 2,4-difluorophenyl, 2-F,4-CF₃-phenyl, 2-F,5-CH₃-phenyl, 2-Cl,4-F-phenyl, 2-F,4-Cl-phenyl, 2-F,4-Br-phenyl, 2-Cl,4-Br-phenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 2,4,5-trifluorophenyl, 2,3,4-trifluorophenyl,

44

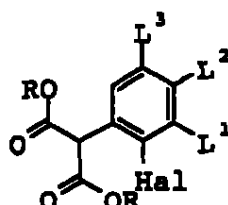
2-F,4-NHC(O)CH₃-phenyl, 2-Br,3,5-difluorophenyl,
2-F,4-NO₂-phenyl, and 2-Cl,4-NO₂-phenyl.

6. A process for the preparation of compounds of formula I as
5 defined in claims 4 and 5 which comprises reacting
5-amino-1,2,4-triazole



10

with 2-phenyl-substituted malonic acid ester of formula II,

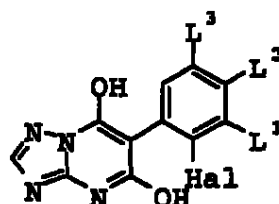


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II

wherein Hal, L¹, L², and L³ are as defined in formula I, and R
denotes C₁-C₆-alkyl, under alkaline conditions, to yield com-
pounds of formula III,

20

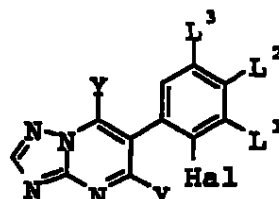


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III

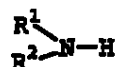
which are subsequently treated with a halogenating agent to
give 5,7-dihalogen-6-phenyl-triazolopyrimidines of formula IV

30



IV

35 in which Y is halogen, with an amine of formula V



V

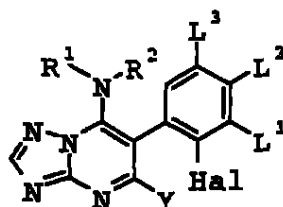
40 in which R¹ and R² are as defined in formula I to produce com-
pounds of formula I.

7. A process for the preparation of compounds of formula I ac-
cording to claim 1 wherein X is cyano, C₁-C₁₀-alkoxy, or
45 C₁-C₁₀-haloalkyl, which comprises reacting 5-halogen-triazolo-
pyrimidine of formula I',

35

35

45



I' (X=Hal)

wherein Y is halogen, with compounds of formula VI,

M-X'

VI

which are, dependent from the value of X' to be introduced, an anorganic cyano salt, an alkoxylate, haloalkoxylate or an alkenyloxylate, resp., wherein M is ammonium-, tetraalkylammonium-, alkalimetal- or earth metal cation, to produce compounds of formula I.

8. Intermediates of formulae II, III, and IV as defined in claim 6.

9. A composition suitable for controlling phytopathogenic fungi, comprising a solid or liquid carrier and a compound of the formula I as claimed in claim 1.

10. A method for controlling phytopathogenic fungi, which comprises treating the fungi or the materials, plants, the soil or the seed to be protected against fungal attack with an effective amount of a compound of the formula I as claimed in claim 1.

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GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR,
KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,
MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT,
RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,
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SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA,
GN, GQ, GW, ML, MR, NE, SN, TD, TG).

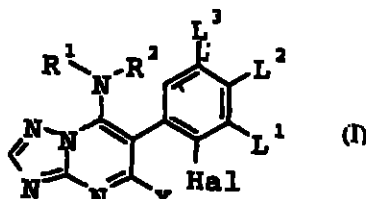
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For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: 6-(2-HALOGENPHENYL)-TRIAZOLOPYRIMIDINES DERIVATIVES AND THEIR USE AS FUNGICIDE



(57) Abstract: Substituted 6-(2-halogenphenyl)-triazolopyrimidines of formula (I) in
which R¹ denote alkyl, alkenyl, alkynyl, alkadienyl, haloalkyl, haloalkenyl, cycloalkyl,
phenyl, naphthyl, or a 5- or 6-membered saturated, unsaturated, or aromatic heterocy-
cle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur
or oxygen atom, wherein R¹ and R² radicals may be substituted as defined in the de-
scription, R² denote hydrogen, or a group mentioned for R¹; or R¹ and R² together with
the adjacent nitrogen atom represent a 5- or 6-membered heterocycle, containing one
to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom,
which ring may be substituted as defined in the description; Hal is halogen; L¹, L² in-
dependently denote hydrogen, halogen, or alkyl; L³ is hydrogen, halogen, haloalkyl, or NH₂, NHR^b, or N(R^b)₂, wherein R^b is as
defined in the description, wherein at least one from L¹, L², and L³ is not hydrogen; X is halogen, cyano, alkyl, alkoxy, haloalkoxy
or alkenyloxy processes for their preparation, compositions containing them and to their use for combating phytopathogenic fungi.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 03/12276

38

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D487/04 A01N43/90 C07C69/76

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A01N C07C

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 98 46608 A (AMERICAN CYANAMID CO) 22 October 1998 (1998-10-22) cited in the application page 1, line 2-5 examples 47,62 claims 1,8-10	1-10
X	FR 2 765 875 A (AMERICAN CYANAMID CO) 15 January 1999 (1999-01-15) page 1, line 1-6 claim 1	1-10
X	EP 0 550 113 A (SHELL INT RESEARCH) 7 July 1993 (1993-07-07) page 1, line 1-3 claim 1	1-10
	-/-	

☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

* Special categories of cited documents:

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the international filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed

- "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- "Z" document member of the same patent family

Date of the actual completion of the international search

13 April 2004

Date of mailing of the international search report

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Name and mailing address of the ISA

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Authorized officer

Samsan Bakhtiary, M

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 03/12276

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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A	FR 2 784 381 A (AMERICAN CYANAMID CO) 14 April 2000 (2000-04-14) claim 1	1-10
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P,X	WO 02 094020 A (KUGLER MARTIN ;KUHN DIETMAR (DE); RIECK HEIKO (DE); BAYER AG (DE)) 28 November 2002 (2002-11-28) claim 1	1-10

INTERNATIONAL SEARCH REPORT

International application No.
PCT/EP 03/12276**Box I Observations where certain claims were found unsearchable (Continuation of Item 1 of first sheet)**

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependant claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of Item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☒ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
1(part), 2(part), 3-10
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☒ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. Claims: 1(part),2(part),4-10

Triazolopyrimidine derivatives, when R2= hydrogen, R1= aliphatic group (claim 1,2,4,5);process to make these compounds (claims 6 and 7); their intermediates (claim 8); their fungicidal composition (claim 9) and use of these compounds for controlling phytopathogenic fungi (claim 10).

2. Claims: 1(part),4-10

Triazolopyrimidine derivatives, when R2= hydrogen, R1= cyclic group (claim 1,4 and 5);process to make these compounds (claims 6 and 7); their intermediates (claim 8); their fungicidal composition (claim 9) and use of these compounds for controlling phytopathogenic fungi (claim 10).

3. Claims: 1(part),2(part), 4-10

Triazolopyrimidine derivatives, when R2= aliphatic group, R1= aliphatic group (claim 1,2,4 and 5);process to make these compounds (claims 6 and 7); their intermediates (claim 8); their fungicidal composition (claim 9) and use of these compounds for controlling phytopathogenic fungi (claim 10).

4. Claims: 1(part),4-10

Triazolopyrimidine derivatives, when R2= aliphatic group, R1= cyclic group (claim 1,4 and 5);process to make these compounds (claims 6 and 7); their intermediates (claim 8); their fungicidal composition (claim 9) and use of these compounds for controlling phytopathogenic fungi (claim 10).

5. Claims: 1(part), 4-9

Triazolopyrimidine derivatives, when R2= cyclic group, R1= cyclic group (claim 1,4 and 5);process to make these compounds (claims 6 and 7); their intermediates (claim 8); their fungicidal composition (claim 9) and use of these compounds for controlling phytopathogenic fungi (claim 10).

6. Claims: 1(part), 3-10

Triazolopyrimidine derivatives, when R1 and R2 together form an heterocycle (claim 1,3,4 and 5);process to make these compounds (claims 6 and 7); their intermediates (claim 8); their fungicidal composition (claim 9) and use of these

70

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

compounds for controlling phytopathogenic fungi (claim 10).

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 03/12276

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
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INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 03/12276

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
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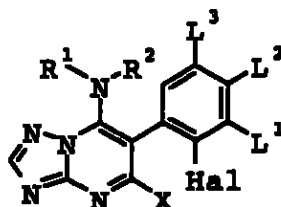
Substituted 6-(2-halogenphenyl)-triazolopyrimidines

Description

5

The invention relates to substituted 6-(2-halogenphenyl)-triazolopyrimidines of formula I

10



I

in which

15

R¹ denote C₁-C₁₀-alkyl, C₂-C₁₀-alkenyl, C₂-C₁₀-alkynyl, or C₄-C₁₀-alkadienyl, C₁-C₁₀-haloalkyl, C₂-C₁₀-haloalkenyl, C₃-C₁₀-cycloalkyl, phenyl, naphthyl, or

20

a 5- or 6-membered saturated, unsaturated, or aromatic heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom,

25

wherein R¹ and R² radicals may be unsubstituted or partly or fully halogenated or may carry one to three groups R^a,

30

R^a is cyano, nitro, hydroxyl, C₁-C₆-alkyl, C₃-C₆-cycloalkyl, C₁-C₆-alkoxy, C₁-C₆-alkylthio, C₁-C₆-alkylamino, di-C₁-C₆-alkylamino, C₂-C₆-alkenyl, C₂-C₆-alkenyloxy, C₂-C₆-alkynyl, C₃-C₆-alkynyloxy, or C₁-C₄-alkylenedioxy;

R² denote hydrogen, or a group mentioned for R¹; or

35

R¹ and R² together with the interjacent nitrogen atom represent a saturated or partially unsaturated 5- or 6-membered heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom, which ring may be substituted by one to three R^a radicals;

40 Hal

is halogen;

L¹, L³ independently denote hydrogen, halogen, or C₁-C₄-alkyl;

45

L² is hydrogen, halogen, C₁-C₄-haloalkyl, or NH₂, NHR^b, or N(R^b)₂,

2

R^b is C₁-C₈-alkyl, C₃-C₁₀-alkenyl, C₃-C₁₀-alkynyl, C₁-C₆-haloalkyl, C₃-C₆-haloalkenyl, C₃-C₆-haloalkynyl, C₁-C₈-alkoxy-C₁-C₈-alkyl, C₁-C₈-alkylthio-C₁-C₈-alkyl, C₃-C₁₀-cycloalkyl, or C(=O)-A, in which

5

A is hydrogen, hydroxy, C₁-C₈-alkyl, C₁-C₈-alkoxy, C₁-C₆-halogenalkoxy, C₁-C₈-alkylamino or di-(C₁-C₈-alkyl)amino;

10 wherein at least one from L¹, L², and L³ is not hydrogen;

X is halogen, cyano, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy or C₃-C₈-alkenyloxy.

15 Moreover, the invention relates to processes for their preparation, compositions containing them and to their use for combating phytopathogenic fungi.

6-Phenyl-7-amino-triazolopyrimidines are generally known from
20 US 4,567,262, and EP-A 550 113.

Triazolopyrimidines with a trifluorophenyl group in 6-position are disclosed in WO 98/46607 and EP-A 945 453. From EP-A 834 513 diverse 6-pentafluorophenyl-triazolopyrimidines are known.

25

The compounds disclosed in the documents discussed above are said to be active against various phytopathogenic fungi.

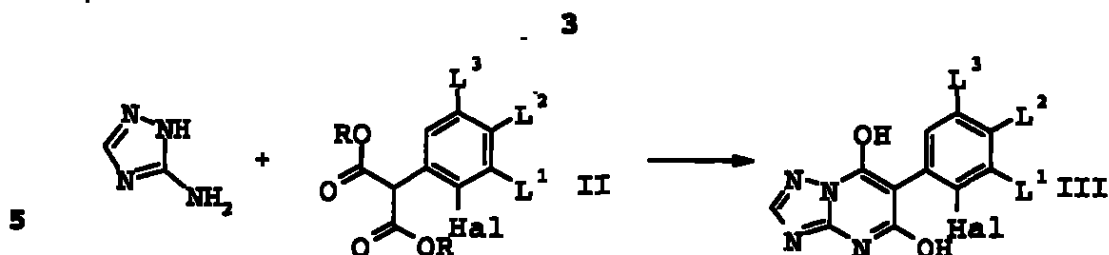
It is an object of the present invention to provide compounds having improved fungicidal activity.
30

We have found that this object is achieved by the compounds defined at the outset. Furthermore, we have found processes for their preparation, compositions comprising them and methods for controlling phytopathogenic fungi using the compounds I.
35

The compounds of formula I differ from the compounds known from closest prior art EP-A 945 453 and EP-A 834 513 in the 6-(2-halogenphenyl) group, which is further substituted in 3-, 4- and/or
40 5-position.

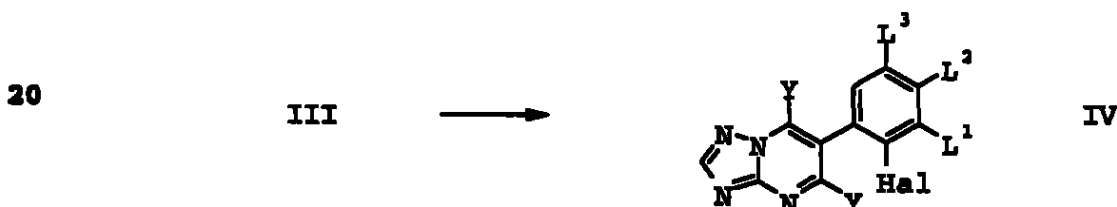
Compounds of formula I can be prepared similar to the conditions known from EP-A 550 113. Preferably the preparation of compounds of formula I as defined above comprises reacting 5-amino-triazole
45 with 2-(2-halogenphenyl)-substituted malonic acid ester of formula II, in which

44



R represents alkyl, preferably C₁-C₆-alkyl, in particular methyl or ethyl, under alkaline conditions, preferably using high boiling tertiary amines as for example tri-n-butylamine as disclosed 10 for example by EP-A 770 615 to yield compounds of formula III.

The resulting 5,7-dihydroxy-6-phenyl-triazolopyrimidine of formula III, wherein L¹ to L³ are as defined for formula I, is subsequently treated with a halogenating agent, preferably with a brominating or chlorinating agent, such as phosphorus oxybromide or 15 phosphorus oxychloride, neat or in the presence of a solvent to give IV, wherein Y is halogen, such as chlorine or bromine.



The reaction is suitably carried out at a temperature in the 25 range from 0°C to 150°C, the preferred reaction temperature being from 80°C to 125°C as disclosed for example by EP-A 770 615.

Dihalotriazolopyrimidine IV is further reacted with an amine of formula V

30



in which R¹ and R² are as defined in formula I to produce com- 35 pounds of formula I in which X is halogen.

The reaction between the 5,7-dihalo compound IV and the amine of formula V can be carried out under conditions known from WO 98/46608. The reaction is preferably carried out in the presence 40 of a solvent. Suitable solvents include ethers, such as dioxane, diethyl ether and, especially, tetrahydrofuran, halogenated hydrocarbons such as dichloromethane and aromatic hydrocarbons, for example toluene.

45

25

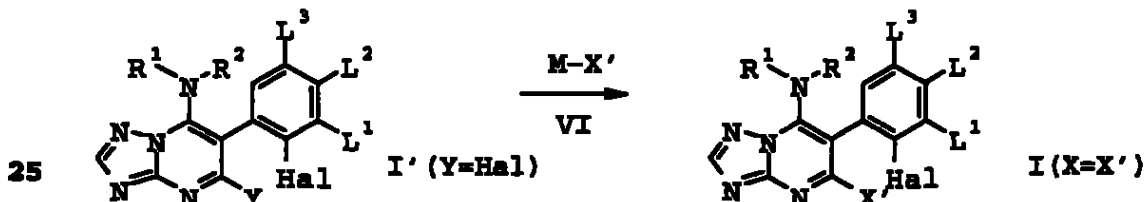
4

The reaction is suitably carried out at a temperature in the range from 0°C to 70°C, the preferred reaction temperature being from 10°C to 35°C.

5 It is also preferred that the reaction is carried out in the presence of a base. Suitable bases include tertiary amines, such as triethylamine, and inorganic bases, such as potassium carbonate or sodium carbonate. Alternatively, an excess of the compound of formula V may serve as a base.

10

Compounds of formula I in which X denotes cyano, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy or C₃-C₈-alkenyloxy can be prepared by reacting compounds I' in which Y is halogen, preferably chloro, with compounds of formula VI, which are, dependent from the value of X' to be introduced to yield formula I compounds, an anorganic cyano salt, an alkoxylate, haloalkoxylate or an alkenyloxylate, respectively, preferably in the presence of a solvent. The cation M in formula VI has minor influence; for practical and economical reasons usually ammonium-, tetraalkylammonium- or alkalimetal- and earth metal salts are preferred.



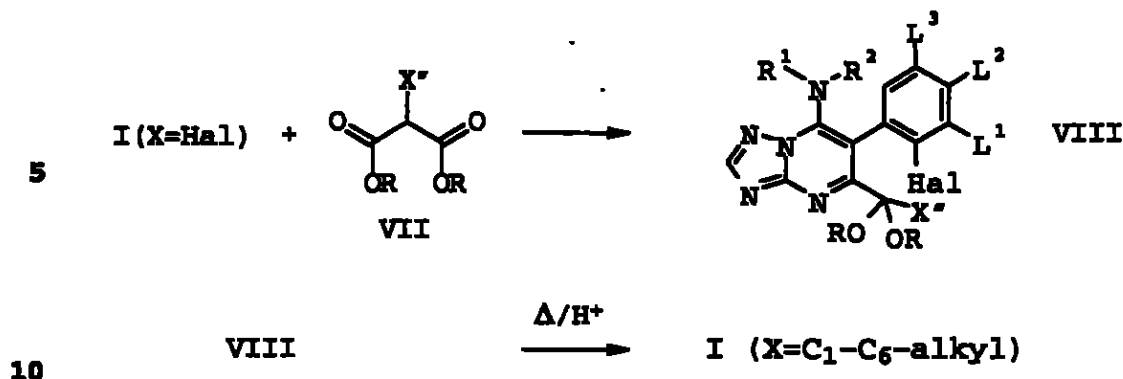
The reaction is suitably carried out at a temperature in the range from 0 to 120°C, the preferred reaction temperature being from 10 to 40°C [cf. J. Heterocycl. Chem. Vol.12, p. 861-863 (1975)].

Suitable solvents include ethers, such as dioxane, diethyl ether and, especially, tetrahydrofuran, halogenated hydrocarbons such as dichloromethane and aromatic hydrocarbons, for example toluene.

Compounds of formula I in which X denotes C₁-C₆-alkyl can be prepared by reacting compounds I in which X is halogen, preferably chloro, with malonic acid esters of formula VII, wherein X' denotes H or C₁-C₅-alkyl and R denotes C₁-C₄-alkyl, to compounds of formula VIII and decarboxylation under conditions described in US 5,994,360.

45

5



Accordingly, the invention relates to the novel intermediates of formulae II, III and IV.

15 The compounds of formula II are preferably prepared by reaction of the corresponding substituted bromobenzenes with sodium dialkylmalonates in the presence of a copper(I) salt [cf. Chemistry Letters, pp. 367-370, 1981; EP-A 10 02 788].

20 The compounds of formula II may also be prepared by reaction of an alkyl 2-(2-halogenphenyl)-acetate with dialkylcarbonate in the presence of a strong base, preferably sodium ethoxide and sodium hydride (cf. Heterocycles, pp. 1031-1047, 1996).

25 The substituted phenylacetates which are the starting compounds for compounds of formula II are known and commercially available, and/or they are obtainable by generally known methods.

The reaction mixtures are worked up in a customary manner, for
 30 example by mixing with water, phase separation and, if required, chromatographic purification of the crude products. Some of the end products are obtained in the form of colorless or slightly brownish, viscous oils, which are purified or freed from volatile components under reduced pressure and at moderately elevated tem-
 35 peratures. If the end products are obtained as solids, purification can also be carried out by recrystallization or digestion.

If individual compounds I are not obtainable by the routes described above, they can be prepared by derivatization of other
 40 compounds I.

In the symbol definitions given in the formulae above, collective terms were used which generally represent the following substituents:

45

- Halogen: fluorine, chlorine, bromine and iodine;

6

C₁-C₁₀-alkyl: saturated, straight-chain or branched hydrocarbon radicals having 1 to 10, especially 1 to 6 carbon atoms, for example C₁-C₄-alkyl as mentioned above or pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 2,2-di-methylpropyl, 1-ethylpropyl, 5 hexyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-ethylbutyl, 2-ethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, 10 1-ethyl-1-methylpropyl and 1-ethyl-2-methylpropyl;

C₂-C₁₀-alkenyl: unsaturated, straight-chain or branched hydrocarbon radicals having 2 to 10, especially 2 to 6 carbon atoms and a double bond in any position, for example ethenyl, 15 1-propenyl, 2-propenyl, 1-methylethenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-methyl-1-propenyl, 2-methyl-1-propenyl, 1-methyl-2-propenyl and 2-methyl-2-propenyl;

C₂-C₁₀-alkynyl: straight-chain or branched hydrocarbon radicals 20 having 2 to 10, especially 2 to 4 carbon atoms and a triple bond in any position, for example ethynyl, 1-propynyl, 2-propynyl, 1-butyne, 2-butyne, 3-butyne and 1-methyl-2-propynyl;

C₃-C₁₀-cycloalkyl: mono- or bicyclic cycloalkyl groups having 3 to 25 10 carbon atoms; monocyclic groups preferably have 3 to 8, especially 3 to 6 ring members, bicyclic groups preferably have 8 to 10 ring members.

A 5- or 6-membered saturated or partially unsaturated 30 heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom, preferably one oxygen atom, for example saturated heterocycles such as 1-pyrimidinyl, 2-pyrimidinyl, morpholin-4-yl, thiomorpholin-4-yl; or partially unsaturated heterocycles, containing one C=C or one 35 N=C double bond, such as 3,6-dihydro-2H-pyridin-1-yl, or 2,5-dihydropyrrol-1-yl;

A 5-membered aromatic heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or 40 oxygen atom: 5-membered heteroaryl groups which, in addition to carbon atoms, may contain one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom as ring members, for example 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyrrolyl, 3-pyrrolyl, 3-isoxazolyl, 4-isoxazolyl, 5-isoxazolyl, 45 3-isothiazolyl, 4-isothiazolyl, 5-isothiazolyl, 3-pyrazolyl, 4-pyrazolyl, 5-pyrazolyl, 2-oxazolyl, 4-oxazolyl, 5-oxazolyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, 2-imidazolyl, 4-imidazo-

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1yl, 1,2,4-oxadiazol-3-yl, 1,2,4-oxadiazol-5-yl, 1,2,4-thiadiazol-3-yl, 1,2,4-thiadiazol-5-yl, 1,2,4-triazol-3-yl, 1,3,4-oxadiazol-2-yl, 1,3,4-thiadiazol-2-yl and 1,3,4-triazol-2-yl;

5 6-membered aromatic heterocycle, containing one to four nitrogen atoms: 6-membered heteroaryl groups which, in addition to carbon atoms, may contain one to three or one to four nitrogen atoms as ring members, for example 2-pyridinyl, 3-pyridinyl, 4-pyridinyl, 3-pyridazinyl, 4-pyridazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 2-pyrazinyl, 1,3,5-triazin-2-yl and 1,2,4-triazin-3-yl, preferably pyridyl, pyrimidyl, pyrazolyl or thienyl.

With respect to their intended use, preference is given to triazolopyrimidines of the formula I having the following substituents, where the preference is valid in each case on its own or in combination:

A preferred cycloalkyl moiety is cyclopentyl being optionally substituted by one or more nitro, cyano, C₁-C₆-alkyl, C₁-C₆-alkoxy groups.

Preference is given to compounds of formula I in which any alkyl or haloalkyl part of the groups R¹ or R², which may be straight chained or branched, contains up to 10 carbon atoms, preferably 1 to 9 carbon atoms, more preferably 2 to 6 carbon atoms, any alkenyl or alkynyl part of the substituents R¹ or R² contains up to 10 carbon atoms, preferably 2 to 9 carbon atoms, more preferably 3 to 6 carbon atoms, any cycloalkyl part of the substituents R¹ or R² contains from 3 to 10 carbon atoms, preferably from 3 to 8 carbon atoms, more preferably from 3 to 6 carbon atoms, and any bicycloalkyl part of the substituents R¹ or R² contains from 5 to 9 carbon atoms, preferably from 7 to 9 carbon atoms. Any alkyl, alkenyl or alkynyl group may be linear or branched.

Compounds of formula I are preferred in which R¹ represents a straight-chained or branched C₁-C₁₀-alkyl, in particular a branched C₃-C₁₀-alkyl group, a C₃-C₈-cycloalkyl, a C₅-C₉-bicycloalkyl, a C₃-C₈-cycloalkyl-C₁-C₆-alkyl, C₁-C₁₀-alkoxy-C₁-C₆-alkyl, a C₁-C₁₀-haloalkyl or a phenyl group being optionally substituted by one to three halogen atoms or C₁-C₁₀-alkyl or C₁-C₁₀-alkoxy groups.

Moreover, particular preference is given to compounds I in which R¹ and R² together with the interjacent nitrogen atom form an optionally substituted heterocyclic ring, preferably an optionally substituted C₃-C₇-heterocyclic ring, in particular a 5- or 6-membered saturated heterocycle, such as pyrrolidine, piperidine, morpholine, or tetrahydropyridine, or a 5- or

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6-membered partially unsaturated heterocycle, such as 3,6-dihydro-2H-pyridin-1-yl, or 2,5-dihydropyrrol-1-yl, wherein the saturated or unsaturated heterocycle is optionally substituted by one or more C₁-C₄-alkyl or C₁-C₂-haloalkyl groups, preferably by one or two methyl groups. Particular preference is given to compounds I, in which R¹ and R² together form a 4-methylpiperidin-1-yl group.

Furthermore, particular preference is given to compounds I in which R² represents hydrogen, C₁-C₁₀-alkyl or C₁-C₁₀-haloalkyl, in particular hydrogen.

Moreover, particular preference is given to compounds I in which R² is methyl or ethyl.

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If R¹ denotes C₁-C₁₀-haloalkyl, preferably polyfluorinated alkyl, in particular 2,2,2-trifluoroethyl, 2-(1,1,1-trifluoropropyl) or 2-(1,1,1-trifluorobutyl), R² preferably represents hydrogen.

Particular preference is given to compounds I in which Hal is fluoro, chloro, or bromo, particularly fluoro.

Furthermore, preference is given to compounds I in which L¹ is hydrogen, or fluoro, particularly hydrogen.

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Besides, particular preference is given to compounds I in which L² is hydrogen, fluoro, trifluoromethyl, amino, dimethylamino, or N-acetylamino, particularly fluoro.

Furthermore, preference is given to compounds I in which L² is NHR^b or N(R^b)₂, wherein R^b is methyl or C(=O)-C₁-C₄-alkyl.

Likewise, particular preference is given to compounds I in which L³ is hydrogen, fluoro, methyl, particularly hydrogen.

35

A particularly preferred embodiment of the present invention are compounds of formula I, in which the 6-(2-halophenyl) group represents one of the following moieties:

2,3,5-trifluorophenyl, 2,4-difluorophenyl, 2-F,4-CF₃-phenyl, 2-F,5-CH₃-phenyl, 2-Cl,4-F-phenyl, 2-F,4-Cl-phenyl, 2-F,4-Br-phenyl, 2-Cl,4-Br-phenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 2,4,5-trifluorophenyl, 2,3,4-trifluorophenyl, 2-F,4-NH₂-phenyl, 2-F,4-N(CH₃)₂-phenyl, 2-F,4-NHC(O)CH₃-phenyl, 2-Br,3,5-difluorophenyl, 2-F,4-NO₂-phenyl, and 2-Cl,4-NO₂-phenyl.

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Moreover, preference is given to compounds I in which X is halogen, cyano or methyl, preferably halogen, such as chloro or bromo, particularly chloro.

- 5 The particularly preferred embodiments of the intermediates with respect to the variables correspond to those of the radicals X and L¹ to L³ of formula I.

- Included in the scope of the present invention are (R) and (S)
10 isomers of compounds of general formula I having a chiral center and the racemates thereof, and salts, N-oxides and acid addition compounds.

- With respect to their use, particular preference is given to the
15 compounds I compiled in the tables below. The groups mentioned in the tables for a substituent are furthermore for their part, independently of the combination in which they are mentioned, a particularly preferred embodiment of the respective substituents.

- 20 Table 1

Compounds of formula I, in which X is chloro, Hal, L¹ and L³ are fluoro, L² is hydrogen and R¹ and R² correspond to one row in Table A

- 25 Table 2

Compounds of formula I, in which X is cyano, Hal, L¹ and L³ are fluoro, L² is hydrogen and R¹ and R² correspond to one row in Table A

- 30 Table 3

Compounds of formula I, in which X is methyl, Hal, L¹ and L³ are fluoro, L² is hydrogen and R¹ and R² correspond to one row in Table A

- 35 Table 4

Compounds of formula I, in which X is methoxy, Hal, L¹ and L³ are fluoro, L² is hydrogen and R¹ and R² correspond to one row in Table A

- 40 Table 5

Compounds of formula I, in which X is chloro, Hal and L² are fluoro, L¹ and L³ are hydrogen and R¹ and R² correspond to one row in Table A

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Table 6

Compounds of formula I, in which X is cyano, Hal and L² are fluoro, L¹ and L³ are hydrogen and R¹ and R² correspond to one row in Table A

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Table 7

Compounds of formula I, in which X is methyl, Hal and L² are fluoro, L¹ and L³ are hydrogen and R¹ and R² correspond to one row in Table A

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Table 8

Compounds of formula I, in which X is methoxy, Hal and L² are fluoro, L¹ and L³ are hydrogen and R¹ and R² correspond to one row in Table A

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Table 9

Compounds of formula I, in which X is chloro, Hal is fluoro, L¹ and L³ are hydrogen, L² is trifluoromethyl and R¹ and R² correspond to one row in Table A

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Table 10

Compounds of formula I, in which X is cyano, Hal is fluoro, L¹ and L³ are hydrogen, L² is trifluoromethyl and R¹ and R² correspond to one row in Table A

25

Table 11

Compounds of formula I, in which X is methyl, Hal is fluoro, L¹ and L³ are hydrogen, L² is trifluoromethyl and R¹ and R² correspond to one row in Table A

30

Table 12

Compounds of formula I, in which X is methoxy, Hal is fluoro, L¹ and L³ are hydrogen, L² is trifluoromethyl and R¹ and R² correspond to one row in Table A

35

Table 13

Compounds of formula I, in which X is chloro, Hal is fluoro, L¹ and L² are hydrogen, L³ is methyl and R¹ and R² correspond to one row in Table A

40

Table 14

Compounds of formula I, in which X is cyano, Hal is fluoro, L¹ and L² are hydrogen, L³ is methyl and R¹ and R² correspond to one row in Table A

45

Table 15

Compounds of formula I, in which X is methyl, Hal is fluoro, L¹ and L² are hydrogen, L³ is methyl and R¹ and R² correspond to one row in Table A

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Table 16

Compounds of formula I, in which X is methoxy, Hal is fluoro, L¹ and L² are hydrogen, L³ is methyl and R¹ and R² correspond to one row in Table A

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Table 17

Compounds of formula I, in which X and Hal are chloro, L¹ and L³ are hydrogen, L² is fluoro and R¹ and R² correspond to one row in Table A

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Table 18

Compounds of formula I, in which X is cyano, Hal is chloro, L¹ and L³ are hydrogen, L² is fluoro and R¹ and R² correspond to one row in Table A

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Table 19

Compounds of formula I, in which X is methyl, Hal is chloro, L¹ and L³ are hydrogen, L² is fluoro and R¹ and R² correspond to one row in Table A

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Table 20

Compounds of formula I, in which X is methoxy, Hal is chloro, L¹ and L³ are hydrogen, L² is fluoro and R¹ and R² correspond to one row in Table A

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Table 21

Compounds of formula I, in which X is chloro, Hal is fluoro, L¹ and L³ are hydrogen, L² is chloro and R¹ and R² correspond to one row in Table A

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Table 22

Compounds of formula I, in which X is cyano, Hal is fluoro, L¹ and L³ are hydrogen, L² is chloro and R¹ and R² correspond to one row in Table A

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Table 23

Compounds of formula I, in which X is methyl, Hal is fluoro, L¹ and L³ are hydrogen, L² is chloro and R¹ and R² correspond to one row in Table A

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Table 24

Compounds of formula I, in which X is methoxy, Hal is fluoro, L¹ and L³ are hydrogen, L² is chloro and R¹ and R² correspond to one row in Table A

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Table 25

Compounds of formula I, in which X is chloro, Hal is fluoro, L¹ and L³ are hydrogen, L² is bromo and R¹ and R² correspond to one row in Table A

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Table 26

Compounds of formula I, in which X is cyano, Hal is fluoro, L¹ and L³ are hydrogen, L² is bromo and R¹ and R² correspond to one row in Table A

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Table 27

Compounds of formula I, in which X is methyl, Hal is fluoro, L¹ and L³ are hydrogen, L² is bromo and R¹ and R² correspond to one row in Table A

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Table 28

Compounds of formula I, in which X is methoxy, Hal is fluoro, L¹ and L³ are hydrogen, L² is bromo and R¹ and R² correspond to one row in Table A

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Table 29

Compounds of formula I, in which X and Hal are chloro, L¹ and L³ are hydrogen, L² is bromo and R¹ and R² correspond to one row in Table A

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Table 30

Compounds of formula I, in which X is cyano, Hal is chloro, L¹ and L³ are hydrogen, L² is bromo and R¹ and R² correspond to one row in Table A

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Table 31

Compounds of formula I, in which X is methyl, Hal is chloro, L¹ and L³ are hydrogen, L² is bromo and R¹ and R² correspond to one row in Table A

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Table 32

Compounds of formula I, in which X is methoxy, Hal is chloro, L¹ and L³ are hydrogen, L² is bromo and R¹ and R² correspond to one row in Table A

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Table 33

Compounds of formula I, in which X, Hal and L² are chloro, L¹ and L³ are hydrogen and R¹ and R² correspond to one row in Table A

5 Table 34

Compounds of formula I, in which X is cyano, Hal and L² are chloro, L¹ and L³ are hydrogen and R¹ and R² correspond to one row in Table A

10 Table 35

Compounds of formula I, in which X is methyl, Hal and L² are chloro, L¹ and L³ are hydrogen and R¹ and R² correspond to one row in Table A

15 Table 36

Compounds of formula I, in which X is methoxy, Hal and L² are chloro, L¹ and L³ are hydrogen and R¹ and R² correspond to one row in Table A

20 Table 37

Compounds of formula I, in which X is chloro, Hal and L¹ are fluoro, L² and L³ are hydrogen and R¹ and R² correspond to one row in Table A

25 Table 38

Compounds of formula I, in which X is cyano, Hal and L¹ are fluoro, L² and L³ are hydrogen and R¹ and R² correspond to one row in Table A

30 Table 39

Compounds of formula I, in which X is methyl, Hal and L¹ are fluoro, L² and L³ are hydrogen and R¹ and R² correspond to one row in Table A

35 Table 40

Compounds of formula I, in which X is methoxy, Hal and L¹ are fluoro, L² and L³ are hydrogen and R¹ and R² correspond to one row in Table A

40 Table 41

Compounds of formula I, in which X is chloro, Hal and L³ are fluoro, L¹ and L² hydrogen and R¹ and R² correspond to one row in Table A

Table 42

Compounds of formula I, in which X is cyano, Hal and L³ are fluoro, L¹ and L² hydrogen and R¹ and R² correspond to one row in Table A

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Table 43

Compounds of formula I, in which X is methyl, Hal and L³ are fluoro, L¹ and L² hydrogen and R¹ and R² correspond to one row in Table A

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Table 44

Compounds of formula I, in which X is methoxy, Hal and L³ are fluoro, L¹ and L² hydrogen and R¹ and R² correspond to one row in Table A

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Table 45

Compounds of formula I, in which X is chloro, Hal, L² and L³ are fluoro, L¹ is hydrogen and R¹ and R² correspond to one row in Table A

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Table 46

Compounds of formula I, in which X is cyano, Hal, L² and L³ are fluoro, L¹ is hydrogen and R¹ and R² correspond to one row in Table A

25

Table 47

Compounds of formula I, in which X is methyl, Hal, L² and L³ are fluoro, L¹ is hydrogen and R¹ and R² correspond to one row in Table A

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Table 48

Compounds of formula I, in which X is methoxy, Hal, L² and L³ are fluoro, L¹ is hydrogen and R¹ and R² correspond to one row in Table A

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Table 49

Compounds of formula I, in which X is chloro, Hal, L¹ and L² are fluoro, L³ is hydrogen and R¹ and R² correspond to one row in Table A

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Table 50

Compounds of formula I, in which X is cyano, Hal, L¹ and L² are fluoro, L³ is hydrogen and R¹ and R² correspond to one row in Table A

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Table 51

Compounds of formula I, in which X is methyl, Hal, L¹ and L² are fluoro, L³ is hydrogen and R¹ and R² correspond to one row in Table A

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Table 52

Compounds of formula I, in which X is methoxy, Hal, L¹ and L² are fluoro, L³ is hydrogen and R¹ and R² correspond to one row in Table A

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Table 53

Compounds of formula I, in which X is chloro, Hal is bromo, L¹ and L³ are fluoro, L² is hydrogen and R¹ and R² correspond to one row in Table A

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Table 54

Compounds of formula I, in which X is cyano, Hal is bromo, L¹ and L³ are fluoro, L² is hydrogen and R¹ and R² correspond to one row in Table A

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Table 55

Compounds of formula I, in which X is methyl, Hal is bromo, L¹ and L³ are fluoro, L² is hydrogen and R¹ and R² correspond to one row in Table A

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Table 56

Compounds of formula I, in which X is methoxy, Hal is bromo, L¹ and L³ are fluoro, L² is hydrogen and R¹ and R² correspond to one row in Table A

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Table 57

Compounds of formula I, in which X is chloro, Hal is fluoro, L¹ and L³ are hydrogen, L² is amino and R¹ and R² correspond to one row in Table A

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Table 58

Compounds of formula I, in which X is cyano, Hal is fluoro, L¹ and L³ are hydrogen, L² is amino and R¹ and R² correspond to one row in Table A

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Table 59

Compounds of formula I, in which X is methyl, Hal is fluoro, L¹ and L³ are hydrogen, L² is amino and R¹ and R² correspond to one row in Table A

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Table 60

Compounds of formula I, in which X is methoxy, Hal is fluoro, L¹ and L³ are hydrogen, L² is amino and R¹ and R² correspond to one row in Table A

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Table 61

Compounds of formula I, in which X is chloro, Hal is fluoro, L¹ and L³ are hydrogen, L² is methylamino and R¹ and R² correspond to one row in Table A

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Table 62

Compounds of formula I, in which X is cyano, Hal is fluoro, L¹ and L³ are hydrogen, L² is methylamino and R¹ and R² correspond to one row in Table A

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Table 63

Compounds of formula I, in which X is methyl, Hal is fluoro, L¹ and L³ are hydrogen, L² is methylamino and R¹ and R² correspond to one row in Table A

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Table 64

Compounds of formula I, in which X is methoxy, Hal is fluoro, L¹ and L³ are hydrogen, L² is methylamino and R¹ and R² correspond to one row in Table A

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Table 65

Compounds of formula I, in which X is chloro, Hal is fluoro, L¹ and L³ are hydrogen, L² is dimethylamino and R¹ and R² correspond to one row in Table A

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Table 66

Compounds of formula I, in which X is cyano, Hal is fluoro, L¹ and L³ are hydrogen, L² is dimethylamino and R¹ and R² correspond to one row in Table A

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Table 67

Compounds of formula I, in which X is methyl, Hal is fluoro, L¹ and L³ are hydrogen, L² is dimethylamino and R¹ and R² correspond to one row in Table A

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Table 68

Compounds of formula I, in which X is methoxy, Hal is fluoro, L¹ and L³ are hydrogen, L² is dimethylamino and R¹ and R² correspond to one row in Table A

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Table 69

Compounds of formula I, in which X is chloro, Hal is fluoro, L¹ and L³ are hydrogen, L² is N-acetylamino and R¹ and R² correspond to one row in Table A

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Table 70

Compounds of formula I, in which X is cyano, Hal is fluoro, L¹ and L³ are hydrogen, L² is N-acetylamino and R¹ and R² correspond to one row in Table A

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Table 71

Compounds of formula I, in which X is methyl, Hal is fluoro, L¹ and L³ are hydrogen, L² is N-acetylamino and R¹ and R² correspond to one row in Table A

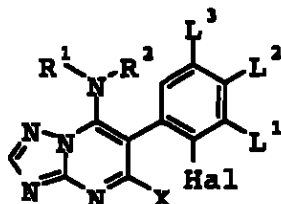
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Table 72

Compounds of formula I, in which X is methoxy, Hal is fluoro, L¹ and L³ are hydrogen, L² is N-acetylamino and R¹ and R² correspond to one row in Table A

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Table A



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No.	R ¹	R ²
A-1	CH ₂ CH ₃	H
A-2	CH ₂ CH ₃	CH ₃
A-3	CH ₂ CH ₃	CH ₂ CH ₃
A-4	CH ₂ CF ₃	H
A-5	CH ₂ CF ₃	CH ₃
A-6	CH ₂ CF ₃	CH ₂ CH ₃
A-7	CH ₂ CCl ₃	H
A-8	CH ₂ CCl ₃	CH ₃
A-9	CH ₂ CCl ₃	CH ₂ CH ₃
A-10	CH ₂ CH ₂ CH ₃	H
A-11	CH ₂ CH ₂ CH ₃	CH ₃
A-12	CH ₂ CH ₂ CH ₃	CH ₂ CH ₃
A-13	CH ₂ CH ₂ CH ₃	CH ₂ CH ₂ CH ₃
A-14	CH(CH ₃) ₂	H
A-15	CH(CH ₃) ₂	CH ₃
A-16	CH(CH ₃) ₂	CH ₂ CH ₃

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No.	R ¹	R ²
A-17	(±) CH(CH ₃)-CH ₂ CH ₃	H
A-18	(±) CH(CH ₃)-CH ₂ CH ₃	CH ₃
5 A-19	(±) CH(CH ₃)-CH ₂ CH ₃	CH ₂ CH ₃
A-20	(S) CH(CH ₃)-CH ₂ CH ₃	H
A-21	(S) CH(CH ₃)-CH ₂ CH ₃	CH ₃
A-22	(S) CH(CH ₃)-CH ₂ CH ₃	CH ₂ CH ₃
10 A-23	(R) CH(CH ₃)-CH ₂ CH ₃	H
A-24	(R) CH(CH ₃)-CH ₂ CH ₃	CH ₃
A-25	(R) CH(CH ₃)-CH ₂ CH ₃	CH ₂ CH ₃
A-26	(±) CH(CH ₃)-CH(CH ₃) ₂	H
A-27	(±) CH(CH ₃)-CH(CH ₃) ₂	CH ₃
15 A-28	(±) CH(CH ₃)-CH(CH ₃) ₂	CH ₂ CH ₃
A-29	(S) CH(CH ₃)-CH(CH ₃) ₂	H
A-30	(S) CH(CH ₃)-CH(CH ₃) ₂	CH ₃
A-31	(S) CH(CH ₃)-CH(CH ₃) ₂	CH ₂ CH ₃
20 A-32	(R) CH(CH ₃)-CH(CH ₃) ₂	H
A-33	(R) CH(CH ₃)-CH(CH ₃) ₂	CH ₃
A-34	(R) CH(CH ₃)-CH(CH ₃) ₂	CH ₂ CH ₃
A-35	(±) CH(CH ₃)-C(CH ₃) ₃	H
25 A-36	(±) CH(CH ₃)-C(CH ₃) ₃	CH ₃
A-37	(±) CH(CH ₃)-C(CH ₃) ₃	CH ₂ CH ₃
A-38	(S) CH(CH ₃)-C(CH ₃) ₃	H
A-39	(S) CH(CH ₃)-C(CH ₃) ₃	CH ₃
30 A-40	(S) CH(CH ₃)-C(CH ₃) ₃	CH ₂ CH ₃
A-41	(R) CH(CH ₃)-C(CH ₃) ₃	H
A-42	(R) CH(CH ₃)-C(CH ₃) ₃	CH ₃
A-43	(R) CH(CH ₃)-C(CH ₃) ₃	CH ₂ CH ₃
A-44	(±) CH(CH ₃)-CF ₃	H
35 A-45	(±) CH(CH ₃)-CF ₃	CH ₃
A-46	(±) CH(CH ₃)-CF ₃	CH ₂ CH ₃
A-47	(S) CH(CH ₃)-CF ₃	H
A-48	(S) CH(CH ₃)-CF ₃	CH ₃
40 A-49	(S) CH(CH ₃)-CF ₃	CH ₂ CH ₃
A-50	(R) CH(CH ₃)-CF ₃	H
A-51	(R) CH(CH ₃)-CF ₃	CH ₃
A-52	(R) CH(CH ₃)-CF ₃	CH ₂ CH ₃
45 A-53	(±) CH(CH ₃)-CCl ₃	H
A-54	(±) CH(CH ₃)-CCl ₃	CH ₃
A-55	(±) CH(CH ₃)-CCl ₃	CH ₂ CH ₃

No.	R ¹	R ²
5	A-56 (S) CH(CH ₃)-CCl ₃	H
	A-57 (S) CH(CH ₃)-CCl ₃	CH ₃
	A-58 (S) CH(CH ₃)-CCl ₃	CH ₂ CH ₃
	A-59 (R) CH(CH ₃)-CCl ₃	H
	A-60 (R) CH(CH ₃)-CCl ₃	CH ₃
10	A-61 (R) CH(CH ₃)-CCl ₃	CH ₂ CH ₃
	A-62 CH ₂ CF ₂ CF ₃	H
	A-63 CH ₂ CF ₂ CF ₃	CH ₃
	A-64 CH ₂ CF ₂ CF ₃	CH ₂ CH ₃
	A-65 CH ₂ (CF ₂) ₂ CF ₃	H
15	A-66 CH ₂ (CF ₂) ₂ CF ₃	CH ₃
	A-67 CH ₂ (CF ₂) ₂ CF ₃	CH ₂ CH ₃
	A-68 CH ₂ C(CH ₃)=CH ₂	H
	A-69 CH ₂ C(CH ₃)=CH ₂	CH ₃
	A-70 CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃
20	A-71 cyclopentyl	H
	A-72 cyclopentyl	CH ₃
	A-73 cyclopentyl	CH ₂ CH ₃
	A-74 Cyclohexyl	H
25	A-75 Cyclohexyl	CH ₃
	A-76 Cyclohexyl	CH ₂ CH ₃
	A-77	-(CH ₂) ₂ CH=CHCH ₂ -
30	A-78	-(CH ₂) ₂ C(CH ₃)=CHCH ₂ -
	A-79	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -
	A-80	-(CH ₂) ₂ CHF(CH ₂) ₂ -
	A-81	-(CH ₂) ₃ CHFCH ₂ -
	A-82	-(CH ₂) ₂ CH(CF ₃)(CH ₂) ₂ -
35	A-83	-(CH ₂) ₂ O(CH ₂) ₂ -
	A-84	-(CH ₂) ₂ S(CH ₂) ₂ -
	A-85	-(CH ₂) ₅ -
	A-86	-(CH ₂) ₄ -
40	A-87	-CH ₂ CH=CHCH ₂ -
	A-88	-CH(CH ₃)(CH ₂) ₃ -
	A-89	-CH ₂ CH(CH ₃)(CH ₂) ₂ -

The compounds I are suitable as fungicides. They are distinguished by an outstanding activity against a broad spectrum of phytopathogenic fungi, in particular from the classes of the Ascomycetes, Deuteromycetes, Oomycetes and Basidiomycetes. Some of them

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act systemically, and they can be employed in crop protection as foliar- and soil-acting fungicides.

They are especially important for controlling a large number of 5 fungi on a variety of crop plants such as wheat, rye, barley, oats, rice, maize, grass, bananas, cotton, soya, coffee, sugar cane, grapevines, fruit species, ornamentals and vegetables such as cucumbers, beans, tomatoes, potatoes and cucurbits, and on the seeds of these plants.

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Specifically, they are suitable for controlling the following plant diseases:

- *Alternaria* species on vegetables and fruit,
- 15 • *Bipolaris* and *Drechslera* species on cereals, rice and turf,
- *Blumeria graminis* (powdery mildew) on cereals,
- *Botrytis cinerea* (gray mold) on strawberries, vegetables, ornamentals and grapevines,
- *Erysiphe cichoracearum* and *Sphaerotheca fuliginea* on cucur-
- 20 bits,
- *Fusarium* and *Verticillium* species on various plants,
- *Mycosphaerella* species on cereals, bananas and peanuts,
- *Phytophthora infestans* on potatoes and tomatoes,
- *Plasmopara viticola* on grapevines,
- 25 • *Podosphaera leucotricha* on apples,
- *Pseudocercospora helminthosporiae* on wheat and barley,
- *Pseudoperonospora* species on hops and cucumbers,
- *Puccinia* species on cereals,
- *Pyricularia oryzae* on rice,
- 30 • *Rhizoctonia* species on cotton, rice and turf,
- *Septoria tritici* and *Stagonospora nodorum* on wheat,
- *Uncinula necator* on grapevines,
- *Ustilago* species on cereals and sugar cane, and
- *Venturia* species (scab) on apples and pears.

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Moreover, the compounds I are suitable for controlling harmful fungi such as *Paecilomyces variotii* in the protection of materials (e.g. wood, paper, paint dispersions, fibers and fabrics) and in the protection of stored products.

40

The compounds I are applied by treating the fungi, or the plants, seeds, materials or the soil to be protected against fungal infection, with a fungicidally active amount of the active ingredients. Application can be effected both before and after infection of the materials, plants or seeds by the fungi.

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In general, the fungicidal compositions comprise between 0.1 and 95, preferably 0.5 and 90 % by weight of active ingredient.

When used in crop protection, the rates of application are from 5 0.01 to 2.0 kg of active ingredient per ha, depending on the nature of the effect desired.

In the treatment of seed, amounts of active ingredient of from 0.001 to 0.1 g, preferably 0.01 to 0.05 g, are generally required 10 per kilogram of seed.

When used in the protection of materials or stored products, the rate of application of active ingredient depends on the nature of the field of application and on the effect desired. Rates of 15 application conventionally used in the protection of materials are, for example, from 0.001 g to 2 kg, preferably 0.005 g to 1 kg, of active ingredient per cubic meter of material treated.

The compounds I can be converted into the customary formulations, 20 eg. solutions, emulsions, suspensions, dusts, powders, pastes and granules. The use form depends on the particular purpose; it is intended to ensure in each case a fine and uniform distribution of the compound according to the invention.

25 The formulations are prepared in a known manner, eg. by extending the active ingredient with solvents and/or carriers, if desired using emulsifiers and dispersants. Solvents/auxiliaries which are suitable are essentially:

30 water, aromatic solvents (for example Solvesso products, xylene), paraffins (for example mineral fractions), alcohols (for example methanol, butanol, pentanol, benzyl alcohol), ketones (for example cyclohexanone, gamma-butyrolactone), pyrrolidones (NMP, NOP), acetates (glycol diacetate), gly- 35 cols, fatty acid dimethylamides, fatty acids and fatty acid esters. In principle, solvent mixtures may also be used.

carriers such as ground natural minerals (eg. kaolins, clays, talc, chalk) and ground synthetic minerals (eg. highly dis- 40 perse silica, silicates); emulsifiers such as nonionic and anionic emulsifiers (eg. polyoxyethylene fatty alcohol ethers, alkylsulfonates and arylsulfonates) and dispersants such as lignin-sulfite waste liquors and methylcellulose.

45 Suitable surfactants are alkali metal, alkaline earth metal and ammonium salts of lignosulfonic acid, naphthalenesulfonic acid, phenolsulfonic acid, dibutyl-naphthalenesulfonic acid, alkylaryl-

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- sulfonates, alkyl sulfates, alkylsulfonates, fatty alcohol sulfates, fatty acids and sulfated fatty alcohol glycol ethers, furthermore condensates of sulfonated naphthalene and naphthalene derivatives with formaldehyde, condensates of naphthalene or of naphthalenesulfonic acid with phenol and formaldehyde, polyoxyethylene octylphenyl ether, ethoxylated isooctylphenol, octylphenol, nonylphenol, alkylphenyl polyglycol ethers, tributylphenyl polyglycol ether, tristearylphenyl polyglycol ether, alkylaryl polyether alcohols, alcohol and fatty alcohol/ethylene oxide condensates, ethoxylated castor oil, polyoxyethylene alkyl ethers, ethoxylated polyoxypropylene, lauryl alcohol polyglycol ether acetal, sorbitol esters, lignin-sulfite waste liquors and methycellulose.
- 15 Substances which are suitable for the preparation of directly sprayable solutions, emulsions, pastes or oil dispersions are mineral oil fractions of medium to high boiling point, such as kerosene or diesel oil, furthermore coal tar oils and oils of vegetable or animal origin, aliphatic, cyclic and aromatic hydrocarbons, for example toluene, xylene, paraffin, tetrahydronaphthalene, alkylated naphthalenes or their derivatives, methanol, ethanol, propanol, butanol, cyclohexanol, cyclohexanone, isophorone, strongly polar solvents, for example dimethyl sulfoxide, N-methylpyrrolidone and water.
- 25 Powders, materials for spreading and dusts can be prepared by mixing or concomitantly grinding the active substances with a solid carrier.
- 30 Granules, for example coated granules, impregnated granules and homogeneous granules, can be prepared by binding the active ingredients to solid carriers. Examples of solid carriers are mineral earths such as silica gels, silicates, talc, kaolin, attaclay, limestone, lime, chalk, bole, loess, clay, dolomite, diatomaceous earth, calcium sulfate, magnesium sulfate, magnesium oxide, ground synthetic materials, fertilizers, such as, for example, ammonium sulfate, ammonium phosphate, ammonium nitrate, ureas, and products of vegetable origin, such as cereal meal, tree bark meal, wood meal and nutshell meal, cellulose powders and other solid carriers.

In general, the formulations comprise from 0.01 to 95% by weight, preferably from 0.1 to 90% by weight, of the active ingredient. The active ingredients are employed in a purity of from 90% to 100%, preferably 95% to 100% (according to NMR spectrum).

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The following are examples of formulations: 1. Products for dilution with water

A Soluble concentrates (SL)

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10 parts by weight of a compound according to the invention are dissolved in water or in a water-soluble solvent. As an alternative, wetters or other auxiliaries are added. The active ingredient dissolves upon dilution with water.

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B Dispersible concentrates (DC)

20 parts by weight of a compound according to the invention are dissolved in cyclohexanone with addition of a dispersant, for example polyvinylpyrrolidone. Dilution with water gives a dispersion.

C Emulsifiable concentrates (EC)

20 15 parts by weight of a compound according to the invention are dissolved in xylene with addition of calcium dodecylbenzenesulfonate and castor oil ethoxylate (in each case 5% strength). Dilution with water gives an emulsion.

25 D Emulsions (EW, EO)

40 parts by weight of a compound according to the invention are dissolved in xylene with addition of calcium dodecylbenzenesulfonate and castor oil ethoxylate (in each case 5% strength). This mixture is introduced into water by means of an emulsifier (Ultraturrax) and made into a homogeneous emulsion. Dilution with water gives an emulsion.

E Suspensions (SC, OD)

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In an agitated ball mill, 20 parts by weight of a compound according to the invention are comminuted with addition of dispersant, wetters and water or an organic solvent to give a fine active ingredient suspension. Dilution with water gives a stable suspension of the active ingredient.

F Water-dispersible granules and water-soluble granules (WG, SG)

45 50 parts by weight of a compound according to the invention are ground finely with addition of dispersants and wetters and made into water-dispersible or water-soluble granules by means of

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technical appliances (for example extrusion, spray tower, fluidized bed). Dilution with water gives a stable dispersion or solution of the active ingredient.

5 G Water-dispersible powders and water-soluble powders (WP, SP)

75 parts by weight of a compound according to the invention are ground in a rotor-stator mill with addition of dispersant, wetters and silica gel. Dilution with water gives a stable dispersion or solution with the active ingredient.

2. Products to be applied undiluted

H Dustable powders (DP)

15

5 parts by weight of a compound according to the invention are ground finely and mixed intimately with 95% of finely divided kaolin. This gives a dustable product.

20 I Granules (GR, FG, GG, MG)

0.5 part by weight of a compound according to the invention is ground finely and associated with 95.5% carriers. Current methods are extrusion, spray-drying or the fluidized bed. This gives granules to be applied undiluted.

J ULV solutions (UL)

10 parts by weight of a compound according to the invention are dissolved in an organic solvent, for example xylene. This gives a product to be applied undiluted.

The active ingredients can be used as such, in the form of their formulations or the use forms prepared therefrom, eg. in the form of directly sprayable solutions, powders, suspensions or dispersions, emulsions, oil dispersions, pastes, dustable products, materials for spreading, or granules, by means of spraying, atomizing, dusting, spreading or pouring. The use forms depend entirely on the intended purposes; it is intended to ensure in each case the finest possible distribution of the active ingredients according to the invention.

Aqueous use forms can be prepared from emulsion concentrates, pastes or wettable powders (sprayable powders, oil dispersions) by adding water. To prepare emulsions, pastes or oil dispersions, the substances, as such or dissolved in an oil or solvent, can be homogenized in water by means of a wetter, tackifier, dispersant

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or emulsifier. Alternatively, it is possible to prepare concentrates composed of active substance, wetter, tackifier, dispersant or emulsifier and, if appropriate, solvent or oil, and such concentrates are suitable for dilution with water.

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The active ingredient concentrations in the ready-to-use products can be varied within relatively wide ranges. In general, they are from 0.0001 to 10%, preferably from 0.01 to 1%.

10 The active ingredients may also be used successfully in the ultra-low-volume process (ULV), it being possible to apply formulations comprising over 95% by weight of active ingredient, or even to apply the active ingredient without additives.

15 Various types of oils, wetters, adjuvants, herbicides, fungicides, other pesticides, or bactericides may be added to the active ingredients, if appropriate just immediately prior to use (tank mix). These agents can be admixed with the agents according to the invention in a weight ratio of 1:10 to 10:1.

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In the use form as fungicides, the compositions according to the invention can also be present together with other active ingredients, for example with herbicides, insecticides, growth regulators, fungicides or else with fertilizers. Mixing the compounds I

25 or the compositions comprising them in the use form as fungicides with other fungicides frequently results in a broader fungicidal spectrum of action.

The following list of fungicides together with which the

30 compounds according to the invention can be used is intended to illustrate the possible combinations, but not to impose any limitation:

- acylalanines such as benalaxyl, metalaxyl, ofurace, oxadixyl,
- 35 • amine derivatives such as aldimorph, dodine, dodemorph, fenpropimorph, fenpropidin, guazatine, iminoctadine, spiroxamin, tridemorph
- anilinopyrimidines such as pyrimethanil, mepanipyrim or cyrodinyl,
- 40 • antibiotics such as cycloheximid, griseofulvin, kasugamycin, natamycin, polyoxin or streptomycin,
- azoles such as bitertanol, bromoconazole, cyproconazole, difenoconazole, dinitroconazole, epoxiconazole, fenbuconazole, fluquiconazole, flusilazole, hexaconazole, imazalil, metconazole,
- 45 • myclobutanil, penconazole, propiconazole, prochloraz, prothioconazole, tebuconazole, triadimefon, triadimenol, triflumizol, triticonazole,

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- dicarboximides such as iprodion, myclozolin, procymidon, vinclozolin,
- dithiocarbamates such as ferbam, nabam, maneb, mancozeb, metatam, metiram, propineb, polycarbamate, thiram, ziram, zineb,
- 5 • heterocyclic compounds such as anilazine, benconyl, boscalid, carbendazim, carboxin, oxycarboxin, cyazofamid, dazomet, dithianon, famoxadon, fenamidon, fenarimol, fuberidazole, flutolanil, furametpyr, isoprothiolane, mepronil, nuarimol, probenazole, proquinazid, pyrifenox, pyroquilon, quinoxifen,
- 10 • silthiofam, thiabendazole, thifluzamid, thiophanate-methyl, tiadinil, tricyclazole, triforine,
- copper fungicides such as Bordeaux mixture, copper acetate, copper oxychloride, basic copper sulfate,
- nitrophenyl derivatives such as binapacryl, dinocap, dinobuton, nitrophthalisopropyl
- 15 • phenylpyrroles such as fenpiclonil or fludioxonil,
- sulfur
- other fungicides such as acibenzolar-S-methyl, benthiavalicarb, carpropamid, chlorothalonil, cyflufenamid, cymoxanil, dazomet, diclomezin, diclocymet, diethofencarb, edifenphos, ethaboxam, fenhexamid, fentin-acetate, fenoxanil, ferimzone,
- 20 • fluazinam, fosetyl, fosetyl-aluminum, iprovalicarb, hexachlorobenzene, metrafenon, pencycuron, propamocarb, phthalide, toloclofos-methyl, quintozone, zoxamid
- 25 • strobilurins such as azoxystrobin, dimoxystrobin, fluoxastrobin, kresoxim-methyl, metominostrobin, orysastrobin, picoxystrobin, pyraclostrobin or trifloxystrobin,
- sulfenic acid derivatives such as captafol, captan, dichlofluanid, folpet, tolylfluanid
- 30 • cinnemamides and analogs such as dimethomorph, flumetover or flumorph.

Synthesis Examples

35 With due modification of the starting compounds, the protocols shown in the synthesis examples below were used for obtaining further compounds I. The resulting compounds I, together with physical data, are listed in the Table I which follows.

40 Example 1 Preparation of diethyl (2,3,5-trifluorophenyl)-malonate

Ethyl 2-(2,3,5-trifluorophenyl)-acetate (29 g) was slowly added to a mixture of diethylcarbonate (63 g) and sodium hydride
 45 (9.5 g) in toluene (350 ml). After being refluxed for 3 hours, the reaction mixture was cooled, treated with ice-water and washed with water. The organic layer was separated, dried and filtered.

red. The filtrate was concentrated in vacuo to yield 32 g of the title compound.

Example 2 Preparation of 5,7-dihydroxy-6-(2,3,5-trifluorophenyl)-[1,2,4]-triazolo-[1,5-a]pyrimidine

A mixture of 3-amino-1,2,4-triazole (14 g), diethyl (2,3,5-trifluorophenyl)-malonate (0.17 mol, obtained from Ex. 1) and tributylamine (50 ml) was heated at 180°C for six hours. The reaction mixture was cooled to about 70°C. After addition of aqueous sodium hydroxide (21 g/200 ml H₂O) the reaction mixture was stirred for 30 minutes. After separation of the organic phase the aqueous phase was extracted with diethyl ether. The aqueous phase was acidified with concentrated hydrochloric acid. The precipitate was collected by filtration and dried to yield 43 g of the title compound.

Example 3 Preparation of 5,7-dichloro-6-(2,3,5-trifluorophenyl)-[1,2,4]-triazolo-[1,5-a]pyrimidine

A mixture of 5,7-dihydroxy-6-(2,3,5-trifluorophenyl)-[1,2,4]-triazolo-[1,5-a]pyrimidine (30 g, obtained from Ex. 2) and phosphorous oxychloride (50 ml) is refluxed for 8 h. Phosphorous oxychloride partly distilled off. The residue was poured into a mixture of dichloromethane and water. The organic layer was separated, dried and filtered. The filtrate was concentrated in vacuo to yield 26 g of the title compound of mp. 191°C.

Example 4 Preparation of 5-chloro-6-(2,3,5-trifluorophenyl)-7-isopropylamino-[1,2,4]-triazolo[1,5-a]pyrimidine [I-2]

A mixture of isopropylamine (1.5 mmol), triethylamine (1.5 mmol) and dichloromethane (10 ml) was added to a mixture of 5,7-dichloro-6-(2,3,5-trifluorophenyl)-[1,2,4]-triazolo[1,5-a]pyrimidine (1.5 mmol, obtained from Ex. 3) and dichloromethane (20 ml) under stirring. The reaction mixture was stirred for 16 h. at 20 to 25°C and washed with 5% hydrochloric acid. The organic layer was separated, dried and filtered. The filtrate was evaporated and the residue was purified by column chromatography to yield 0.42 g of the title compound of mp. 151°C.

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Example 5 Preparation of 5-cyano-6-(2-chloro-4-fluorophenyl)-7-(4-methylpiperidin-1-yl)-[1,2,4]-triazolo[1,5-a]pyrimidine

5 A mixture of 5-chloro-6-(2-chloro-4-fluorophenyl)-7-(4-methylpiperidin-1-yl)-[1,2,4]-triazolo[1,5-a]pyrimidine (0.1 mol) and tetraethylammonium cyanide (0.25 mol) in 750 ml Dimethylformamide (DMF) was stirred for 16 hours at 20 to 25 °C. To this mixture was added water and methyl-tert. butylether (MTBE), the organic phase
10 was separated, washed with water, dried and filtered. The filtrate was evaporated and the residue was purified by column chromatography to yield 5.91 g of the title compound of mp. 247°C.

Example 6 Preparation of 5-methoxy-6-(2-chloro-4-fluorophenyl)-7-(4-methylpiperidin-1-yl)-[1,2,4]-triazolo[1,5-a]pyrimidine
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To a solution of 5-chloro-6-(2-chloro-4-fluorophenyl)-7-(4-methylpiperidin-1-yl)-[1,2,4]-triazolo[1,5-a]pyrimidine
20 (65 mmol) in 400 ml dry methanol was added a solution of sodium methanolate (30%, 71.5 mmol) at 20 to 25°C. This mixture was stirred for 16 hours at 20 to 25°C. Methanol was evaporated and the residue was dissolved with dichloromethane. The organic phase was washed with water, dried and filtered. The filtrate was evaporated
25 under reduced pressure and the residue was purified by column chromatography to yield 4.52 g of the title compound of mp. 186°C.

Example 7 Preparation of 5-methyl-6-(2-chloro-4-fluorophenyl)-7-(4-methylpiperidin-1-yl)-[1,2,4]-triazolo[1,5-a]pyrimidine
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A mixture of 20 ml diethyl malonate and NaH (0.27 g of a 50% dispersion in mineral oil, 5.65 mmol) in 50 ml acetonitrile was stirred at 20 to 25°C for about 2 hours. To this mixture 5-chloro-
35 6-(2-chloro-4-fluorophenyl)-7-(4-methylpiperidin-1-yl)-[1,2,4]-triazolo[1,5-a]pyrimidine (4.71 mmol) was added. The reaction mixture was heated to 60°C and stirred for about 20 hours. Aqueous ammonium chloride (50 ml) was added and the mixture was acidified with diluted HCl. The reaction mixture was extracted with MTBE.
40 The combined organic phases were dried and concentrated. The residue was purified by column chromatography.

The pure product obtained was diluted in concentrated HCl and heated to 80°C for about 24 hours. This reaction mixture was cooled and adjusted to pH of 5 by addition of aqueous NaOH, and sub-
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sequently extracted with MTBE. The combined organic phases were dried, concentrated and purified by column chromatography to yield 0,78 g of the title compound of mp. 236°C.

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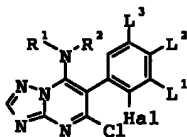
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40

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Table I



I

No.	R ¹	R ²	Hal	L ¹	L ²	L ³	phys. data (m.p.[°C])
I-1	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	F	F	H	F	128
I-2	CH(CH ₃) ₂	H	F	F	H	F	151
I-3	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	F	H	F	171
I-4	cyclopentyl	H	F	F	H	F	111
I-5	CH ₂ CH ₃	CH ₂ CH ₃	F	F	H	F	165
I-6	CH ₂ CH ₂ CH ₃	CH ₂ CH ₂ CH ₃	F	F	H	F	107
I-7	CH(CH ₃) ₂	CH ₃	F	F	H	F	172
I-8	(±) CH(CH ₃)-CH ₂ CH ₃	H	F	F	H	F	99
I-9	(S) CH(CH ₃)-CH ₂ CH ₃	H	F	F	H	F	94
I-10	(R) CH(CH ₃)-CH ₂ CH ₃	H	F	F	H	F	94
I-11	(±) CH(CH ₃)-CH(CH ₃) ₂	H	F	F	H	F	113 / 114
I-12	(S) CH(CH ₃)-CH(CH ₃) ₂	H	F	F	H	F	108 / 122
I-13	(R) CH(CH ₃)-CH(CH ₃) ₂	H	F	F	H	F	108 / 122
I-14	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	F	H	F	138 / 129
I-15	(S) CH(CH ₃)-C(CH ₃) ₃	H	F	F	H	F	129 / 121

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7E

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No.	R ¹	R ²	Hal	L ¹	L ²	L ³	phys. data (m.p. [°C])
I-16	(R) CH(CH ₃)-C(CH ₃) ₃	H	F	F	H	F	129 / 121
I-17	(±) CH(CH ₃)-CF ₃	H	F	F	H	F	164
I-18	(S) CH(CH ₃)-CF ₃	H	F	F	H	F	147
I-19	(R) CH(CH ₃)-CF ₃	H	F	F	H	F	147
I-20	CH ₂ CF ₃	H	F	F	H	F	161
I-21	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	F	H	F	H	105
I-22	CH(CH ₃) ₂	H	F	H	F	H	159
I-23	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	F	H	208
I-24	(±) CH(CH ₃)-CH ₂ CH ₃	H	F	H	F	H	86
I-25	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	H	F	H	160
I-26	(±) CH(CH ₃)-CF ₃	H	F	H	F	H	151
I-27	(S) CH(CH ₃)-CF ₃	H	F	H	F	H	116
I-28	CH ₂ CF ₃	H	F	H	F	H	181
I-29	CH(CH ₃) ₂	H	F	H	Br	H	83
I-30	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	Br	H	175
I-31	cyclopentyl	H	F	H	Br	H	161
I-32	CH ₂ CH ₃	CH ₂ CH ₃	F	H	Br	H	142
I-33	(±) CH(CH ₃)-CH ₂ CH ₃	H	F	H	Br	H	81
I-34	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	H	Br	H	196
I-35	(±) CH(CH ₃)-CF ₃	H	F	H	Br	H	157
I-36	CH ₂ CF ₃	H	F	H	Br	H	108
I-37	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	F	F	H	H	116

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No.	R ¹	R ²	Hal	L ¹	L ²	L ³	phys. data (m.p. [°C])
I-38	CH(CH ₃) ₂	H	F	F	H	H	138
I-39	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	F	H	H	208
I-40	cyclopentyl	H	F	F	H	H	65
I-41	CH ₂ CH ₃	CH ₂ CH ₃	F	F	H	H	135
I-42	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	F	H	H	140
I-43	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	F	H	H	F	121
I-44	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	H	F	181
I-45	(±) CH(CH ₃)-CH ₂ CH ₃	H	F	H	H	F	134
I-46	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	H	H	F	184
I-47	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	F	H	F	F	138
I-48	CH(CH ₃) ₂	H	F	H	F	F	138
I-49	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	F	F	192
I-50	cyclopentyl	H	F	H	F	F	165
I-51	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	H	F	F	149
I-52	(±) CH(CH ₃)-CF ₃	H	F	H	F	F	159
I-53	CH ₂ CF ₃	H	F	H	F	F	178
I-54	CH(CH ₃) ₂	H	F	F	F	H	139
I-55	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	F	F	H	241
I-56	CH ₂ CH ₃	CH ₂ CH ₃	F	F	F	H	152
I-57	(±) CH(CH ₃)-CH ₂ CH ₃	H	F	F	F	H	123
I-58	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	F	F	H	160
I-59	(±) CH(CH ₃)-CF ₃	H	F	F	F	H	157

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No.	R ¹	R ²	Hal	L ¹	L ²	L ³	phys. data (m.p. [°C])
I-60	CH ₂ CF ₃	H	F	F	F	H	174
I-61	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	NH ₂	H	249
I-62	(±) CH(CH ₃)-CF ₃	H	F	H	NH ₂	H	196
I-63	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	N(CH ₃) ₂	H	143
I-64	(±) CH(CH ₃)-CF ₃	H	F	H	N(CH ₃) ₂	H	147
I-65	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	NHCOCH ₃	H	135
I-66	(±) CH(CH ₃)-CF ₃	H	F	H	NHCOCH ₃	H	147
I-67	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	Br	F	H	F	139
I-68	CH(CH ₃) ₂	H	Br	F	H	F	138
I-69	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		Br	F	H	F	153
I-70	cyclopentyl	H	Br	F	H	F	117
I-71	(±) CH(CH ₃)-CH ₂ CH ₃	H	Br	F	H	F	121
I-72	(S) CH(CH ₃)-CH ₂ CH ₃	H	Br	F	H	F	133
I-73	(R) CH(CH ₃)-CH ₂ CH ₃	H	Br	F	H	F	133
I-74	(±) CH(CH ₃)-CH(CH ₃) ₂	H	Br	F	H	F	113
I-75	(S) CH(CH ₃)-CH(CH ₃) ₂	H	Br	F	H	F	125
I-76	(R) CH(CH ₃)-CH(CH ₃) ₂	H	Br	F	H	F	125
I-77	(±) CH(CH ₃)-C(CH ₃) ₃	H	Br	F	H	F	119
I-78	(S) CH(CH ₃)-C(CH ₃) ₃	H	Br	F	H	F	130
I-79	(R) CH(CH ₃)-C(CH ₃) ₃	H	Br	F	H	F	130
I-80	(±) CH(CH ₃)-CF ₃	H	Br	F	H	F	65
I-81	(S) CH(CH ₃)-CF ₃	H	Br	F	H	F	78

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No.	R ¹	R ²	Hal	L ¹	L ²	L ³	phys. data (m.p. [°C])
I-82	(R) CH(CH ₃)-CF ₃	H	Br	F	H	F	78
I-83	(S) CH(CH ₃)-CH ₂ CH ₃	H	F	H	F	H	79
I-84	(R) CH(CH ₃)-CH ₂ CH ₃	H	F	H	F	H	79
I-85	(S) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	F	H	133
I-86	(R) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	F	H	133
I-87	(S) CH(CH ₃)-C(CH ₃) ₃	H	F	H	F	H	161
I-88	(R) CH(CH ₃)-C(CH ₃) ₃	H	F	H	F	H	161
I-89	(R) CH(CH ₃)-CF ₃	H	F	H	F	H	116
I-90	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	F	H	Cl	H	123
I-91	(±) CH(CH ₃)-CH ₂ CH ₃	H	F	H	Cl	H	110
I-92	(S) CH(CH ₃)-CH ₂ CH ₃	H	F	H	Cl	H	99
I-93	(R) CH(CH ₃)-CH ₂ CH ₃	H	F	H	Cl	H	99
I-94	(±) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	Cl	H	141
I-95	(S) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	Cl	H	131
I-96	(R) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	Cl	H	131
I-97	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	H	Cl	H	191
I-98	(S) CH(CH ₃)-C(CH ₃) ₃	H	F	H	Cl	H	186
I-99	(R) CH(CH ₃)-C(CH ₃) ₃	H	F	H	Cl	H	185
I-100	(±) CH(CH ₃)-CF ₃	H	F	H	Cl	H	162
I-101	(S) CH(CH ₃)-CF ₃	H	F	H	Cl	H	162
I-102	(R) CH(CH ₃)-CF ₃	H	F	H	Cl	H	162
I-103	CH ₂ CF ₃	H	F	H	Cl	H	146

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No.	R ¹	R ²	Hal	L ¹	L ²	L ³	phys. data (m.p. [°C])
I-104	C(CH ₃)CH(CH ₃) ₂	H	F	H	F	H	130
I-105	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	F	H	CF ₃	H	140
I-106	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	CF ₃	H	177
I-107	(±) CH(CH ₃)-CH ₂ CH ₃	H	F	H	CF ₃	H	137
I-108	(S) CH(CH ₃)-CH ₂ CH ₃	H	F	H	CF ₃	H	128
I-109	(R) CH(CH ₃)-CH ₂ CH ₃	H	F	H	CF ₃	H	128
I-110	(±) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	CF ₃	H	150
I-111	(S) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	CF ₃	H	143
I-112	(R) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	CF ₃	H	143
I-113	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	H	CF ₃	H	193
I-114	(S) CH(CH ₃)-C(CH ₃) ₃	H	F	H	CF ₃	H	195
I-115	(R) CH(CH ₃)-C(CH ₃) ₃	H	F	H	CF ₃	H	194
I-116	(±) CH(CH ₃)-CF ₃	H	F	H	CF ₃	H	167
I-117	(S) CH(CH ₃)-CF ₃	H	F	H	CF ₃	H	135
I-118	(R) CH(CH ₃)-CF ₃	H	F	H	CF ₃	H	135
I-119	CH ₂ CF ₃	H	F	H	CF ₃	H	143
I-120	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	F	H	H	CH ₃	121
I-121	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	H	CH ₃	141
I-122	(±) CH(CH ₃)-CH ₂ CH ₃	H	F	H	H	CH ₃	134
I-123	(S) CH(CH ₃)-CH ₂ CH ₃	H	F	H	H	CH ₃	131
I-124	(R) CH(CH ₃)-CH ₂ CH ₃	H	F	H	H	CH ₃	131
I-125	(±) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	H	CH ₃	158

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No.	R ¹	R ²	Hal	L ¹	L ²	L ³	phys. data (m.p. [°C])
I-126	(S) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	H	CH ₃	159
I-127	(R) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	H	CH ₃	159
I-128	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	H	H	CH ₃	181
I-129	(S) CH(CH ₃)-C(CH ₃) ₃	H	F	H	H	CH ₃	171
I-130	(R) CH(CH ₃)-C(CH ₃) ₃	H	F	H	H	CH ₃	171
I-131	(±) CH(CH ₃)-CF ₃	H	F	H	H	CH ₃	170
I-132	(S) CH(CH ₃)-CF ₃	H	F	H	H	CH ₃	140
I-133	(R) CH(CH ₃)-CF ₃	H	F	H	H	CH ₃	140
I-134	CH ₂ CF ₃	H	F	H	H	CH ₃	185
I-135	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	Cl	H	F	H	128
I-136	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		Cl	H	F	H	124
I-137	(±) CH(CH ₃)-CH ₂ CH ₃	H	Cl	H	F	H	155
I-138	(S) CH(CH ₃)-CH ₂ CH ₃	H	Cl	H	F	H	130
I-139	(R) CH(CH ₃)-CH ₂ CH ₃	H	Cl	H	F	H	131
I-140	(±) CH(CH ₃)-CH(CH ₃) ₂	H	Cl	H	F	H	121
I-141	(S) CH(CH ₃)-CH(CH ₃) ₂	H	Cl	H	F	H	108
I-142	(R) CH(CH ₃)-CH(CH ₃) ₂	H	Cl	H	F	H	109
I-143	(±) CH(CH ₃)-C(CH ₃) ₃	H	Cl	H	F	H	156
I-144	(S) CH(CH ₃)-C(CH ₃) ₃	H	Cl	H	F	H	153
I-145	(R) CH(CH ₃)-C(CH ₃) ₃	H	Cl	H	F	H	153
I-146	(±) CH(CH ₃)-CF ₃	H	Cl	H	F	H	194
I-147	(S) CH(CH ₃)-CF ₃	H	Cl	H	F	H	155

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No.	R ¹	R ²	R ³	L ¹	L ²	L ³	phys. data (m.p. [°C])
I-148	(R) CH(CH ₃)-CF ₃	H	Cl	H	F	H	155
I-149	CH ₂ CF ₃	H	Cl	H	F	H	176
I-150	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	Cl	H	187
I-151	(±) CH(CH ₃)-CH ₂ CH ₃	H	F	F	H	H	133
I-152	(S) CH(CH ₃)-CH ₂ CH ₃	H	F	F	H	H	137
I-153	(R) CH(CH ₃)-CH ₂ CH ₃	H	F	F	H	H	137
I-154	(±) CH(CH ₃)-CH(CH ₃) ₂	H	F	F	H	H	135
I-155	(S) CH(CH ₃)-CH(CH ₃) ₂	H	F	F	H	H	124
I-156	(R) CH(CH ₃)-CH(CH ₃) ₂	H	F	F	H	H	124
I-157	(S) CH(CH ₃)-C(CH ₃) ₃	H	F	F	H	H	142
I-158	(R) CH(CH ₃)-C(CH ₃) ₃	H	F	F	H	H	142
I-159	(±) CH(CH ₃)-CF ₃	H	F	F	H	H	187
I-160	(S) CH(CH ₃)-CF ₃	H	F	F	H	H	149
I-161	(R) CH(CH ₃)-CF ₃	H	F	F	H	H	149
I-162	CH ₂ CF ₃	H	F	F	H	H	186
I-163	(S) CH(CH ₃)-CH ₂ CH ₃	H	F	H	H	F	131
I-164	(R) CH(CH ₃)-CH ₂ CH ₃	H	F	H	H	F	131
I-165	(±) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	H	F	159
I-166	(S) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	H	F	162
I-167	(R) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	H	F	162
I-168	(S) CH(CH ₃)-C(CH ₃) ₃	H	F	H	H	F	180
I-169	(R) CH(CH ₃)-C(CH ₃) ₃	H	F	H	H	F	180

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No.	R ¹	R ²	Hal	L ¹	L ²	L ³	phys. data (m.p. [°C])
I-170	(±) CH(CH ₃)-CF ₃	H	F	H	H	F	63
I-171	(S) CH(CH ₃)-CF ₃	H	F	H	H	F	59
I-172	(R) CH(CH ₃)-CF ₃	H	F	H	H	F	59
I-173	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	F	H	NO ₂	H	170
I-174	CH(CH ₃) ₂	H	F	H	NO ₂	H	169
I-175	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	NO ₂	H	231
I-176	cyclopentyl	H	F	H	NO ₂	H	201
I-177	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	H	NO ₂	H	165
I-178	(±) CH(CH ₃)-CF ₃	H	F	H	NO ₂	H	241
I-179	CH ₂ CF ₃	H	F	H	NO ₂	H	237
I-180	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	Cl	H	NO ₂	H	166
I-181	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		Cl	H	NO ₂	H	204

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In some cases of chiral groups R¹ and due to the hindered rotation of the phenyl group two diastereomers exist which may differ in their physical properties.

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Examples for the action against harmful fungi

The fungicidal action of the compounds of formula I was demonstrated by the following experiments:

The active ingredients, separately or jointly, were used to prepare a stock solution comprising 0.25 % by weight of active ingredient in acetone or DMSO. 1% by weight of the emulsifier Uniperol® EL (emulsifying and dispersing wetter based on ethoxylated alkylphenols) was added to this solution and the mixture was diluted with water to give the desired concentration.

Use Example 1 - Fungicidal control of early blight on tomatoes (*Alternaria solani*)

Leaves of pot grown tomato seedlings of the "Große Fleischtomate St. Pierre" variety were sprayed with an aqueous suspension containing the active compound in the concentration mentioned below. The next day the leaves were infected with a zoospore suspension of *Alternaria solani* (0.17×10^6 spores per ml of a 2% strength biomalt solution). The plants were then placed in a water vapour-saturated chamber at 20 to 22°C. After 5 days the disease had spread to such a great extent on the untreated plants that the fungicidal activity of the substances could be assessed.

In this test, the plants which had been treated with 250 ppm of compounds I-2, I-4, I-8, I-17, I-20, I-21, I-25, I-28, I-90, I-91, I-94, I-97, and I-101, resp., showed an infection of not more than 7 %, whereas the untreated plants were infected to 90 %.

Use Example 2 - Control of gray mould (*Botrytis cinerea*) on paprika leaves

Paprika seedlings were sprayed to run-off at the four- to five leave stage with an aqueous suspension containing the concentration of active ingredient mentioned below. The next day the plants were inoculated with a spore suspension of *Botrytis cinerea* containing 1.7×10^6 spores per ml in 2 wt. % aqueous biomalt solution. The infected plants were then incubated in chambers with high humidity for five days at 22-24°C. The extent of fungus spread was assessed as %-attack of the whole leaf surface.

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In this test, the plants which had been treated with 250 ppm of compounds I-2, I-4, I-5, I-8, I-17, and I-20, resp., showed an infection of not more than 5 %; whereas the untreated plants were infected to 85 %.

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Use Example 3 - Fungicidal control of grape downy mildew (*Plasmopara viticola*)

Leaves of potted vines of the "Müller Thurgau" variety were
10 sprayed with aqueous liquors made from a stock solution containing the concentration of active ingredient mentioned below. The next day they were inoculated with an aqueous spore suspension of *Plasmopara viticola* by spraying it at the lower leaf-side. Then the trial plants were transferred for 48 h to a humid chamber
15 with about 24°C and a relative humidity close to 100 %. For a period of 5 days, cultivation followed in a greenhouse at 20 to 30°C. To stimulate the outbreak of the disease symptoms, the plants were transferred to a humid chamber again for 16 hours. Then the extent of fungal attack on the lower leaf surface was
20 visually assessed as % diseased leaf area.

In this test, the plants which had been treated with 250 ppm of compounds I-2, I-4, I-8, I-17, and I-20, resp., showed an infection of not more than 15 %, whereas the untreated plants were infected to 95 %.

25

Use Example 4 - Action on *Pyricularia oryzae* (protective action)

Leaves of pot grown rice seedlings of the "Tai-Nong 67" variety
30 were sprayed to runoff with an aqueous suspension, containing the concentration of active ingredient mentioned below. The next day the plants were inoculated with an aqueous spore suspension of *Pyricularia oryzae*. The plants were then placed for 6 days in a humid chamber at 22 to 24°C and a relative humidity of 95 to 99 %.
35 The extent of fungus spread was assessed as %-attack of the whole leaf surface.

In this test, the plants which had been treated with 250 ppm of compounds I-2, I-4, I-5, and I-20, resp., showed an infection of
40 not more than 15%, whereas the untreated plants were infected to 80 %.

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Use Example 5 - Control of net blotch on barley caused by *Pyrenophora teres*

Leaves of pot grown barley seedlings of the variety "Igri" were
5 sprayed to run-off with an aqueous suspension, containing the
concentration of active ingredient mentioned below. The next day
the treated plants were inoculated with an aqueous spore
suspension of *Pyrenophora* [syn. *Drechslera*] *teres*. Then the trial
plants were immediately transferred to a humid chamber in the
10 greenhouse. After 6 days of cultivation at 20-24°C and a relative
humidity close to 100 %, the extent of fungal attack on the lea-
ves was visually assessed as % diseased leaf area.

In this test, which had been treated with 250 ppm of compounds
15 I-21, I-25, I-28, I-43, I-45, I-91, I-94, and I-97, resp., showed
an infection of not more than 10 %, whereas the untreated plants
were infected to 90 %.

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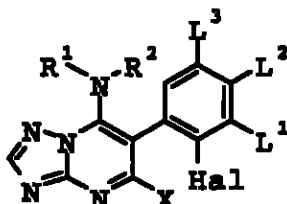
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Claims:

1. Substituted 6-(2-halogenphenyl)-triazolopyrimidines of formula I



I

in which

R¹ denote C₁-C₁₀-alkyl, C₂-C₁₀-alkenyl, C₂-C₁₀-alkynyl, or C₄-C₁₀-alkadienyl, C₁-C₁₀-haloalkyl, C₂-C₁₀-haloalkenyl, C₃-C₁₀-cycloalkyl, phenyl, naphthyl, or

a 5- or 6-membered saturated, unsaturated, or aromatic heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom,

wherein R¹ and R² radicals may be unsubstituted or partly or fully halogenated or may carry one to three groups R^a,

R^a is cyano, nitro, hydroxyl, C₁-C₆-alkyl, C₃-C₆-cycloalkyl, C₁-C₆-alkoxy, C₁-C₆-alkylthio, C₁-C₆-alkylamino, di-C₁-C₆-alkylamino, C₂-C₆-alkenyl, C₂-C₆-alkenyloxy, C₂-C₆-alkynyl, C₃-C₆-alkynyloxy, or C₁-C₄-alkylenedioxy; or

R² denote hydrogen, or a group mentioned for R¹; or

R¹ and R² together with the interjacent nitrogen atom represent a saturated or partially unsaturated 5- or 6-membered heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom, which ring may be substituted by one to three R^a radicals;

Hal is halogen;

L¹, L³ independently denote hydrogen, halogen, or C₁-C₄-alkyl;

84

- L^2 is hydrogen, halogen, C_1 - C_4 -haloalkyl, or NH_2 , NHR^b , or $N(R^b)_2$,
- R^b is C_1 - C_8 -alkyl, C_3 - C_{10} -alkenyl, C_3 - C_{10} -alkynyl, C_1 - C_6 -haloalkyl, C_3 - C_6 -haloalkenyl, C_3 - C_6 -haloalkynyl, C_1 - C_8 -alkoxy- C_1 - C_8 -alkyl, C_1 - C_8 -alkylthio- C_1 - C_8 -alkyl, C_3 - C_{10} -cycloalkyl, or $C(=O)$ -A, in which
- A is hydrogen, hydroxy, C_1 - C_8 -alkyl, C_1 - C_8 -alkoxy, C_1 - C_6 -halogenalkoxy, C_1 - C_8 -alkylamino or di- $(C_1$ - C_8 -alkyl)amino;

wherein at least one from L^1 , L^2 , and L^3 is not hydrogen;

- X is halogen, cyano, C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy, C_1 - C_6 -haloalkoxy or C_3 - C_8 -alkenyloxy.

2. Compounds of formula I according to claim 1, in which

- R^1 is straight chained or branched C_2 - C_6 -alkenyl, C_1 - C_6 -alkyl, or C_1 - C_{10} -haloalkyl, and
- R^2 is hydrogen or C_1 - C_6 -alkyl, or

- R^1 and R^2 together with the interjacent nitrogen atom represent a heterocyclic ring with 5 or 6 carbon atoms being optionally substituted with one or two C_1 - C_4 -alkyl groups.

3. Compounds according to any one of claims 1 or 2 in which R^1 and R^2 together with the interjacent nitrogen atom represent a 5- or 6-membered heterocyclic ring being optionally substituted with one or two methyl groups.

4. Compounds a formula I to any one of claims 1 to 3 in which X is halogen.

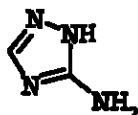
5. Compounds a formula I according to claims 1 to 4 in which the 6-(2-halogenphenyl)group represents one of the following moieties:

2,3,5-trifluorophenyl, 2,4-difluorophenyl, 2-F,4- CF_3 -phenyl, 2-F,5- CH_3 -phenyl, 2-Cl,4-F-phenyl, 2-F,4-Cl-phenyl, 2-F,4-Br-phenyl, 2-Cl,4-Br-phenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 2,4,5-trifluorophenyl, 2,3,4-trifluorophenyl,

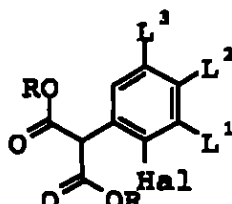
44

2-F,4-NHC(O)CH₃-phenyl, 2-Br,3,5-difluorophenyl,
2-F,4-NO₂-phenyl, and 2-Cl,4-NO₂-phenyl.

6. A process for the preparation of compounds of formula I as
defined in claims 4 and 5 which comprises reacting
5-amino-1,2,4-triazole

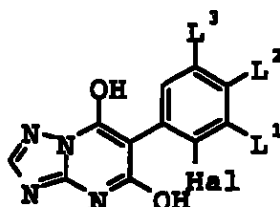


with 2-phenyl-substituted malonic acid ester of formula II,



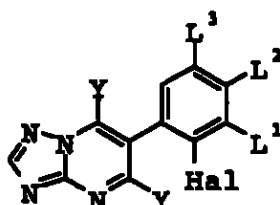
II

wherein Hal, L¹, L², and L³ are as defined in formula I, and R
denotes C₁-C₆-alkyl, under alkaline conditions, to yield com-
pounds of formula III,



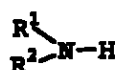
III

which are subsequently treated with a halogenating agent to
give 5,7-dihalogen-6-phenyl-triazolopyrimidines of formula IV



IV

in which Y is halogen, with an amine of formula V

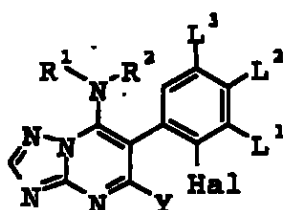


V

in which R¹ and R² are as defined in formula I to produce com-
pounds of formula I.

7. A process for the preparation of compounds of formula I ac-
cording to claim 1 wherein X is cyano, C₁-C₁₀-alkoxy, or
C₁-C₁₀-haloalkyl, which comprises reacting 5-halogen-triazolo-
pyrimidine of formula I',

45



I' (X=Hal)

5

wherein Y is halogen, with compounds of formula VI,

M-X'

VI

10

which are, dependent from the value of X' to be introduced, an anorganic cyano salt, an alkoxylate, haloalkoxylate or an alkenyloxylate, resp., wherein M is ammonium-, tetraalkylammonium-, alkalimetal- or earth metal cation, to produce compounds of formula I.

15

8. Intermediates of formulae II, III, and IV as defined in claim 6.

20

9. A composition suitable for controlling phytopathogenic fungi, comprising a solid or liquid carrier and a compound of the formula I as claimed in claim 1.

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10. A method for controlling phytopathogenic fungi, which comprises treating the fungi or the materials, plants, the soil or the seed to be protected against fungal attack with an effective amount of a compound of the formula I as claimed in claim 1.

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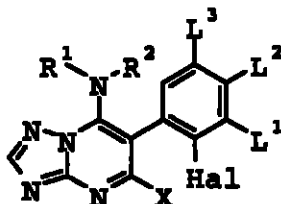
Substituted 6-(2-halogenphenyl)-triazolopyrimidines

Abstract

5

Substituted 6-(2-halogenphenyl)-triazolopyrimidines of formula I

10



I

in which

15 R^1 denote alkyl, alkenyl, alkynyl, alkadienyl, haloalkyl, haloalkenyl, cycloalkyl, phenyl, naphthyl, or a 5- or 6-membered saturated, unsaturated, or aromatic heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom, wherein R^1 and
20 R^2 radicals may be substituted as defined in the description,

R^2 denote hydrogen, or a group mentioned for R^1 ; or

25 R^1 and R^2 together with the interjacent nitrogen atom represent a 5- or 6-membered heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom, which ring may be substituted as defined in the description;

30

Hal is halogen;

L^1, L^3 independently denote hydrogen, halogen, or alkyl;

35 L^2 is hydrogen, halogen, haloalkyl, or NH_2 , NHR^b , or $N(R^b)_2$, wherein R^b is as defined in the description,

wherein at least one from L^1 , L^2 , and L^3 is not hydrogen;

40 X is halogen, cyano, alkyl, alkoxy, haloalkoxy or alkenyloxy.

processes for their preparation, compositions containing them and to their use for combating phytopathogenic fungi.

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PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT (PCT Article 36 and Rule 70)



Applicant's or agent's file reference 0000054040	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/PEA/16)	
International application No. PCT/EP 03/12276	International filing date (day/month/year) 04.11.2003	Priority date (day/month/year) 07.11.2002
International Patent Classification (IPC) or both national classification and IPC C07D487D4		
Applicant BASF AKTIENGESELLSCHAFT		
1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36. 2. This REPORT consists of a total of 8 sheets, including this cover sheet. ☒ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT). These annexes consist of a total of 8 sheets.		
3. This report contains indications relating to the following items: I ☒ Basis of the opinion II ☐ Priority III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability IV ☒ Lack of unity of invention V ☒ Reasoned statement under Rule 66.2(a)(II) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement VI ☐ Certain documents cited VII ☐ Certain defects in the international application VIII ☐ Certain observations on the international application		
Date of submission of the demand 20.04.2004	Date of completion of this report 29.03.2005	
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer Samsam Bakhtiary, M Telephone No. +49 89 2399-8556 	

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. **PCT/EP 03/2276**

I. Basis of the report

1. With regard to the elements of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, Pages

1-41 as originally filed

Claims, Numbers

1-18 received on 15.02.2005 with letter of 14.02.2005

2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
- ☐ the claims, Nos.:
- ☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

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**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. **PCT/EP 03/12276**

IV. Lack of unity of invention

1. In response to the invitation to restrict or pay additional fees, the applicant has:

- ☐ restricted the claims.
- ☐ paid additional fees.
- ☒ paid additional fees under protest.
- ☐ neither restricted nor paid additional fees.

2. ☐ This Authority found that the requirement of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees.

3. This Authority considers that the requirement of unity of invention in accordance with Rules 13.1, 13.2 and 13.3 is

- ☒ complied with.
- ☐ not complied with for the following reasons:

4. Consequently, the following parts of the international application were the subject of international preliminary examination in establishing this report:

- ☒ all parts.
- ☐ the parts relating to claims Nos. .

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims	1-18
	No: Claims	
Inventive step (IS)	Yes: Claims	10-18
	No: Claims	1-9
Industrial applicability (IA)	Yes: Claims	1-18
	No: Claims	

2. Citations and explanations

see separate sheet

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/EP 03/12276

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Re Item IV

Lack of unity of invention

This Authority considers that there are 6 inventions covered by the claims indicated as follows:

1. Claims: 1(part),2(part),4-10

Triazolopyrimidine derivatives,
when R2= hydrogen, R1= aliphatic group (claim
1,2,4,5);process to make these compounds (claims 6 and 7);
their intermediates (claim 8); their fungicidal composition
(claim 9) and use of these compounds for controlling
phytopathogenic fungi (claim 10).

2. Claims: 1(part),4-10

Triazolopyrimidine derivatives, when R2= hydrogen,
R1= cyclic group (claim 1,4 and 5);process to make these
compounds (claims 6 and 7); their intermediates (claim 8);
their fungicidal composition (claim 9) and use of these
compounds for controlling phytopathogenic fungi (claim 10).

3. Claims: 1(part),2(part), 4-10

Triazolopyrimidine derivatives, when R2= aliphatic group,
R1= aliphatic group (claim 1,2,4 and 5);process to make
these compounds (claims 6 and 7); their intermediates (claim
8); their fungicidal composition (claim 9) and use of these
compounds for controlling phytopathogenic fungi (claim 10).

4. Claims: 1(part),4-10

Triazolopyrimidine derivatives, when R2= aliphatic group,
R1= cyclic group (claim 1,4 and 5);process to make these

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/EP 03/12276

compounds (claims 6 and 7); their intermediates (claim 8);
their fungicidal composition (claim 9) and use of these
compounds for controlling phytopathogenic fungi (claim 10).

5. Claims: 1(part), 4-9

Triazolopyrimidine derivatives, when R2= cyclic group,
R1= cyclic group (claim 1,4 and 5);process to make these
compounds (claims 6 and 7); their intermediates (claim 8);
their fungicidal composition (claim 9) and use of these
compounds for controlling phytopathogenic fungi (claim 10).

6. Claims: 1(part), 3-10

Triazolopyrimidine derivatives, when R1 and R2 together form
an heterocycle (claim 1,3,4 and 5);process to make these
compounds (claims 6 and 7); their intermediates (claim 8);
their fungicidal composition (claim 9) and use of these
compounds for controlling phytopathogenic fungi (claim 10).

The reasons for which the inventions are not so linked as to form a single general inventive concept, as required by Rule 13.1 PCT, are as follows:

The unique common concept linking together the subject-matters of claims 1-10 is the presence of a 7-R1R2-amino-6-(2-halogenphenyl)-triazolopyrimidines derivatives said compounds having fungicidal activity.

Such a structural feature is already disclosed in WO98/46608 (see Table I, page 21, examples 47 and 62)-for compounds which exhibit the same fungicidal activity (see page 1, lines 2-5).

Since the common feature is not novel, it cannot represent the single inventive concept which could have linked the different claimed subject-matters together.

The Applicant paid one extra search fee (search phase) and also one extra examination

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/EP 03/12276

fee (exam. phase, under protest) for invention n°6.

Therefore the subject matter which will be examined are invention n° 1 (R1=H; R2=aliphatic group) and n° 6 (R1 and R2 together form an heterocycle).

The subject matter of claims 1-18 are now restricted to invention n° 1 and n° 6, so now the requirements of unity of invention are met.

Re Item V

Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

I. Reference is made to the following documents:

- D1: WO 98/46608 A (AMERICAN CYANAMID CO) 22 October 1998 (1998-10-22)
- D2: FR-A-2 765 875 (AMERICAN CYANAMID CO) 15 January 1999 (1999-01-15)
- D3: US-A-5593996 (AMERICAN CYANAMID CO) 7 January 1997
- D4: FR-A-2 784 991 (AMERICAN CYANAMID CO) 28 April 2000 (2000-04-28)
- D5: US-A-5 986 135 (COTTER HENRY VAN TUYL ET AL) 16 November 1999 (1999-11-16)
- D6: FR-A-2 784 381 (AMERICAN CYANAMID CO) 14 April 2000 (2000-04-14)
- D7: EP-A-0 071 792 (BASF AG) 16 February 1983 (1983-02-16)
- D8: WO 02/50077 A (HENRICH MARIELOUISE ;MAULER-MACHNIK ASTRID (DE); HILGERS PETRA (DE) 27 June 2002 (2002-06-27)
- D9: WO 02/094020 A (KUGLER MARTIN ;KUHN DIETMAR (DE); RIECK HEIKO (DE); BAYER AG (DE)) 28 November 2002 (2002-11-28)

1. Claims 1-9 (corresponding to invention n° 1)

1.1 Novelty

Amendment of claim 1: R1 cannot be anymore haloalkyl and R2 is limited to hydrogen.

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By these amendments, the specific compounds disclosed in documents D1-D8 are no longer relevant regarding novelty.

Intermediates of claims 7 contain specific features which now can be considered as novel.

In case this application is proceeded in a european phase, then overlapping subject matter between D1-D3, D5, D6, D8, D9 and the claimed subject matter of this application should be removed furthermore D9 (Pdocument), page 22, example 6 would anticipates the subject matter of claims 1-9.

In case of amendment to overcome novelty, we draw the attention of the Applicant to the jurisprudence regarding "disclaimers" (G01/03).

1.2 Inventive step

The claimed subject matter of this application is a invention selection of a broader family of compounds of D2 and D3.

Such a selection can only be regarded as inventive, if the sub-family presents unexpected effects or properties in relation to the broader family. However, no such effects or properties are indicated in the application. Hence, no inventive step is present in the subject-matter of claim 1-9.

2. Claims 10-18 (corresponding to invention n° 6)

2.1 Novelty

Documents D2-D4, D6 disclose generically and specifically compounds where R1 and R2 form an heterocycle with the nitrogen.

None of the specific examples does affect the novelty of the claimed subject matter.

However, if this application is proceeded in the european phase, then overlapping subject matter may exist and in such case should be removed.

The subject matter of claims 10-18 can be regarded as novel.

2.2 Inventive step

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/EP 03/12276

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The claimed subject matter of this application is a invention selection of a broader family of compounds of D2 D3,D6. However D3 can be considered as the most relevant prior art in view of the quantity of disclosed examples.

Such a selection can only be regarded as inventive, if the sub-family presents unexpected effects or properties in relation to the broader family.

With his letter of 14.02.05, the Applicant provided comparative tests showing improved herbicidal properties of his claimed compound over the example 119 of D3.

The specific position of the substituent on the phenyl ring influences the herbicidal activity of the overwhole molecule, this could be predictable.

The subject matter of claims 10-18 can be considered as inventive.

IV Other matters

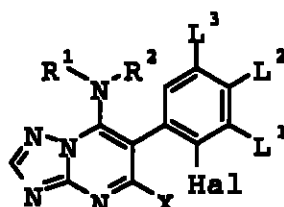
1.Contrary to the requirements of Rule 5.1(a)(ii) PCT, the relevant background art disclosed in the documents D2-D9 is not mentioned in the description, nor are these documents identified therein.

2.If this application is further proceeded in the european phase, then independent claims 1 and 9 relating to a compound per se should be made dependent (Rule 29 EPC).

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Claims

1. Substituted 6-(2-halogenphenyl)-triazolopyrimidines of formula I



I

in which

Hal is halogen;

L¹, L³ independently denote hydrogen, halogen, or C₁-C₄-alkyl;

L² is hydrogen, halogen, C₁-C₄-haloalkyl, or NH₂, NHR^b, or N(R^b)₂,

R^b is C₁-C₈-alkyl, C₃-C₁₀-alkenyl, C₃-C₁₀-alkynyl, C₁-C₆-haloalkyl, C₃-C₆-haloalkenyl, C₃-C₆-haloalkynyl, C₁-C₈-alkoxy-C₁-C₈-alkyl, C₁-C₈-alkylthio-C₁-C₈-alkyl, C₃-C₁₀-cycloalkyl, or C(=O)-A, in which

A is hydrogen, hydroxy, C₁-C₈-alkyl, C₁-C₈-alkoxy, C₁-C₆-halogenalkoxy, C₁-C₈-alkylamino or di-(C₁-C₈-alkyl)amino;

wherein at least one from L¹, L², and L³ is not hydrogen;

X is halogen, cyano, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy or C₃-C₈-alkenyloxy.

R¹ denote C₁-C₁₀-alkyl, C₂-C₁₀-alkenyl, C₂-C₁₀-alkynyl, or C₄-C₁₀-alkadienyl, C₂-C₁₀-haloalkenyl

wherein R¹ may be unsubstituted or may carry one to three groups R^a,

R^a is cyano, nitro, hydroxyl, C₁-C₆-alkyl, C₃-C₆-cycloalkyl, C₁-C₆-alkoxy, C₁-C₆-alkylthio, C₁-C₆-alkylamino, di-C₁-C₆-alkylamino, C₂-C₆-alkenyl, C₂-C₆-alkenyloxy, C₂-C₆-alkynyl, C₃-C₆-alkynyloxy, or C₁-C₄-alkylenedioxy;

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R² is hydrogen;

2. Compounds of formula I according to claim 1, in which

5 R¹ is straight chained or branched C₂-C₆-alkenyl, C₁-C₆-alkyl.

3. Compounds of formula I according to claim 1 or 2 in which X is halogen.

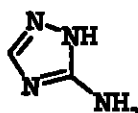
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4. Compounds of formula I according to any one of claims 1 to 3 in which the 6-(2-halogenphenyl)group represents one of the following moieties:

15 2,3,5-trifluorophenyl, 2-F,4-CF₃-phenyl, 2-F,5-CH₃-phenyl, 2-Cl,4-F-phenyl, 2-F,4-Cl-phenyl, 2-F,4-Br-phenyl, 2-Cl,4-Br-phenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 2,4,5-trifluorophenyl, 2,3,4-trifluorophenyl, 2-F,4-NHC(O)CH₃-phenyl, 2-Br,3,5-difluorophenyl, 2-F,4-NO₂-phenyl, and
20 2-Cl,4-NO₂-phenyl.

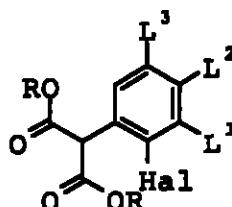
5. A process for the preparation of compounds of formula I as defined in claims 3 and 4 which comprises reacting
25 5-amino-1,2,4-triazole

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with 2-phenyl-substituted malonic acid ester of formula II,

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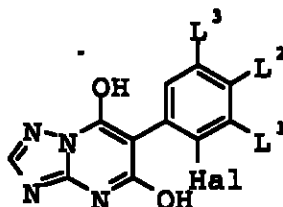


II

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wherein Hal, L¹, L², and L³ are as defined in formula I, and R denotes C₁-C₆-alkyl, under alkaline conditions, to yield compounds of formula III,

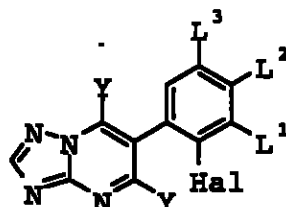
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III

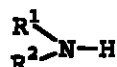
45 which are subsequently treated with a halogenating agent to give 5,7-dihalogen-6-phenyl-triazolopyrimidines of formula IV

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IV

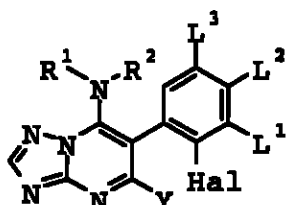
in which Y is halogen, and which is reacted with an amine of formula V



V

in which R¹ and R² are as defined in claim 1 to produce compounds of formula I, as defined in claim 1.

6. A process for the preparation of compounds of formula I according to claim 1 wherein X is cyano, C₁-C₁₀-alkoxy, or C₁-C₆-haloalkoxy, which comprises reacting 5-halogen-triazolo-pyrimidine of formula I',



I'

wherein Y is halogen, with compounds of formula VI,

M-X'

VI

which are, dependent from the value of X' to be introduced, an anorganic cyano salt, an alkoxylate, haloalkoxylate or an alkenyloxylate, resp., wherein M is ammonium-, tetraalkylammonium-, alkalimetal- or earth metal cation, to produce compounds of formula I.

7. Intermediates of formulae II, III, and IV as defined in claim 5, in which the 6-(2-halogenphenyl)group represents one of the following moieties:

2,3,5-trifluorophenyl, 2-F,4-CF₃-phenyl, 2-F,5-CH₃-phenyl, 2-Cl,4-F-phenyl, 2-F,4-Cl-phenyl, 2-F,4-Br-phenyl, 2-Cl,4-Br-phenyl, 2,3-difluorophenyl, 2,4,5-trifluorophenyl, 2,3,4-trifluorophenyl, 2-F,4-NHC(O)CH₃-phenyl, 2-Br,3,5-difluorophenyl, 2-F,4-NO₂-phenyl, and 2-Cl,4-NO₂-phenyl.

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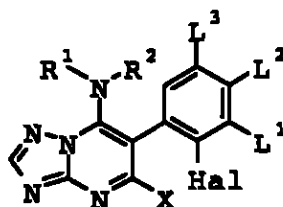
8. A composition suitable for controlling phytopathogenic fungi, comprising a solid or liquid carrier and a compound of the formula I as claimed in claim 1.

5 9. A method for controlling phytopathogenic fungi, which comprises treating the fungi or the materials, plants, the soil or the seed to be protected against fungal attack with an effective amount of a compound of the formula I as claimed in claim 1.

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10. Substituted 6-(2-halogenphenyl)-triazolopyrimidines of formula I

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I

in which

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Hal is halogen;

L¹, L³ independently denote hydrogen, halogen, or C₁-C₄-alkyl;

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L² is hydrogen, halogen, C₁-C₄-haloalkyl, or NH₂, NHR^b, or N(R^b)₂,

R^b is C₁-C₈-alkyl, C₃-C₁₀-alkenyl, C₃-C₁₀-alkynyl, C₁-C₆-haloalkyl, C₃-C₆-haloalkenyl,

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C₃-C₆-haloalkynyl, C₁-C₈-alkoxy-C₁-C₈-alkyl, C₁-C₈-alkylthio-C₁-C₈-alkyl, C₃-C₁₀-cycloalkyl, or C(=O)-A, in which

A is hydrogen, hydroxy, C₁-C₈-alkyl, C₁-C₈-alkoxy, C₁-C₆-halogenalkoxy, C₁-C₈-alkylamino or

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di-(C₁-C₈-alkyl)amino;

wherein at least one from L¹, L², and L³ is not hydrogen;

X is halogen, cyano, C₁-C₆-alkyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy or C₃-C₈-alkenyloxy.

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R¹ and R² together with the interjacent nitrogen atom represent a saturated or partially unsaturated 5- or 6-membered heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or

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oxygen atom, which ring may be substituted by one to three R^a radicals;

- 5 R^a is cyano, nitro, hydroxyl, C₁-C₆-alkyl, C₃-C₆-cyclo-alkyl, C₁-C₆-alkoxy, C₁-C₆-alkylthio, C₁-C₆-alkylamino, di-C₁-C₆-alkylamino, C₂-C₆-alkenyl, C₂-C₆-alkenyloxy, C₂-C₆-alkynyl, C₃-C₆-alkynyloxy, or C₁-C₄-alkylenedioxy;

10 11. Compounds of formula I according to claim 10, in which

15 R¹ and R² together with the interjacent nitrogen atom represent a heterocyclic ring with 5 or 6 carbon atoms being optionally substituted with one or two C₁-C₄-alkyl groups.

20 12. Compounds of formula I according to claim 10 or 11 in which R¹ and R² together with the interjacent nitrogen atom represent a 5- or 6-membered heterocyclic ring being optionally substituted with one or two methyl groups.

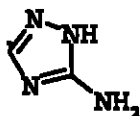
13. Compounds of formula I according to any one of claims 10 to 12 in which X is halogen.

25 14. Compounds of formula I according to any one of claims 10 to 13 in which the 6-(2-halogenphenyl)group represents one of the following moieties:

30 2,3,5-trifluorophenyl, 2-F,4-CF₃-phenyl, 2-F,5-CH₃-phenyl, 2-Cl,4-F-phenyl, 2-F,4-Cl-phenyl, 2-F,4-Br-phenyl, 2-Cl,4-Br-phenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 2,4,5-trifluorophenyl, 2,3,4-trifluorophenyl, 2-F,4-NHC(O)CH₃-phenyl, 2-Br,3,5-difluorophenyl, 2-F,4-NO₂-phenyl, and 2-Cl,4-NO₂-phenyl.

35 15. A process for the preparation of compounds of formula I as defined in claims 13 and 14 which comprises reacting 5-amino-1,2,4-triazole

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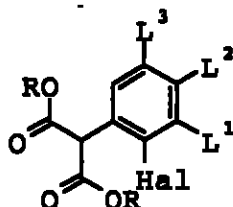
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with 2-phenyl-substituted malonic acid ester of formula II,

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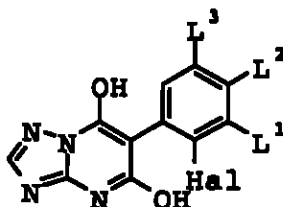


II

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wherein Hal, L¹, L², and L³ are as defined in formula I, and R denotes C₁-C₆-alkyl, under alkaline conditions, to yield compounds of formula III,

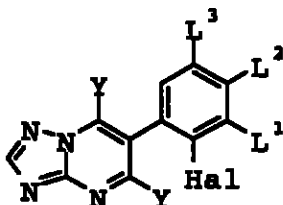
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III

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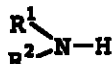
which are subsequently treated with a halogenating agent to give 5,7-dihalogen-6-phenyl-triazolopyrimidines of formula IV



IV

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in which Y is halogen, and which is reacted with an amine of formula V



V

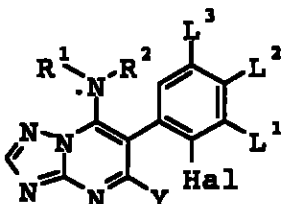
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in which R¹ and R² are as defined in claim 10 to produce compounds of formula I, as defined in claim 10.

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16. A process for the preparation of compounds of formula I according to claim 10 wherein X is cyano, C₁-C₁₀-alkoxy, or C₁-C₆-haloalkoxy, which comprises reacting 5-halogen-triazolopyrimidine of formula I',

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I'

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wherein Y is halogen, with compounds of formula VI,



VI

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which are, dependent from the value of X' to be introduced,
an anorganic cyano salt, an alkoxylate, haloalkoxylate or an
alkenyloxyate, resp., wherein M is ammonium-, tetraalkylam-
monium-, alkalimetal- or earth metal cation, to produce com-
pounds of formula I.

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17. A composition suitable for controlling phytopathogenic fungi,
comprising a solid or liquid carrier and a compound of the
formula I as claimed in claim 10.

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18. A method for controlling phytopathogenic fungi, which compri-
ses treating the fungi or the materials, plants, the soil or
the seed to be protected against fungal attack with an effec-
tive amount of a compound of the formula I as claimed in
claim 10.

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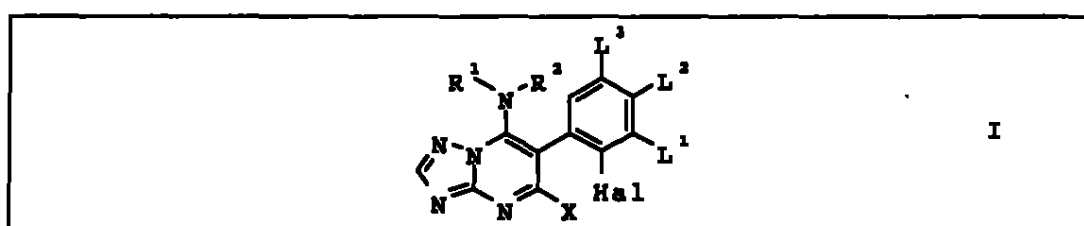
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6-(2-HALÓGENOFENIL)-TRIAZOLOPIRIMIDINAS SUSTITUIDAS

Descripción

La invención se refiere a 6-(2-halógenofenil)-triazolopirimidinas sustituidas de la fórmula I



en la que

R^1 significa alquilo- C_1-C_{10} , alquenilo- C_2-C_{10} , alquinilo- C_2-C_{10} , o alcadienilo- C_4-C_{10} , haloalquilo- C_1-C_{10} , haloalquenilo- C_2-C_{10} , cicloalquilo- C_3-C_{10} , fenilo, naftilo, o

un heterociclo saturado, no saturado o aromático de 5 ó 6 miembros, que contiene de uno a cuatro átomos de nitrógeno o de uno a tres átomos de nitrógeno y un átomo de azufre o de oxígeno,

en donde los radicales R^1 y R^2 pueden estar no sustituidos o parcial o completamente halogenados o pueden llevar de uno a tres grupos R^a ,

R^a es ciano, nitro, hidroxilo, alquilo- C_1-C_6 , cicloalquilo- C_3-C_6 ,

alcoxi-C₁-C₆, alquiltio-C₁-C₆, alquilamino-C₁-C₆, alquilamino-di-C₁-C₆, alquenilo-C₂-C₆, alqueniloxi-C₂-C₆, alquinilo-C₂-C₆, alquiniloxi-C₃-C₆, o alquilenodioxo-C₁-C₄;

R² significa hidrógeno, o un grupo mencionado para R¹; o

R¹ y R² junto con el átomo de nitrógeno interyacente representan un heterociclo saturado o parcialmente insaturado de 5 ó 6 miembros, que contiene de uno a cuatro átomos de nitrógeno o de uno a tres átomos de nitrógeno y un átomo de azufre o de oxígeno, cuyo anillo puede estar sustituido por uno a tres radicales R^a;

Hal es halógeno;

L¹, L³ significan, independientemente, hidrógeno, halógeno, o alquilo-C₁-C₄;

L² es hidrógeno, halógeno, haloalquilo-C₁-C₄, o NH₂, NHR^b, o N(R^b)₂,

R^b es alquilo-C₁-C₈, alquenilo-C₃-C₁₀, alquinilo-C₃-C₁₀, haloalquilo-C₁-C₆, haloalquenilo-C₃-C₆, haloalquinilo-C₃-C₆, alcoxi-C₁-C₈-alquilo-C₁-C₈, alquiltio-C₁-C₈-alquilo-C₁-C₈, cicloalquilo-C₃-C₁₀, o C(=O)-A, en donde

A es hidrógeno, hidroxí, alquilo-C₁-C₈, alcoxi-C₁-C₈, halógenoalcoxi-C₁-C₆, alquilamino-C₁-C₈ o di-(alquil-

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C_1-C_8)amino;

en donde por lo menos uno de los radicales L^1 , L^2 , y L^3 no es hidrógeno;

X es halógeno, ciano, alquilo- C_1-C_6 , alcoxi- C_1-C_6 , haloalcoxi- C_1-C_6 o alqueniloxi- C_3-C_8 .

La invención se refiere, además, a un procedimiento para su obtención, a composiciones que las contienen y a su uso para combatir hongos fitopatógenos.

Las 6-fenil-7-amino-triazolopirimidinas son generalmente conocidas de las memorias US 4,567,262, y EP-A 550 113.

Triazolopirimidinas con un grupo trifluorofenilo en la posición 6 se divulgan en la WO 98/46607 y EP-A 945 453. De la EP-A 834 513 se conocen diferentes 6-pentafluorofenil-triazolopirimidinas.

Los compuestos divulgados en los documentos arriba mencionados se describen como activos contra varios hongos fitopatógenos.

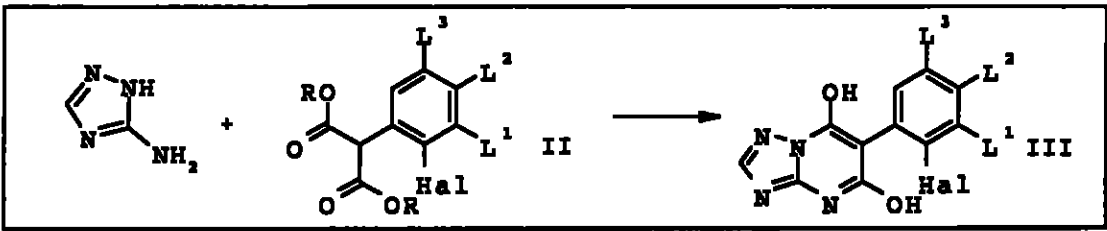
La presente invención tiene por objeto proveer compuestos con actividad fungicida mejorada.

Se ha encontrado, que este objeto se alcanza con los compuestos

definidos al comienzo. Además, se han encontrado procedimientos para la obtención de los mismos, composiciones que los contienen y métodos para controlar hongos fitopatógenos usando los compuestos I.

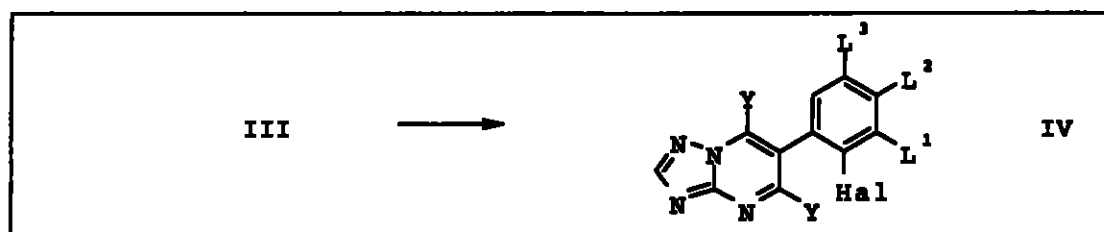
Los compuestos de la fórmula I se distinguen de los compuestos más similares del estado de la técnica, de la EP-A 945 453 y EP-A 834 513 en el grupo 6-(2-halógenofenilo), que está sustituido, adicionalmente, en las posiciones 3, 4 y/ó 5.

Los compuestos de la fórmula I pueden ser preparados en forma similar a las condiciones conocidas, descritas en la EP-A 550 113. Preferentemente, se preparan los compuestos de la fórmula I arriba definida, haciendo reaccionar 5-amino-triazoles con éster de ácido malónico sustituido por 2-(2-halógenofenilo) de la fórmula II, en la que



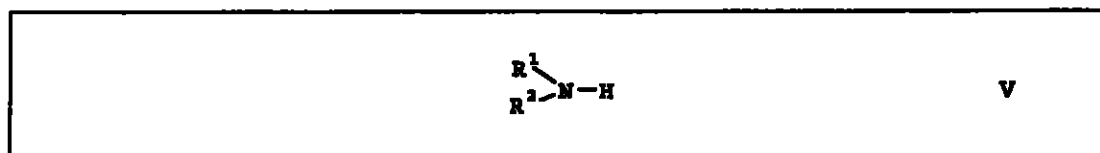
R representa alquilo, preferentemente, alquilo-C₁-C₆, especialmente, metilo o etilo, bajo condiciones alcalinas, preferentemente, usando aminas terciarias de alto punto de ebullición, como por ejemplo, tri-n-butilamina, tal y como se describe, por ejemplo, en la EP-A 770 615, dando compuestos de la fórmula III.

La 5,7-dihidroxi-6-fenil-triazolopirimidina resultante de la fórmula III, en donde L^1 a L^3 tienen las definiciones indicadas para la fórmula I, es tratada, subsiguientemente, con un agente halogenante, preferentemente, con un agente de bromación o cloración, como p.ej. oxibromuro fosforoso o oxicloruro fosforoso, como tal o en presencia de un disolvente, dando IV, en donde Y es halógeno, como p.ej. cloro o bromo.



La reacción se realiza, convenientemente, a una temperatura de 0°C a 150°C , preferentemente, de 80°C a 125°C , tal y como se indica, por ejemplo, en la EP-A 770 615.

La dihalotriazolopirimidina IV es transformada ulteriormente con una amina de la fórmula V



en la que R^1 y R^2 tienen las definiciones indicadas en la fórmula I, dando los compuestos de la fórmula I, en la que X es halógeno.

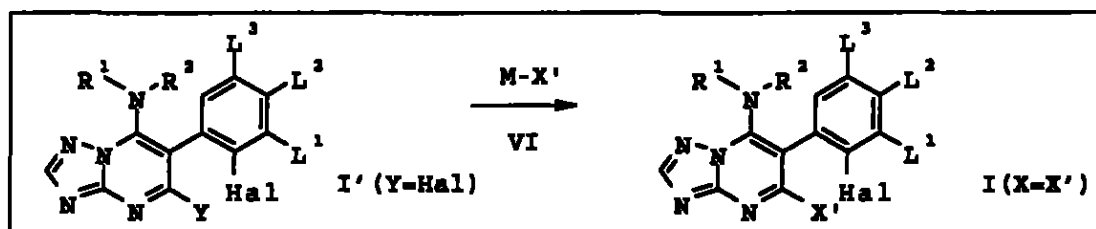
La reacción entre el compuesto 5,7-dihalógeno IV y la amina de la fórmula V puede ser realizada bajo las condiciones conocidas de la WO 98/46608. La reacción se efectúa, preferentemente, en presencia de un disolvente. Disolventes apropiados incluyen los éteres, como p.ej. dioxano, éter dietílico y, especialmente, tetrahidrofurano, hidrocarburos halogenados, tal como diclorometano y hidrocarburos aromáticos, por ejemplo, tolueno.

La reacción se efectúa, ventajosamente, a una temperatura que varía de 0°C a 70°C, oscilando el margen de temperatura preferido, de 10°C a 35°C.

También es preferido, realizar la reacción en presencia de una base. Bases apropiadas incluyen: aminas terciarias, como p.ej. trietilamina, y bases inorgánicas, como p.ej. carbonato de potasio o carbonato de sodio. Alternativamente, se puede usar un exceso del compuesto de la fórmula V como base.

Los compuestos de la fórmula I, en la que X significa ciano, alcoxi-C₁-C₆, haloalcoxi-C₁-C₆ o alqueniloxi-C₃-C₈, pueden ser preparados, haciendo reaccionar compuestos I' donde Y es halógeno, preferentemente, cloro, con compuestos de la fórmula VI, que, dependiendo del valor de X' a ser introducido para proporcionar compuestos de la fórmula I, es una sal inorgánica de ciano, un alcoxilato, haloalcoxilato o un oxilato de aquenilo, respectivamente, preferentemente, en presencia de un disolvente. El catión M en la fórmula VI tiene menor influencia; por razones prácticas y

económicas, se prefieren, generalmente, sales de amonio, de tetraalquilamonio o sales de metal alcalino y de metal alcalinotérreo.

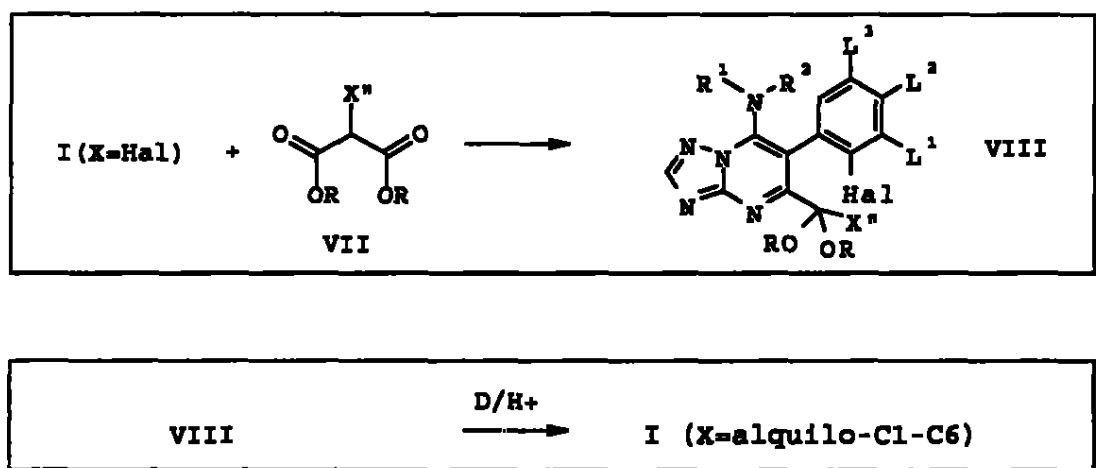


Ventajosamente, se realiza la reacción a una temperatura de 0 a 120°C, preferentemente, de 10 a 40°C [cf. J. Heterocycl. Chem. Vol.12, p. 861-863 (1975)].

Disolventes apropiados incluyen éteres, como p.ej. dioxano, éter dietílico y, especialmente, tetrahidrofurano, hidrocarburos halogenados, como p.ej. diclorometano y hidrocarburos aromáticos, por ejemplo, tolueno.

Los compuestos de la fórmula I, en la que X significa alquilo-C₁-C₆, pueden ser obtenidos, haciendo reaccionar compuestos I donde X es halógeno, preferentemente, cloro, con ésteres de ácido malónico de la fórmula VII, en donde X' significa H o alquilo-C₁-C₅ y R significa alquilo-C₁-C₄, dando compuestos de la fórmula VIII y descarboxilando bajo las condiciones descritas en la US 5,994,360.

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Por tanto, la invención se refiere a novedosos productos intermedios de las fórmulas II, III y IV.

Los compuestos de la fórmula II se preparan, preferentemente, haciendo reaccionar los correspondientes bromobenzenos sustituidos con dialquilmalonatos de sodio, en presencia de una sal de cobre(I) [ver Chemistry Letters, pp. 367-370, 1981; EP-A 10 02 788].

Los compuestos de la fórmula II pueden ser preparados, además, por reacción de un acetato de alquil 2-(2-halógenofenilo) con carbonato de dialquilo, en presencia de una base fuerte, preferentemente, etóxido de sodio e hidruro de sodio (ver Heterocycles, pp. 1031-1047, 1996).

Los acetatos de fenilo sustituidos, que son los compuestos de partida para los compuestos de la fórmula II, son conocidos y se obtienen en el comercio, y/o pueden ser preparados mediante métodos generalmente

conocidos.

Las mezclas de reacción son elaboradas ulteriormente en forma conocida, por ejemplo, mezclándolas con agua, separando las fases, y, de ser necesario, purificando los compuestos crudos cromatográficamente. Algunos de los productos finales se obtienen en forma de aceites viscosos incoloros o ligeramente parduzcos, que son purificados o librados de los componentes volátiles bajo presión reducida y a temperatura moderadamente elevada. Si los productos finales se obtienen como sólidos, entonces, se puede purificarlos por recristalización o digestión.

Si algunos compuestos I no pueden ser preparados mediante los métodos arriba descritos, entonces se puede prepararlos por derivatización de otros compuestos I.

En las definiciones de los símbolos arriba indicados, se usan términos colectivos que representan, generalmente, los sustituyentes siguientes:

- halógeno: flúor, cloro, bromo e yodo;

alquilo-C₁-C₁₀: radicales hidrocarburo saturados, de cadena recta o ramificados, con 1 a 10, especialmente, 1 a 6 átomos de carbono, por ejemplo, alquilo-C₁-C₄ como los arriba mencionados, o pentilo, 1-metilbutilo, 2-metilbutilo, 3-metilbutilo, 2,2-di-metilpropilo, 1-etilpropilo,

hexilo, 1,1-dimetilpropilo, 1,2-dimetilpropilo, 1-metilpentilo, 2-metilpentilo, 3-metilpentilo, 4-metilpentilo, 1,1-dimetilbutilo, 1,2-dimetilbutilo, 1,3-dimetilbutilo, 2,2-dimetilbutilo, 2,3-dimetilbutilo, 3,3-dimetilbutilo, 1-etilbutilo, 2-etilbutilo, 1,1,2-trimetilpropilo, 1,2,2-trimetilpropilo, 1-etil-1-metilpropilo y 1-etil-2-metilpropilo;

alquenilo- C_2-C_{10} : radicales hidrocarburo no saturados, de cadena recta o ramificados, con 2 a 10, especialmente, 2 a 6 átomos de carbono y un doble enlace en cualquier posición, por ejemplo, etenilo, 1-propenilo, 2-propenilo, 1-metiletlenilo, 1-butenilo, 2-butenilo, 3-butenilo, 1-metil-1-propenilo, 2-metil-1-propenilo, 1-metil-2-propenilo y 2-metil-2-propenilo;

alquinilo- C_2-C_{10} : radicales hidrocarburo de cadena recta o ramificados, con 2 a 10, especialmente, 2 a 4 átomos de carbono y un triple enlace en cualquier posición, por ejemplo, etinilo, 1-propinilo, 2-propinilo, 1-butinilo, 2-butinilo, 3-butinilo y 1-metil-2-propinilo;

cicloalquilo- C_3-C_{10} : grupos cicloalquilo mono o bicíclicos, con 3 a 10 átomos de carbono; grupos monocíclicos con, preferentemente, 3 a 8, especialmente, 3 a 6 miembros de anillo, grupos bicíclicos con, preferentemente, 8 to 10 miembros de anillo.

Un heterociclo con 5 ó 6 miembros, saturado o parcialmente insaturado, que contiene de uno a cuatro átomos de nitrógeno o de uno a tres átomos de nitrógeno y un átomo de azufre o de oxígeno,

preferentemente, un átomo de oxígeno, por ejemplo, heterociclos saturados, como p.ej. 1-pirimidinilo, 2-pirimidinilo, morfolin-4-ilo, tiomorfolin-4-ilo; o heterociclos parcialmente no saturados, que contiene, uno doble enlace de C=C o un doble enlace de N=C, como p.ej. 3,6-dihidro-2H-piridin-1-ilo, o 2,5-dihidropirrol-1-ilo;

Un heterociclo aromático de cinco miembros, que contiene de uno a cuatro átomos de nitrógeno o de uno a tres átomos de nitrógeno y un átomo de azufre o de oxígeno: grupos heteroarilo de 5 miembros, que además de átomos de carbono pueden contener de uno a cuatro átomos de nitrógeno o de uno a tres átomos de nitrógeno y un átomo de azufre o de oxígeno como miembros de anillo, por ejemplo, 2-furilo, 3-furilo, 2-tienilo, 3-tienilo, 2-pirrolilo, 3-pirrolilo, 3-isoxazolilo, 4-isoxazolilo, 5-isoxazolilo, 3-isotiazolilo, 4-isotiazolilo, 5-isotiazolilo, 3-pirazolilo, 4-pirazolilo, 5-pirazolilo, 2-oxazolilo, 4-oxazolilo, 5-oxazolilo, 2-tiazolilo, 4-tiazolilo, 5-tiazolilo, 2-imidazolilo, 4-imidazolilo, 1,2,4-oxadiazol-3-ilo, 1,2,4-oxadiazol-5-ilo, 1,2,4-tiadiazol-3-ilo, 1,2,4-tiadiazol-5-ilo, 1,2,4-triazol-3-ilo, 1,3,4-oxadiazol-2-ilo, 1,3,4-tiadiazol-2-ilo y 1,3,4-triazol-2-ilo;

un heterociclo de 6 miembros, que contiene de uno a cuatro átomos de nitrógeno: grupos heteroarilo de 6 miembros, que además de átomos de carbono, pueden contener de uno a tres o de uno a cuatro átomos de nitrógeno como miembros de anillo, por ejemplo, 2-piridinilo, 3-piridinilo, 4-piridinilo, 3-piridacinilo, 4-piridacinilo, 2-pirimidinilo, 4-pirimidinilo, 5-pirimidinilo, 2-piracinilo, 1,3,5-triacin-2-ilo y 1,2,4-triacin-3-ilo,

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preferentemente, piridilo, pirimidilo, pirazolilo o tienilo.

Con respecto al uso a que están destinadas, se prefieren aquellas triazolopirimidinas de la fórmula I con los siguientes sustituyentes, valiendo las preferencias en cada caso para los sustituyentes individuales o las combinaciones:

Un radical cicloalquilo preferido es ciclopentilo opcionalmente sustituido con uno o más grupos nitro, ciano, alquilo-C₁-C₆, alcoxi-C₁-C₆.

Son preferidos los compuestos de la fórmula I, en los que cualquier parte de alquilo o haloalquilo de los grupos R¹ o R², que pueden ser lineales o ramificados, contiene hasta 10 átomos de carbono, preferentemente, 1 a 9 átomos de carbono, más preferentemente, 2 a 6 átomos de carbono, cualquier parte de alquenilo o alquinilo de los sustituyentes R¹ o R² contiene hasta 10 átomos de carbono, preferentemente, 2 a 9 átomos de carbono, más preferentemente, 3 a 6 átomos de carbono, cualquier parte de cicloalquilo de los sustituyentes R¹ o R² contiene de 3 a 10 átomos de carbono, preferentemente, de 3 a 8 átomos de carbono, más preferentemente, de 3 a 6 átomos de carbono, y en los que cualquier parte de bicicloalquilo de los sustituyentes R¹ o R² contiene de 5 to 9 átomos de carbono, preferentemente, de 7 to 9 átomos de carbono. Cualquier grupo alquilo, alquenilo o alquinilo puede ser lineal o ramificado.

Son preferidos los compuestos de la fórmula I, en los que R¹

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representa alquilo- C_1-C_{10} lineal o ramificado, especialmente, un grupo alquilo- C_3-C_{10} ramificado, un grupo cicloalquilo- C_3-C_8 , un bicicloalquilo- C_5-C_9 , un cicloalquil- C_3-C_8 -alquilo- C_1-C_6 , alcoxi- C_1-C_{10} -alquilo- C_1-C_6 , un haloalquilo- C_1-C_{10} o un grupo fenilo opcionalmente sustituido por uno a tres átomos de halógeno o grupos alquilo- C_1-C_{10} o alcoxi- C_1-C_{10} .

Adicionalmente, son especialmente preferidos los compuestos I, donde R^1 y R^2 forman junto con el átomo de nitrógeno interyacente un anillo heterocíclico eventualmente sustituido, preferentemente, un anillo heterocíclico con 3 a 7 átomos de carbono, eventualmente sustituido, especialmente, un heterociclo saturado de 5 ó 6 miembros, como p.ej. pirrolidina, piperidina, morfolina, o tetrahidropiridina, o un heterociclo de 5 ó 6 miembros parcialmente no saturado, como p.ej. 3,6-dihidro-2H-piridin-1-ilo, ó 2,5-dihidropirrol-1-ilo, en donde el heterociclo saturado o no saturado es opcionalmente sustituido por uno o más grupos alquilo con 1 a 4 átomos de carbono o grupos haloalquilo con 1 a 2 átomos de carbono, preferentemente, por uno o dos grupos metilo. Son especialmente preferidos los compuestos I, donde R^1 y R^2 forman juntos un grupo 4-metilpiperidin-1-ilo.

Además, son especialmente preferidos los compuestos I, en los que R^2 representa hidrógeno, alquilo- C_1-C_{10} o haloalquilo- C_1-C_{10} , especialmente, hidrógeno.

Adicionalmente, son especialmente preferidos los compuestos I, en

los que R^2 es metilo o etilo.

Si R^1 significa haloalquilo- C_1-C_{10} , preferentemente, alquilo polifluorado, especialmente, 2,2,2-trifluoroetilo, 2-(1,1,1-trifluoropropil) ó 2-(1,1,1-trifluorobutilo), R^2 representa, preferentemente, hidrógeno.

Son especialmente preferidos los compuestos I, en los que Hal es fluoro, cloro, o bromo, especialmente, fluoro.

Adicionalmente, son preferidos los compuestos I, en los que L^1 es hidrógeno, o fluoro, especialmente, hidrógeno.

Además, son especialmente preferidos los compuestos I, en los que L^2 es hidrógeno, fluoro, trifluorometilo, amino, dimetilamino, o N-acetilamino, especialmente, fluoro.

También son preferidos los compuestos I, en los que L^2 es NHR^b o $N(R^b)_2$, en donde R^b es metilo o $C(=O)$ -alquilo- C_1-C_4 .

Igualmente, son especialmente preferidos los compuestos I, en los que L^3 es hidrógeno, fluoro, metilo, especialmente, hidrógeno.

Una modalidad especialmente preferida de la presente invención son compuestos de la fórmula I, en la que el grupo 6-(2-halofenilo) representa uno de los siguientes grupos:

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2,3,5-trifluorofenilo, 2,4-difluorofenilo, 2-F,4-CF₃-fenilo, 2-F,5-CH₃-fenilo, 2-Cl,4-F-fenilo, 2-F,4-Cl-fenilo, 2-F,4-Br-fenilo, 2-Cl,4-Br-fenilo, 2,3-difluorofenilo, 2,4-difluorofenilo, 2,4,5-trifluorofenilo, 2,3,4-trifluorofenilo, 2-F,4-NH₂-fenilo, 2-F,4-N(CH₃)₂-fenilo, 2-F,4-NHC(O)CH₃-fenilo, 2-Br,3,5-difluorofenilo, 2-F,4-NO₂-fenilo, y 2-Cl,4-NO₂-fenilo.

Además, son preferidos los compuestos I, en los que X es halógeno, ciano o metilo, preferentemente, halógeno, como p.ej. cloro o bromo, especialmente, cloro.

La modalidad especialmente preferida de los productos intermedios con respecto a las variables corresponde a aquellos de los radicales X y L¹ a L³ de la fórmula I.

Dentro del ámbito de la invención están incluidos los isómeros (R) y (S) de los compuestos de la fórmula general I con un centro de quiralidad y sus racematos, y las sales N-óxidos y compuestos de adición ácidos.

Con respecto a su uso son especialmente preferidos los compuestos I relacionados en las siguientes tablas. Los grupos mencionados en las tablas para un sustituyente son, además, para su parte, independientemente de la combinación en que son mencionados, una modalidad preferida de los respectivos sustituyentes.

Tabla 1

compuestos de la fórmula I, en la que X es cloro, Hal, L¹ y L³ significan fluoro, L² es hidrógeno y R¹ y R² corresponden a una línea en la Tabla A

Tabla 2

Compuestos de la fórmula I, en la que X es ciano, Hal, L¹ y L³ significan fluoro, L² es hidrógeno y R¹ y R² corresponden a una línea en la Tabla A

Tabla 3

Compuestos de la fórmula I, en la que X es metilo, Hal, L¹ y L³ significan fluoro, L² es hidrógeno y R¹ y R² corresponden a una línea en la Tabla A

Tabla 4

Compuestos de la fórmula I, en la que X es metoxi, Hal, L¹ y L³ significan fluoro, L² es hidrógeno y R¹ y R² corresponden a una línea en la Tabla A

Tabla 5

Compuestos de la fórmula I, en la que X es cloro, Hal y L² significan fluoro, L¹ y L³ significan hidrógeno y R¹ y R² corresponden a una línea en la Tabla A

Tabla 6

Compuestos de la fórmula I, en la que X es ciano, Hal y L² significan fluoro, L¹ y L³ significan hidrógeno y R¹ y R² corresponden a una línea en la Tabla A

Tabla 7

Compuestos de la fórmula I, en la que X es metilo, Hal y L² significan fluoro, L¹ y L³ significan hidrógeno y R¹ y R² corresponden a una línea en la Tabla A

Tabla 8

Compuestos de la fórmula I, en la que X es metoxi, Hal y L² significan fluoro, L¹ y L³ significan hidrógeno y R¹ y R² corresponden a una línea en la Tabla A

Tabla 9

Compuestos de la fórmula I, en la que X es cloro, Hal es fluoro, L¹ y L³ significan hidrógeno, L² es trifluorometilo y R¹ y R² corresponden a una línea en la Tabla A

Tabla 10

Compuestos de la fórmula I, en la que X es ciano, Hal es fluoro, L¹ y L³ significan hidrógeno, L² es trifluorometilo y R¹ y R² corresponden a una línea en la Tabla A

Tabla 11

Compuestos de la fórmula I, en la que X es metilo, Hal es fluoro, L¹ y L³ significan hidrógeno, L² es trifluorometilo y R¹ y R² corresponden a una línea en la Tabla A

Tabla 12

Compuestos de la fórmula I, en la que X es metoxi, Hal es fluoro, L^1 y L^3 significan hidrógeno, L^2 es trifluorometilo y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 13

Compuestos de la fórmula I, en la que X es cloro, Hal es fluoro, L^1 y L^2 significan hidrógeno, L^3 es metilo y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 14

Compuestos de la fórmula I, en la que X es ciano, Hal es fluoro, L^1 y L^2 significan hidrógeno, L^3 es metilo y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 15

Compuestos de la fórmula I, en la que X es metilo, Hal es fluoro, L^1 y L^2 significan hidrógeno, L^3 es metilo y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 16

Compuestos de la fórmula I, en la que X es metoxi, Hal es fluoro, L^1 y L^2 significan hidrógeno, L^3 es metilo y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 17

Compuestos de la fórmula I, en la que X y Hal significan cloro, L^1 y L^3 significan hidrógeno, L^2 es fluoro y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 18

Compuestos de la fórmula I, en la que X es ciano, Hal es cloro, L^1 y L^3 significan hidrógeno, L^2 es fluoro y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 19

Compuestos de la fórmula I, en la que X es metilo, Hal es cloro, L^1 y L^3 significan hidrógeno, L^2 es fluoro y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 20

Compuestos de la fórmula I, en la que X es metoxi, Hal es cloro, L^1 y L^3 significan hidrógeno, L^2 es fluoro y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 21

Compuestos de la fórmula I, en la que X es cloro, Hal es fluoro, L^1 y L^3 significan hidrógeno, L^2 es cloro y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 22

Compuestos de la fórmula I, en la que X es ciano, Hal es fluoro, L^1 y L^3 significan hidrógeno, L^2 es cloro y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 23

Compuestos de la fórmula I, en la que X es metilo, Hal es fluoro, L^1 y L^3 significan hidrógeno, L^2 es cloro y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 24

Compuestos de la fórmula I, en la que X es metoxi, Hal es fluoro, L^1 y L^3 significan hidrógeno, L^2 es cloro y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 25

Compuestos de la fórmula I, en la que X es cloro, Hal es fluoro, L^1 y L^3 significan hidrógeno, L^2 es bromo y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 26

Compuestos de la fórmula I, en la que X es ciano, Hal es fluoro, L^1 y L^3 significan hidrógeno, L^2 es bromo y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 27

Compuestos de la fórmula I, en la que X es metilo, Hal es fluoro, L^1 y L^3 significan hidrógeno, L^2 es bromo y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 28

Compuestos de la fórmula I, en la que X es metoxi, Hal es fluoro, L^1 y L^3 significan hidrógeno, L^2 es bromo y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 29

Compuestos de la fórmula I, en la que X y Hal significan cloro, L^1 y L^3 significan hidrógeno, L^2 es bromo y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 30

Compuestos de la fórmula I, en la que X es ciano, Hal es cloro, L^1 y L^3 significan hidrógeno, L^2 es bromo y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 31

Compuestos de la fórmula I, en la que X es metilo, Hal es cloro, L^1 y L^3 significan hidrógeno, L^2 es bromo y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 32

Compuestos de la fórmula I, en la que X es metoxi, Hal es cloro, L^1 y L^3 significan hidrógeno, L^2 es bromo y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 33

Compuestos de la fórmula I, en la que X, Hal y L^2 significan cloro, L^1 y L^3 significan hidrógeno y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 34

Compuestos de la fórmula I, en la que X es ciano, Hal y L^2 significan cloro, L^1 y L^3 significan hidrógeno y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 35

Compuestos de la fórmula I, en la que X es metilo, Hal y L^2 significan cloro, L^1 y L^3 significan hidrógeno y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 36

Compuestos de la fórmula I, en la que X es metoxi, Hal y L^2 significan cloro, L^1 y L^3 significan hidrógeno y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 37

Compuestos de la fórmula I, en la que X es cloro, Hal y L¹ significan fluoro, L² y L³ significan hidrógeno y R¹ y R² corresponden a una línea en la Tabla A

Tabla 38

Compuestos de la fórmula I, en la que X es ciano, Hal y L¹ significan fluoro, L² y L³ significan hidrógeno y R¹ y R² corresponden a una línea en la Tabla A

Tabla 39

Compuestos de la fórmula I, en la que X es metilo, Hal y L¹ significan fluoro, L² y L³ significan hidrógeno y R¹ y R² corresponden a una línea en la Tabla A

Tabla 40

Compuestos de la fórmula I, en la que X es metoxi, Hal y L¹ significan fluoro, L² y L³ significan hidrógeno y R¹ y R² corresponden a una línea en la Tabla A

Tabla 41

Compuestos de la fórmula I, en la que X es cloro, Hal y L³ significan fluoro, L¹ y L² hidrógeno y R¹ y R² corresponden a una línea en la Tabla A

Tabla 42

Compuestos de la fórmula I, en la que X es ciano, Hal y L³ significan

fluoro, L^1 y L^2 hidrógeno y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 43

Compuestos de la fórmula I, en la que X es metilo, Hal y L^3 significan fluoro, L^1 y L^2 hidrógeno y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 44

Compuestos de la fórmula I, en la que X es metoxi, Hal y L^3 significan fluoro, L^1 y L^2 hidrógeno y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 45

Compuestos de la fórmula I, en la que X es cloro, Hal, L^2 y L^3 significan fluoro, L^1 es hidrógeno y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 46

Compuestos de la fórmula I, en la que X es ciano, Hal, L^2 y L^3 significan fluoro, L^1 es hidrógeno y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 47

Compuestos de la fórmula I, en la que X es metilo, Hal, L^2 y L^3 significan fluoro, L^1 es hidrógeno y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 48

Compuestos de la fórmula I, en la que X es metoxi, Hal, L^2 y L^3 significan fluoro, L^1 es hidrógeno y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 49

Compuestos de la fórmula I, en la que X es cloro, Hal, L^1 y L^2 significan fluoro, L^3 es hidrógeno y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 50

Compuestos de la fórmula I, en la que X es ciano, Hal, L^1 y L^2 significan fluoro, L^3 es hidrógeno y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 51

Compuestos de la fórmula I, en la que X es metilo, Hal, L^1 y L^2 significan fluoro, L^3 es hidrógeno y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 52

Compuestos de la fórmula I, en la que X es metoxi, Hal, L^1 y L^2 significan fluoro, L^3 es hidrógeno y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 53

Compuestos de la fórmula I, en la que X es cloro, Hal es bromo, L^1 y L^3 significan fluoro, L^2 es hidrógeno y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 54

Compuestos de la fórmula I, en la que X es ciano, Hal es bromo, L^1 y L^3 significan fluoro, L^2 es hidrógeno y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 55

Compuestos de la fórmula I, en la que X es metilo, Hal es bromo, L^1 y L^3 significan fluoro, L^2 es hidrógeno y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 56

Compuestos de la fórmula I, en la que X es metoxi, Hal es bromo, L^1 y L^3 significan fluoro, L^2 es hidrógeno y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 57

Compuestos de la fórmula I, en la que X es cloro, Hal es fluoro, L^1 y L^3 significan hidrógeno, L^2 es amino y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 58

Compuestos de la fórmula I, en la que X es ciano, Hal es fluoro, L^1 y L^3 significan hidrógeno, L^2 es amino y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 59

Compuestos de la fórmula I, en la que X es metilo, Hal es fluoro, L^1 y L^3 significan hidrógeno, L^2 es amino y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 60

Compuestos de la fórmula I, en la que X es metoxi, Hal es fluoro, L^1 y L^3 significan hidrógeno, L^2 es amino y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 61

Compuestos de la fórmula I, en la que X es cloro, Hal es fluoro, L^1 y L^3 significan hidrógeno, L^2 es metilamino y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 62

Compuestos de la fórmula I, en la que X es ciano, Hal es fluoro, L^1 y L^3 significan hidrógeno, L^2 es metilamino y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 63

Compuestos de la fórmula I, en la que X es metilo, Hal es fluoro, L^1 y L^3 significan hidrógeno, L^2 es metilamino y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 64

Compuestos de la fórmula I, en la que X es metoxi, Hal es fluoro, L^1 y L^3 significan hidrógeno, L^2 es metilamino y R^1 y R^2 corresponden a una línea en la Tabla A

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Tabla 65

Compuestos de la fórmula I, en la que X es cloro, Hal es fluoro, L^1 y L^3 significan hidrógeno, L^2 es dimetilamino y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 66

Compuestos de la fórmula I, en la que X es ciano, Hal es fluoro, L^1 y L^3 significan hidrógeno, L^2 es dimetilamino y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 67

Compuestos de la fórmula I, en la que X es metilo, Hal es fluoro, L^1 y L^3 significan hidrógeno, L^2 es dimetilamino y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 68

Compuestos de la fórmula I, en la que X es metoxi, Hal es fluoro, L^1 y L^3 significan hidrógeno, L^2 es dimetilamino y R^1 y R^2 corresponden a una línea en la Tabla A

Tabla 69

Compuestos de la fórmula I, en la que X es cloro, Hal es fluoro, L^1 y L^3 significan hidrógeno, L^2 es N-acetilamino y R^1 y R^2 corresponden a una línea en la Tabla A



Tabla 70

Compuestos de la fórmula I, en la que X es ciano, Hal es fluoro, L¹ y L³ significan hidrógeno, L² es N-acetilamino y R¹ y R² corresponden a una línea en la Tabla A

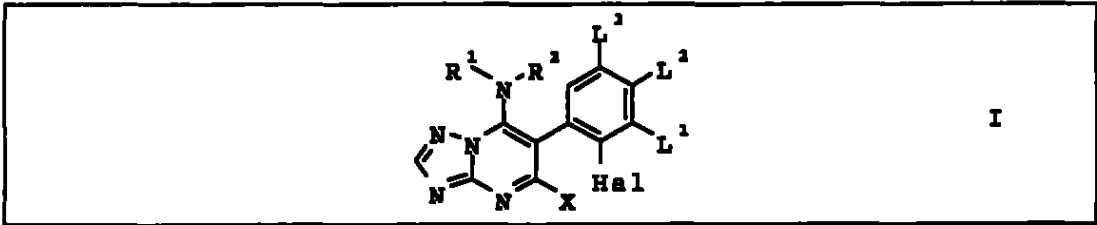
Tabla 71

Compuestos de la fórmula I, en la que X es metilo, Hal es fluoro, L¹ y L³ significan hidrógeno, L² es N-acetilamino y R¹ y R² corresponden a una línea en la Tabla A

Tabla 72

Compuestos de la fórmula I, en la que X es metoxi, Hal es fluoro, L¹ y L³ significan hidrógeno, L² es N-acetilamino y R¹ y R² corresponden a una línea en la Tabla A

Tabla A



No.	R ¹	R ²
A-1	CH ₂ CH ₃	H
A-2	CH ₂ CH ₃	CH ₃
A-3	CH ₂ CH ₃	CH ₂ CH ₃
A-4	CH ₂ CF ₃	H

A-5	CH_2CF_3	CH_3
A-6	CH_2CF_3	CH_2CH_3
A-7	CH_2CCl_3	H
A-8	CH_2CCl_3	CH_3
A-9	CH_2CCl_3	CH_2CH_3
A-10	$\text{CH}_2\text{CH}_2\text{CH}_3$	H
A-11	$\text{CH}_2\text{CH}_2\text{CH}_3$	CH_3
A-12	$\text{CH}_2\text{CH}_2\text{CH}_3$	CH_2CH_3
A-13	$\text{CH}_2\text{CH}_2\text{CH}_3$	$\text{CH}_2\text{CH}_2\text{CH}_3$
A-14	$\text{CH}(\text{CH}_3)_2$	H
A-15	$\text{CH}(\text{CH}_3)_2$	CH_3
A-16	$\text{CH}(\text{CH}_3)_2$	CH_2CH_3
A-17	$(\pm) \text{CH}(\text{CH}_3)\text{-CH}_2\text{CH}_3$	H
A-18	$(\pm) \text{CH}(\text{CH}_3)\text{-CH}_2\text{CH}_3$	CH_3
A-19	$(\pm) \text{CH}(\text{CH}_3)\text{-CH}_2\text{CH}_3$	CH_2CH_3
A-20	$(S) \text{CH}(\text{CH}_3)\text{-CH}_2\text{CH}_3$	H
A-21	$(S) \text{CH}(\text{CH}_3)\text{-CH}_2\text{CH}_3$	CH_3
A-22	$(S) \text{CH}(\text{CH}_3)\text{-CH}_2\text{CH}_3$	CH_2CH_3
A-23	$(R) \text{CH}(\text{CH}_3)\text{-CH}_2\text{CH}_3$	H
A-24	$(R) \text{CH}(\text{CH}_3)\text{-CH}_2\text{CH}_3$	CH_3
A-25	$(R) \text{CH}(\text{CH}_3)\text{-CH}_2\text{CH}_3$	CH_2CH_3
A-26	$(\pm) \text{CH}(\text{CH}_3)\text{-CH}(\text{CH}_3)_2$	H
A-27	$(\pm) \text{CH}(\text{CH}_3)\text{-CH}(\text{CH}_3)_2$	CH_3
A-28	$(\pm) \text{CH}(\text{CH}_3)\text{-CH}(\text{CH}_3)_2$	CH_2CH_3
A-29	$(S) \text{CH}(\text{CH}_3)\text{-CH}(\text{CH}_3)_2$	H
A-30	$(S) \text{CH}(\text{CH}_3)\text{-CH}(\text{CH}_3)_2$	CH_3
A-31	$(S) \text{CH}(\text{CH}_3)\text{-CH}(\text{CH}_3)_2$	CH_2CH_3
A-32	$(R) \text{CH}(\text{CH}_3)\text{-CH}(\text{CH}_3)_2$	H
A-33	$(R) \text{CH}(\text{CH}_3)\text{-CH}(\text{CH}_3)_2$	CH_3
A-34	$(R) \text{CH}(\text{CH}_3)\text{-CH}(\text{CH}_3)_2$	CH_2CH_3
A-35	$(\pm) \text{CH}(\text{CH}_3)\text{-C}(\text{CH}_3)_3$	H
A-36	$(\pm) \text{CH}(\text{CH}_3)\text{-C}(\text{CH}_3)_3$	CH_3

A-37	(±) CH(CH ₃)-C(CH ₃) ₃	CH ₂ CH ₃
A-38	(S) CH(CH ₃)-C(CH ₃) ₃	H
A-39	(S) CH(CH ₃)-C(CH ₃) ₃	CH ₃
A-40	(S) CH(CH ₃)-C(CH ₃) ₃	CH ₂ CH ₃
A-41	(R) CH(CH ₃)-C(CH ₃) ₃	H
A-42	(R) CH(CH ₃)-C(CH ₃) ₃	CH ₃
A-43	(R) CH(CH ₃)-C(CH ₃) ₃	CH ₂ CH ₃
A-44	(±) CH(CH ₃)-CF ₃	H
A-45	(±) CH(CH ₃)-CF ₃	CH ₃
A-46	(±) CH(CH ₃)-CF ₃	CH ₂ CH ₃
A-47	(S) CH(CH ₃)-CF ₃	H
A-48	(S) CH(CH ₃)-CF ₃	CH ₃
A-49	(S) CH(CH ₃)-CF ₃	CH ₂ CH ₃
A-50	(R) CH(CH ₃)-CF ₃	H
A-51	(R) CH(CH ₃)-CF ₃	CH ₃
A-52	(R) CH(CH ₃)-CF ₃	CH ₂ CH ₃
A-53	(±) CH(CH ₃)-CCl ₃	H
A-54	(±) CH(CH ₃)-CCl ₃	CH ₃
A-55	(±) CH(CH ₃)-CCl ₃	CH ₂ CH ₃
A-56	(S) CH(CH ₃)-CCl ₃	H
A-57	(S) CH(CH ₃)-CCl ₃	CH ₃
A-58	(S) CH(CH ₃)-CCl ₃	CH ₂ CH ₃
A-59	(R) CH(CH ₃)-CCl ₃	H
A-60	(R) CH(CH ₃)-CCl ₃	CH ₃
A-61	(R) CH(CH ₃)-CCl ₃	CH ₂ CH ₃
A-62	CH ₂ CF ₂ CF ₃	H
A-63	CH ₂ CF ₂ CF ₃	CH ₃
A-64	CH ₂ CF ₂ CF ₃	CH ₂ CH ₃
A-65	CH ₂ (CF ₂) ₂ CF ₃	H
A-66	CH ₂ (CF ₂) ₂ CF ₃	CH ₃
A-67	CH ₂ (CF ₂) ₂ CF ₃	CH ₂ CH ₃
A-68	CH ₂ C(CH ₃)=CH ₂	H

A-69	$\text{CH}_2\text{C}(\text{CH}_3)=\text{CH}_2$	CH_3
A-70	$\text{CH}_2\text{C}(\text{CH}_3)=\text{CH}_2$	CH_2CH_3
A-71	ciclopentilo	H
A-72	ciclopentilo	CH_3
A-73	ciclopentilo	CH_2CH_3
A-74	ciclohexilo	H
A-75	ciclohexilo	CH_3
A-76	ciclohexilo	CH_2CH_3
A-77	$-(\text{CH}_2)_2\text{CH}=\text{CHCH}_2-$	
A-78	$-(\text{CH}_2)_2\text{C}(\text{CH}_3)=\text{CHCH}_2-$	
A-79	$-(\text{CH}_2)_2\text{CH}(\text{CH}_3)(\text{CH}_2)_2-$	
A-80	$-(\text{CH}_2)_2\text{CHF}(\text{CH}_2)_2-$	
A-81	$-(\text{CH}_2)_3\text{CHFCH}_2-$	
A-82	$-(\text{CH}_2)_2\text{CH}(\text{CF}_3)(\text{CH}_2)_2-$	
A-83	$-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2-$	
A-84	$-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2-$	
A-85	$-(\text{CH}_2)_5-$	
A-86	$-(\text{CH}_2)_4-$	
A-87	$-\text{CH}_2\text{CH}=\text{CHCH}_2-$	
A-88	$-\text{CH}(\text{CH}_3)(\text{CH}_2)_3-$	
A-89	$-\text{CH}_2\text{CH}(\text{CH}_3)(\text{CH}_2)_2-$	

Los compuestos I son apropiados como fungicidas. Se destacan por presentar una excelente actividad contra un amplio espectro de hongos fitopatógenos, especialmente, de la clase de los *ascomicetos*, *deuteromicetos*, *oomicetos* y *basidiomicetos*. Algunos actúan sistémicamente y pueden ser usados en la fitosanidad como fungicidas foliares y del suelo.

Son especialmente importantes para controlar un amplio número de hongos en una variedad de plantas de cultivo, tales como trigo cebada, centeno, avena, arroz, maíz, pasto, plátanos, algodón, soya, café, caña de azúcar, vid, especies de frutas, plantas de adorno y legumbres, como p.ej. pepinos, frijoles, tomates, papas y cucurbitáceas y en las semillas de estas plantas.

Son especialmente apropiados para controlar las siguientes enfermedades de las plantas:

- especies de *Alternaria* en legumbres y frutas,
- especies de *Bipolaris* y *Drechslera* en cereales, arroz y césped,
- *Blumeria graminis* (mildíu) en cereales,
- *Botrytis cinerea* (oidio) en fresas, legumbres, plantas de adorno y vid,
- *Erysiphe cichoracearum* y *Sphaerotheca fuliginea* en cucurbitáceas,
- especies de *Fusarium* y *Verticillium* en diferentes plantas,
- especies de *Mycosphaerella* en cereales, plátanos y maní,
- *Phytophthora infestans* en papas y tomates,
- *Plasmopara viticola* on vid,
- *Podosphaera leucotricha* en manzanas,
- *Pseudocercospora herpotrichoides* en trigo y cebada,
- especies de *Pseudoperonospora* en lúpulo y pepinos,
- especies de *Puccinia* en cereales,
- *Pyricularia oryzae* en arroz,

- especies de *Rhizoctonia* en algodón, arroz y césped,
- *Septoria tritici* y *Stagonospora nodorum* en trigo,
- *Uncinula necator* en vid,
- especies de *Ustilago* en cereales y caña de azúcar, y
- especies de *Venturia* (roña) en manzanas y peras.

Además, los compuestos I son apropiados para controlar hongos nocivos, como p.ej. *Paecilomyces variotii* en la protección de materiales (p.ej. madera, dispersiones de pinturas, fibras y telas) y en la protección de productos almacenados.

Los compuestos I se aplican, tratando los hongos o las plantas, semillas, materiales o el suelo a proteger frente a una infección fungosa con una cantidad activa fungicida de los ingredientes activos. La aplicación puede efectuarse antes o después de la infección de los materiales, plantas o semillas por los hongos.

Generalmente, las composiciones fungicidas contienen de entre 0.1 y 95, preferentemente, 0.5 y 90% en peso de ingrediente activo.

Cuando se usan en la protección de plantas, las cantidades de aplicación varían de 0.01 a 2.0 kg de ingrediente activo por ha, dependiendo del tipo de efecto deseado.

En el tratamiento de las semillas, las cantidades de ingrediente activo

varían, generalmente, de 0.001 a 0.1 g, preferentemente, de 0.01 a 0.05 g, por kilogramo de semilla.

En la protección de materiales o de productos almacenados, la cantidad de aplicación de ingrediente activo depende de la naturaleza de la aplicación y del efecto deseado. Las cantidades de aplicación generalmente usados para la protección de materiales varían, por ejemplo, de 0.001 g a 2 kg, preferentemente, de 0.005 g a 1 kg, de ingrediente activo por metro cúbico del material tratado.

Los compuestos I pueden ser transformados en las formulaciones acostumbradas, tales como soluciones, emulsiones, suspensiones, polvos, pastas y granulados. El uso depende del fin respectivo, pero en todo caso debe estar asegurada una dispersión fina y uniforme del compuesto según la invención.

Las formulaciones se preparan de manera conocida, por ejemplo, diluyendo el ingrediente activo con disolventes y/o soportes, en caso de desearlo, usando emulsionantes y dispersantes. Disolventes/auxiliares apropiados son, substancialmente:

agua, disolventes aromáticos (por ejemplo, productos Solvesso, xileno), parafinas (por ejemplo, fracciones de aceite mineral), alcoholes (por ejemplo, metanol, butanol, pentanol, alcohol bencílico), cetonas (por ejemplo, ciclohexanona, gama-

butirolactona), pirrolidonas (NMP, NOP), acetatos (glicol diacetato), glicoles, dimetilamidas de ácidos grasos, ácidos grasos y ésteres de ácidos grasos. En principio, se pueden usar también mezclas de disolventes.

soportes, como p.ej. minerales molidos naturales (tales como caolines, arcillas, talco, tiza) y minerales sintéticos molidos (tales como sílice altamente disperso, silicatos); emulsionantes, como p.ej. emulsionantes no iónicos y aniónicos (tales como éteres de alcoholes grasos de polioxietileno, sulfonatos de alquilo y sulfonatos de arilo) y dispersantes, como p.ej. lejías residuales lignino-sulfiticas y metilcelulosa.

Tensoactivos apropiados son las sales de metal alcalino, alcalinotérreo y de amonio del ácido ligninosulfónico, ácido naftalinsulfónico, ácido fenolsulfónico, ácido dibutilnaftalinsulfónico, sulfonatos de alquilarilo, sulfatos de alquilo, sulfonato de alquilo, sulfatos de alcohol graso, ácidos grasos y glicoléteres de alcohol graso sulfatados, además, condensados de naftalina sulfonada y derivados de naftalina con formaldehído, condensados de naftalina o de ácido naftalinsulfónico con fenol y formaldehído, éter octilfenílico de polioxietileno, isooctilfenol etoxilado, octilfenol, nonilfenol, alquilfenil poliglicol éteres, tributilfenil-poliglicol éter, tristearilfenil-poliglicol éter, poliéter alcoholes de alquilarilo, condensados de alcohol y de alcohol graso/óxido de etileno, aceite de ricino etoxilado, éteres alquílicos de polioxietileno,

polioxipropileno etoxilado, alcohol laurílico de poliglicoléter acetal, ésteres de sorbitol, lejías residuales lignino-sulfíticas y metilcelulosa.

Sustancias apropiadas para la preparación de soluciones, emulsiones, pastas o dispersiones de aceite directamente pulverizables son: fracciones de aceite mineral de punto de ebullición mediano hasta elevado, como p.ej. keroseno o aceite diesel, además, aceites de alquitrán de carbón, y aceites de origen vegetal o animal, hidrocarburos alifáticos, cíclicos y aromáticos, por ejemplo, tolueno, xileno, parafina, tetrahidronaftalina, naftalinas alquiladas y sus derivados, metanol, etanol, propanol, butanol, ciclohexanol, ciclohexanona, isoforona, disolventes fuertemente polares, por ejemplo, sulfóxido de dimetilo, N-metilpirrolidona y agua.

Polvos, agentes de pulverización y rociado pueden ser preparados mezclando o moliendo conjuntamente las sustancias activas con un soporte sólido.

Los granulados (p.ej. granulados recubiertos, impregnados o homogéneos) se pueden preparar uniendo el ingrediente activo con un soporte sólido. Ejemplos de cargas sólidas son: tierras minerales, tales como silicagel, ácidos silícicos, geles silícicos, silicatos, talco, caolín, caliza, cal, bol, loess, arcilla, dolomita, tierra de diatomeas, sulfato de calcio y sulfato de magnesio, óxido de magnesio, plásticos molidos, así como abonos, tales como sulfato de amonio, fosfato de amonio, nitrato de amonio, ureas y productos vegetales, tales como harina de cereales, polvos

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de corteza, de madera y de cáscaras de nueces, polvos de celulosa u otros soportes sólidos.

Generalmente, las formulaciones comprenden de 0.01 a 95% en peso, preferentemente, de 0.1 a 90% en peso del ingrediente activo. Los ingredientes activos se usan en una pureza de 90% a 100%, preferentemente, de 95% a 100% (según espectro de NMR).

Los siguientes son ejemplos de formulaciones: 1. Productos para la dilución con agua

A Concentrados solubles (SL)

10 partes en peso de un compuesto según la invención son disueltas en agua o en un disolvente soluble en agua. Alternativamente, se pueden adicionar humectantes u otros auxiliares. El ingrediente activo se disuelve cuando es diluido con agua.

B Concentrados dispersables (DC)

20 partes en peso de un compuesto según la invención son disueltas en ciclohexanona adicionando un dispersante, por ejemplo, polivinilpirrolidona. Diluyendo con agua, se obtiene una dispersión.

C Concentrados emulsionables (EC)

15 partes en peso de un compuesto según la invención son disueltas en xileno adicionando dodecibencenesulfonato de calcio y etoxilato de aceite de ricino (al 5% respectivamente). Diluyendo con agua, se obtiene una emulsión.

D Emulsiones (EW, EO)

40 partes en peso de un compuesto según la invención son disueltas en xileno adicionando dodecibencenesulfonato de calcio y etoxilato de aceite de ricino (al 5% respectivamente). Esta mezcla es introducida en agua por medio de un emulsificante (Ultraturax) y transformada en una emulsión homogénea. Diluyendo con agua, se obtiene una emulsión.

E Suspensiones (SC, OD)

En un molino de bolas se trituran 20 partes en peso de un compuesto según la invención adicionando un dispersante, humectante y agua o un disolvente orgánico, obteniéndose una suspensión fina de ingrediente activo. Diluyendo con agua, se obtiene una suspensión estable del ingrediente activo.

F Granulados dispersables en agua y granulados solubles en agua (WG, SG)

50 partes en peso de un compuesto según la invención son molidas

finamente, adicionando dispersantes y humectantes, y transformadas en granulados dispersables en agua o solubles en agua mediante dispositivos técnicos (por ejemplo, extrusionadora, torre de pulverización, lecho fluidizado). Diluyendo con agua, se obtiene una dispersión o solución estable del ingrediente activo.

G Polvos dispersables en agua y polvos solubles en agua (WP, SP)

75 partes en peso de un compuesto según la invención son molidas en un molino de rotor-estator adicionando dispersante, humectantes y gel de sílice. Diluyendo con agua, se obtiene una dispersión o solución estable con el ingrediente activo.

2. Productos a ser aplicados sin dilución

H Polvos pulverizables (DP)

5 partes en peso de un compuesto según la invención son molidas finamente y mezcladas íntimamente con 95% de un caolín finamente dividido. Se obtiene un polvo pulverizable.

I Granulados (GR, FG, GG, MG)

0.5 partes en peso de un compuesto según la invención son molidas finamente y asociadas con 95.5% de soporte. Métodos actuales son:

extrusión, secado por pulverización y lecho fluidizado. Se obtienen granulados que pueden ser aplicados sin dilución.

J Soluciones de volumen ultrabajo (UL)

10 partes en peso de un compuesto según la invención son disueltas en un disolvente orgánico, por ejemplo, xileno. Se obtiene un producto que puede ser aplicado sin dilución.

Los ingredientes activos pueden ser usados como tales, en forma de sus formulaciones o las formas de aplicación preparadas a partir de las mismas, por ejemplo, como soluciones, polvos, suspensiones o dispersiones, emulsiones, dispersiones de aceite directamente pulverizables, pastas, polvos pulverizables, agente de rociado o de regado. Las formas de aplicación dependen enteramente del fin de aplicación, pero en todo caso hay que asegurar una distribución lo más fina posible del los ingredientes activos según la invención.

Las formas de aplicación acuosas pueden ser preparadas a partir de concentrados de emulsión, pastas o polvos humectables (polvos pulverizables, dispersiones de aceite) adicionando agua. Para preparar emulsiones, pastas o dispersiones de aceite se pueden homogeneizar las sustancias como tales o disueltas en un aceite o disolvente en agua con la ayuda de un humectante, agente adherente, dispersante o emulsionante. Alternativamente, se pueden preparar concentrados compuestos de la

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sustancia activa, humectante, adherente, dispersante o emulsionante, en caso dado, disolvente o aceite, y tales concentrados son apropiados para ser diluidos con agua.

Las concentraciones en ingrediente activo en los productos listos para el uso pueden variar ampliamente. En general, varían de 0.0001 a 10%, preferentemente, de 0.01 a 1%.

Los ingredientes activos también pueden ser usado con éxito en el procedimiento de volumen ultrabajo (ULV), pudiéndose aplicar formulaciones con más del 95% en peso de ingrediente activo, o aún el ingrediente activo sin aditivos.

Se pueden adicionar varios tipos de aceite, humectantes, adyuvantes, herbicidas, fungicidas, u otros pesticidas o bactericidas a los ingredientes activos, en caso dado, recién antes de la aplicación (mezcla de tanque). Estos agentes pueden ser mezclados con los agentes según la invención en una relación ponderal de 1:10 hasta 10:1.

Cuando se usan como fungicidas, las composiciones de la invención pueden estar presentes también junto con otros ingredientes activos, por ejemplo, con herbicidas, insecticidas, reguladores del crecimiento, fungicidas u otros fertilizantes. Cuando se mezclan los compuestos I o las composiciones según la invención como fungicidas con otros fungicidas, entonces se alcanza, frecuentemente, ampliar el espectro de acción.

La siguiente lista de fungicidas con los que se pueden mezclar los compuestos según la invención sirve para ilustrar las combinaciones posibles, pero no debe entenderse como limitativa:

- acilalaninas, tales como benalaxilo, metalaxilo, ofurace, oxadixilo,
- derivados de amina, tales como aldimorf, dodina, dodemorf, fenpropimorf, fenpropidin, guazatina, iminoctadina, spiroxamin, tridemorf
- anilinopirimidinas, tales como pirimetanilo, mepanipirim o cyrodinilo,
- antibióticos, como p.ej. cicloheximida, griseofulvin, kasugamicina, natamicina, polioxina o estreptomicina,
- azoles, como p.ej. bitertanol, bromoconazol, cyproconazol, difenoconazol, dinitroconazol, epoxiconazol, fenbuconazol, fluquiconazol, flusilazol, hexaconazole imazalilo, metconazol, miclobutanilo, penconazol, propiconazol, procloraz, protioconazol, tebuconazol, triadimefon, triadimenol, trifulumizol, triticonazol,
- dicarboximidas, como p.ej. iprodiona, miclozolina, procymidona, vinclozolina,
- ditiocarbamatos, como p.ej. ferbam, nabam, maneb, mancozeb, metam, metiram, propineb, polycarbamate, thiram, ziram, zineb,
- compuestos heterocíclicos, como p.ej. anilacina, benomilo, boscalida, carbendazim, carboxin, oxicarboxin, cyazofamid, dazomet, ditianona, famoxadon, fenamidon, fenarimol, fuberidazole, flutolanilo, furametpir, isoprothiolano, mepronilo, nuarimol,

probenazol, proquinazid, pirifenox, piroquilon, quinoxifen, siltiofam, tiabendazol, thifluzamid, tiofanato-metilo, tiadinilo, tricyclazol, triforina,

- fungicidas de cobre, como p.ej. mezcla de Bordeaux, acetato de cobre, oxiclورو de cobre, sulfato de cobre básico,
- derivados de nitrofenilo, como p.ej. binapacrilo, dinocap, dinobuton, nitroftalisopropilo
- fenilpirroles, como p.ej. fenpiclonilo o fludioxonilo,
- azufre
- otros fungicidas, como p.ej. acibenzolar-S-metilo, bentiavalicarb, carpropamid, clorothalonilo, cyflufenamid, cymoxanilo, dazomet, diclomezin, diclocymet, dietofencarb, edifenphos, etaboxam, fenhexamid, fentin-acetate, fenoxanilo, ferimzone, fluacinam, fosetilo, fosetil-aluminum, iprovalicarb, hexaclorobenzene, metrafenon, pencycuron, propamocarb, phthalide, toloclofos-metilo, quintozene, zoxamid
- estrobilurinas, como p.ej. azoxistrobin, dimoxistrobin, fluoxastrobin, kresoxim-metilo, metominostrobin, oysastrobin, picoxistrobin, piraclostrobin o trifloxistrobin,
- derivados de ácido sulfúrico, como p.ej. captafol, captan, dichlofluanid, folpet, toliifluanid
- cinemamidas y análogos, como p.ej. dimetomorf, flumetover o flumorf.

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Ejemplos de síntesis

Variando en forma correspondiente los compuestos de partida, los protocolos prepresentados en los ejemplos de síntesis siguientes fueron usados para obtener otros compuestos I. Los resultantes compuestos I, junto con los datos físicos están relacionados en la siguiente Tabla I.

Ejemplo 1 Preparación de malonato de dietil(2,3,5-trifluorofenilo)

Acetato de etil-2-(2,3,5-trifluorofenilo) (29 g) fue agregado lentamente a una mezcla de carbonato de dietilo (63 g) e hidruro sódico (9.5 g) en tolueno (350 ml). Después de un reflujo de 3 horas, la mezcla de reacción fue enfriada, tratada con agua helada y lavada con agua. La capa orgánica fue separada, secada y filtrada. El filtrado fue concentrado en vacío, obteniéndose 32 g del compuesto indicado en el título.

Ejemplo 2 Preparación de 5,7-dihidroxi-6-(2,3,5-trifluorofenil)-[1,2,4]-triazolo-[1,5-a]pirimidina

Una mezcla de 3-amino-1,2,4-triazol (14 g), malonato de dietil-(2,3,5-trifluorofenilo) (0.17 moles, obtenidos del Ej. 1) y tributilamina (50 ml) fue calentada a 180°C durante seis horas. La mezcla de reacción fue enfriada a unos 70°C. Después de adicionar solución acuosa de hidróxido sódico (21 g/200 ml H₂O), la mezcla de reacción fue agitada durante 30 minutos. Tras separación de la fase orgánica, la fase acuosa fue extraída

con éter dietílico. La fase acuosa fue acidulada con ácido clorhídrico concentrado. El precipitado fue coleccionado por filtración y secado, obteniéndose 43 g del compuesto del título.

Ejemplo 3 Preparación de 5,7-dicloro-6-(2,3,5-trifluorofenil)-[1,2,4]-triazolo-[1,5-a]pirimidina

Una mezcla de 5,7-dihidroxi-6-(2,3,5-trifluorofenil)-[1,2,4]-triazolo-[1,5-a]pirimidina (30 g, obtenidos del Ej. 2) y oxiclورو fosforoso (50 ml) fue calentada a reflujo durante 8 h. El oxiclورو fosforoso fue destilado parcialmente. El residuo fue introducido en una mezcla de diclorometano y agua. La capa orgánica fue separada, secada y filtrada. El filtrado fue concentrado en el vacío, obteniéndose 26 g del compuesto del título de p.f. 191°C.

Ejemplo 4 Preparación de 5-cloro-6-(2,3,5-trifluorofenil)-7-isopropilamino-[1,2,4]-triazolo[1,5-a]pirimidina [I-2]

Una mezcla de isopropilamina (1.5 mmoles), trietilamina (1.5 mmoles) y diclorometano (10 ml) fue agregada a una mezcla de 5,7-dicloro-6-(2,3,5-trifluorofenil)-[1,2,4]-triazolo[1,5-a]pirimidina (1.5 mmoles, obtenidos del Ej. 3) y diclorometano (20 ml) bajo agitación. La mezcla de reacción fue agitada durante 16 h. a 20 hasta 25°C y lavada con ácido clorhídrico al 5%. La capa orgánica fue separada, secada y filtrada. El filtrado fue evaporado y el residuo fue purificado por cromatografía de

columna, dando 0.42 g del compuesto del título de p.f. 151°C.

Ejemplo 5 Preparación de 5-ciano-6-(2-cloro-4-fluorofenil)-7-(4-metilpiperidin-1-il)-[1,2,4]-tri-azolo[1,5-a]pirimidina

Una mezcla de 5-cloro-6-(2-cloro-4-fluorofenil)-7-(4-metilpiperidin-1-il)-[1,2,4]-triazolo-[1,5-a]-pirimidina (0.1 moles) y cianuro de tetraetilamonio (0.25 moles) en 750 ml de dimetilformamida (DMF) fue agitada durante 16 horas a 20 hasta 25 °C. A esta mezcla se agregó agua y éter metil-terc-butílico (MTBE), se separó la fase orgánica, se lavó con agua, se secó y se filtró. El filtrado fue evaporado y el residuo fue purificado por cromatografía de columna, dando 5.91 g del compuesto del título del p.f. 247°C.

Ejemplo 6 Preparación de 5-metoxi-6-(2-cloro-4-fluorofenil)-7-(4-metilpiperidin-1-il)-[1,2,4]-tri-azolo[1,5-a]pirimidina

A una solución de 5-cloro-6-(2-cloro-4-fluorofenil)-7-(4-metilpiperidin-1-il)-[1,2,4]-triazolo-[1,5-a]-pirimidina (65 mmoles) en 400 ml de metanol seco se agregó una solución de metanolato de sodio (30%, 71.5 mmoles) a 20 hasta 25°C. Esta mezcla fue agitada por 16 horas a 20 hasta 25°C. El metanol fue evaporado y el residuo fue disuelto con diclorometano. La fase orgánica fue lavada con agua, secada y filtrada. El filtrado fue evaporado a presión reducida y el residuo fue purificado por cromatografía de columna, dando 4.52 g del compuesto del título del p.f.

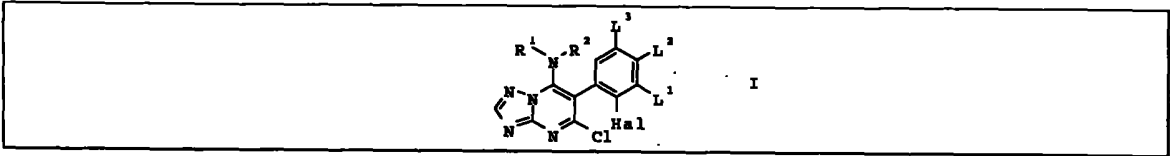
186°C.

Ejemplo 7 Preparación de 5-metil-6-(2-cloro-4-fluorofenil)-7-(4-metilpiperidin-1-il)-[1,2,4]-tri-azolo[1,5-a]pirimidina

Una mezcla de 20 ml malonato de dietilo y NaH (0.27 g de una dispersión al 50% en aceite mineral, 5,65 mmoles) en 50 ml de acetonitrilo fué agitada a 20 hasta 25°C durante unas 2 horas. A esta mezcla se agregó 5-cloro- 6-(2-cloro-4-fluorofenil)-7-(4-metilpiperidin-1-il)-[1,2,4]- triazolo- . . [1,5-a]-pirimidina (4.71 mmoles). La mezcla de reacción fue calentada a 60°C y agitada durante unas 20 horas. Se agregó cloruro de amonio acuoso (50 ml) y se acidificó la mezcla con HCl diluido. La mezcla de reacción fue extraída con MTBE. Las fases orgánicas combinadas fueron secadas y concentradas. El residuo fue purificado por cromatografía de columna.

El producto puro obtenido fue diluido con HCl concentrado y calentado a 80°C durante unas 24 horas. Esta mezcla de reacción fue enfriada y ajustada a pH de 5, adicionando NaOH acuoso, y luego extraída con MTBE. Las fases orgánicas combinadas fueron secadas, concentradas y purificadas por cromatografía de columna, dando 0,78 g del compuesto del título del p.f. 236°C.

Tabla I



No.	R ¹	R ²	Hal	L ¹	L ²	L ³	Datos físicos (p.f.[°C])
I-1	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	F	F	H	F	128
I-2	CH(CH ₃) ₂	H	F	F	H	F	151
I-3	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	F	H	F	171
I-4	ciclopentilo	H	F	F	H	F	111
I-5	CH ₂ CH ₃	CH ₂ CH ₃	F	F	H	F	165
I-6	CH ₂ CH ₂ CH ₃	CH ₂ CH ₂ CH ₃	F	F	H	F	107
I-7	CH(CH ₃) ₂	CH ₃	F	F	H	F	172
I-8	(±) CH(CH ₃)-CH ₂ CH ₃	H	F	F	H	F	99
I-9	(S) CH(CH ₃)-CH ₂ CH ₃	H	F	F	H	F	94
I-10	(R) CH(CH ₃)-CH ₂ CH ₃	H	F	F	H	F	94
I-11	(±) CH(CH ₃)-CH(CH ₃) ₂	H	F	F	H	F	113 / 114

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I-12	(S) CH(CH ₃)-CH(CH ₃) ₂	H	F	F	H	F	108 / 122
I-13	(R) CH(CH ₃)-CH(CH ₃) ₂	H	F	F	H	F	108 / 122
I-14	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	F	H	F	138 / 129
I-15	(S) CH(CH ₃)-C(CH ₃) ₃	H	F	F	H	F	129 / 121
I-16	(R) CH(CH ₃)-C(CH ₃) ₃	H	F	F	H	F	129 / 121
I-17	(±) CH(CH ₃)-CF ₃	H	F	F	H	F	164
I-18	(S) CH(CH ₃)-CF ₃	H	F	F	H	F	147
I-19	(R) CH(CH ₃)-CF ₃	H	F	F	H	F	147
I-20	CH ₂ CF ₃	H	F	F	H	F	161
I-21	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	F	H	F	H	105
I-22	CH(CH ₃) ₂	H	F	H	F	H	159
I-23	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	F	H	208
I-24	(±) CH(CH ₃)-CH ₂ CH ₃	H	F	H	F	H	86
I-25	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	H	F	H	160
I-26	(±) CH(CH ₃)-CF ₃	H	F	H	F	H	151
I-27	(S) CH(CH ₃)-CF ₃	H	F	H	F	H	116
I-28	CH ₂ CF ₃	H	F	H	F	H	181
I-29	CH(CH ₃) ₂	H	F	H	Br	H	83
I-30	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	Br	H	175
I-31	ciclopentilo	H	F	H	Br	H	161

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I-32	CH_2CH_3	CH_2CH_3	F	H	Br	H	142
I-33	$(\pm) \text{CH}(\text{CH}_3)\text{-CH}_2\text{CH}_3$	H	F	H	Br	H	81
I-34	$(\pm) \text{CH}(\text{CH}_3)\text{-C}(\text{CH}_3)_3$	H	F	H	Br	H	196
I-35	$(\pm) \text{CH}(\text{CH}_3)\text{-CF}_3$	H	F	H	Br	H	157
I-36	CH_2CF_3	H	F	H	Br	H	108
I-37	$\text{CH}_2\text{C}(\text{CH}_3)=\text{CH}_2$	CH_2CH_3	F	F	H	H	116
I-38	$\text{CH}(\text{CH}_3)_2$	H	F	F	H	H	138
I-39	$\text{-(CH}_2)_2\text{CH}(\text{CH}_3)(\text{CH}_2)_2\text{-}$		F	F	H	H	208
I-40	ciclopentilo	H	F	F	H	H	65
I-41	CH_2CH_3	CH_2CH_3	F	F	H	H	135
I-42	$(\pm) \text{CH}(\text{CH}_3)\text{-C}(\text{CH}_3)_3$	H	F	F	H	H	140
I-43	$\text{CH}_2\text{C}(\text{CH}_3)=\text{CH}_2$	CH_2CH_3	F	H	H	F	121
I-44	$\text{-(CH}_2)_2\text{CH}(\text{CH}_3)(\text{CH}_2)_2\text{-}$		F	H	H	F	181
I-45	$(\pm) \text{CH}(\text{CH}_3)\text{-CH}_2\text{CH}_3$	H	F	H	H	F	134
I-46	$(\pm) \text{CH}(\text{CH}_3)\text{-C}(\text{CH}_3)_3$	H	F	H	H	F	184
I-47	$\text{CH}_2\text{C}(\text{CH}_3)=\text{CH}_2$	CH_2CH_3	F	H	F	F	138
I-48	$\text{CH}(\text{CH}_3)_2$	H	F	H	F	F	138
I-49	$\text{-(CH}_2)_2\text{CH}(\text{CH}_3)(\text{CH}_2)_2\text{-}$		F	H	F	F	192
I-50	ciclopentilo	H	F	H	F	F	165
I-51	$(\pm) \text{CH}(\text{CH}_3)\text{-C}(\text{CH}_3)_3$	H	F	H	F	F	149

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I-52	(±) CH(CH ₃)-CF ₃	H	F	H	F	F	159
I-53	CH ₂ CF ₃	H	F	H	F	F	178
I-54	CH(CH ₃) ₂	H	F	F	F	H	139
I-55	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	F	F	H	241
I-56	CH ₂ CH ₃	CH ₂ CH ₃	F	F	F	H	152
I-57	(±) CH(CH ₃)-CH ₂ CH ₃	H	F	F	F	H	123
I-58	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	F	F	H	160
I-59	(±) CH(CH ₃)-CF ₃	H	F	F	F	H	157
I-60	CH ₂ CF ₃	H	F	F	F	H	174
I-61	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	NH ₂	H	249
I-62	(±) CH(CH ₃)-CF ₃	H	F	H	NH ₂	H	196
I-63	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	N(CH ₃) ₂	H	143
I-64	(±) CH(CH ₃)-CF ₃	H	F	H	N(CH ₃) ₂	H	147
I-65	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	NHCOCH ₃	H	135
I-66	(±) CH(CH ₃)-CF ₃	H	F	H	NHCOCH ₃	H	147
I-67	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	Br	F	H	F	139
I-68	CH(CH ₃) ₂	H	Br	F	H	F	138
I-69	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		Br	F	H	F	153
I-70	ciclopentilo	H	Br	F	H	F	117
I-71	(±) CH(CH ₃)-CH ₂ CH ₃	H	Br	F	H	F	121

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I-72	(S) CH(CH ₃)-CH ₂ CH ₃	H	Br	F	H	F	133
I-73	(R) CH(CH ₃)-CH ₂ CH ₃	H	Br	F	H	F	133
I-74	(±) CH(CH ₃)-CH(CH ₃) ₂	H	Br	F	H	F	113
I-75	(S) CH(CH ₃)-CH(CH ₃) ₂	H	Br	F	H	F	125
I-76	(R) CH(CH ₃)-CH(CH ₃) ₂	H	Br	F	H	F	125
I-77	(±) CH(CH ₃)-C(CH ₃) ₃	H	Br	F	H	F	119
I-78	(S) CH(CH ₃)-C(CH ₃) ₃	H	Br	F	H	F	130
I-79	(R) CH(CH ₃)-C(CH ₃) ₃	H	Br	F	H	F	130
I-80	(±) CH(CH ₃)-CF ₃	H	Br	F	H	F	65
I-81	(S) CH(CH ₃)-CF ₃	H	Br	F	H	F	78
I-82	(R) CH(CH ₃)-CF ₃	H	Br	F	H	F	78
I-83	(S) CH(CH ₃)-CH ₂ CH ₃	H	F	H	F	H	79
I-84	(R) CH(CH ₃)-CH ₂ CH ₃	H	F	H	F	H	79
I-85	(S) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	F	H	133
I-86	(R) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	F	H	133
I-87	(S) CH(CH ₃)-C(CH ₃) ₃	H	F	H	F	H	161
I-88	(R) CH(CH ₃)-C(CH ₃) ₃	H	F	H	F	H	161
I-89	(R) CH(CH ₃)-CF ₃	H	F	H	F	H	116
I-90	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	F	H	Cl	H	123
I-91	(±) CH(CH ₃)-CH ₂ CH ₃	H	F	H	Cl	H	110

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I-92	(S) CH(CH ₃)-CH ₂ CH ₃	H	F	H	Cl	H	99
I-93	(R) CH(CH ₃)-CH ₂ CH ₃	H	F	H	Cl	H	99
I-94	(±) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	Cl	H	141
I-95	(S) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	Cl	H	131
I-96	(R) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	Cl	H	131
I-97	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	H	Cl	H	191
I-98	(S) CH(CH ₃)-C(CH ₃) ₃	H	F	H	Cl	H	186
I-99	(R) CH(CH ₃)-C(CH ₃) ₃	H	F	H	Cl	H	185
I-100	(±) CH(CH ₃)-CF ₃	H	F	H	Cl	H	162
I-101	(S) CH(CH ₃)-CF ₃	H	F	H	Cl	H	162
I-102	(R) CH(CH ₃)-CF ₃	H	F	H	Cl	H	162
I-103	CH ₂ CF ₃	H	F	H	Cl	H	146
I-104	C(CH ₃)CH(CH ₃) ₂	H	F	H	F	H	130
I-105	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	F	H	CF ₃	H	140
I-106	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	CF ₃	H	177
I-107	(±) CH(CH ₃)-CH ₂ CH ₃	H	F	H	CF ₃	H	137
I-108	(S) CH(CH ₃)-CH ₂ CH ₃	H	F	H	CF ₃	H	128
I-109	(R) CH(CH ₃)-CH ₂ CH ₃	H	F	H	CF ₃	H	128
I-110	(±) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	CF ₃	H	150
I-111	(S) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	CF ₃	H	143

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I-112	(R) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	CF ₃	H	143
I-113	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	H	CF ₃	H	193
I-114	(S) CH(CH ₃)-C(CH ₃) ₃	H	F	H	CF ₃	H	195
I-115	(R) CH(CH ₃)-C(CH ₃) ₃	H	F	H	CF ₃	H	194
I-116	(±) CH(CH ₃)-CF ₃	H	F	H	CF ₃	H	167
I-117	(S) CH(CH ₃)-CF ₃	H	F	H	CF ₃	H	135
I-118	(R) CH(CH ₃)-CF ₃	H	F	H	CF ₃	H	135
I-119	CH ₂ CF ₃	H	F	H	CF ₃	H	143
I-120	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	F	H	H	CH ₃	121
I-121	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	H	CH ₃	141
I-122	(±) CH(CH ₃)-CH ₂ CH ₃	H	F	H	H	CH ₃	134
I-123	(S) CH(CH ₃)-CH ₂ CH ₃	H	F	H	H	CH ₃	131
I-124	(R) CH(CH ₃)-CH ₂ CH ₃	H	F	H	H	CH ₃	131
I-125	(±) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	H	CH ₃	158
I-126	(S) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	H	CH ₃	159
I-127	(R) CH(CH ₃)-CH(CH ₃) ₂	H	F	H	H	CH ₃	159
I-128	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	H	H	CH ₃	181
I-129	(S) CH(CH ₃)-C(CH ₃) ₃	H	F	H	H	CH ₃	171
I-130	(R) CH(CH ₃)-C(CH ₃) ₃	H	F	H	H	CH ₃	171

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I-131	(±) CH(CH ₃)-CF ₃	H	F	H	H	CH ₃	170
I-132	(S) CH(CH ₃)-CF ₃	H	F	H	H	CH ₃	140
I-133	(R) CH(CH ₃)-CF ₃	H	F	H	H	CH ₃	140
I-134	CH ₂ CF ₃	H	F	H	H	CH ₃	185
I-135	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	Cl	H	F	H	128
I-136	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		Cl	H	F	H	124
I-137	(±) CH(CH ₃)-CH ₂ CH ₃	H	Cl	H	F	H	155
I-138	(S) CH(CH ₃)-CH ₂ CH ₃	H	Cl	H	F	H	130
I-139	(R) CH(CH ₃)-CH ₂ CH ₃	H	Cl	H	F	H	131
I-140	(±) CH(CH ₃)-CH(CH ₃) ₂	H	Cl	H	F	H	121
I-141	(S) CH(CH ₃)-CH(CH ₃) ₂	H	Cl	H	F	H	108
I-142	(R) CH(CH ₃)-CH(CH ₃) ₂	H	Cl	H	F	H	109
I-143	(±) CH(CH ₃)-C(CH ₃) ₃	H	Cl	H	F	H	156
I-144	(S) CH(CH ₃)-C(CH ₃) ₃	H	Cl	H	F	H	153
I-145	(R) CH(CH ₃)-C(CH ₃) ₃	H	Cl	H	F	H	153
I-146	(±) CH(CH ₃)-CF ₃	H	Cl	H	F	H	194
I-147	(S) CH(CH ₃)-CF ₃	H	Cl	H	F	H	155
I-148	(R) CH(CH ₃)-CF ₃	H	Cl	H	F	H	155
I-149	CH ₂ CF ₃	H	Cl	H	F	H	176

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I-150	$-(CH_2)_2CH(CH_3)(CH_2)_2-$		F	H	Cl	H	187
I-151	$(\pm) CH(CH_3)-CH_2CH_3$	H	F	F	H	H	133
I-152	$(S) CH(CH_3)-CH_2CH_3$	H	F	F	H	H	137
I-153	$(R) CH(CH_3)-CH_2CH_3$	H	F	F	H	H	137
I-154	$(\pm) CH(CH_3)-CH(CH_3)_2$	H	F	F	H	H	135
I-155	$(S) CH(CH_3)-CH(CH_3)_2$	H	F	F	H	H	124
I-156	$(R) CH(CH_3)-CH(CH_3)_2$	H	F	F	H	H	124
I-157	$(S) CH(CH_3)-C(CH_3)_3$	H	F	F	H	H	142
I-158	$(R) CH(CH_3)-C(CH_3)_3$	H	F	F	H	H	142
I-159	$(\pm) CH(CH_3)-CF_3$	H	F	F	H	H	187
I-160	$(S) CH(CH_3)-CF_3$	H	F	F	H	H	149
I-161	$(R) CH(CH_3)-CF_3$	H	F	F	H	H	149
I-162	CH_2CF_3	H	F	F	H	H	186
I-163	$(S) CH(CH_3)-CH_2CH_3$	H	F	H	H	F	131
I-164	$(R) CH(CH_3)-CH_2CH_3$	H	F	H	H	F	131
I-165	$(\pm) CH(CH_3)-CH(CH_3)_2$	H	F	H	H	F	159
I-166	$(S) CH(CH_3)-CH(CH_3)_2$	H	F	H	H	F	162
I-167	$(R) CH(CH_3)-CH(CH_3)_2$	H	F	H	H	F	162
I-168	$(S) CH(CH_3)-C(CH_3)_3$	H	F	H	H	F	180

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I-169	(R) CH(CH ₃)-C(CH ₃) ₃	H	F	H	H	F	180
I-170	(±) CH(CH ₃)-CF ₃	H	F	H	H	F	63
I-171	(S) CH(CH ₃)-CF ₃	H	F	H	H	F	59
I-172	(R) CH(CH ₃)-CF ₃	H	F	H	H	F	59
I-173	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	F	H	NO ₂	H	170
I-174	CH(CH ₃) ₂	H	F	H	NO ₂	H	169
I-175	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		F	H	NO ₂	H	231
I-176	ciclopentilo	H	F	H	NO ₂	H	201
I-177	(±) CH(CH ₃)-C(CH ₃) ₃	H	F	H	NO ₂	H	165
I-178	(±) CH(CH ₃)-CF ₃	H	F	H	NO ₂	H	241
I-179	CH ₂ CF ₃	H	F	H	NO ₂	H	237
I-180	CH ₂ C(CH ₃)=CH ₂	CH ₂ CH ₃	Cl	H	NO ₂	H	166
I-181	-(CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ -		Cl	H	NO ₂	H	204

En algunos casos de grupos quirales R¹ y debido a la rotación inhibida del grupo fenilo, pueden existir dos diastereómeros, que pueden diferenciarse en sus propiedades físicas.

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Ejemplos de la acción contra hongos nocivos

La acción fungicida de los compuestos de la fórmula I puede ser demostrada en los siguientes experimentos:

Los ingredientes activos se usaron separada o conjuntamente para preparar una solución madre, que comprende 0.25% en peso del ingrediente activo en acetona o DMSO. Se adicionó 1% en peso del emulsionante Uniperol® EL (humectante de efecto emulsionante y dispersante con base en alquifenoles etoxilados) a esta solución, y la mezcla fue diluida con agua a la concentración deseada.

Ejemplo de uso 1 - Control fungicida de la marchitez temprana de tomates (*Alternaria solani*)

Las hojas de plantas de tomate crecidas en macetas de la variedad: "Große Fleischtomate St. Pierre" fueron pulverizadas con una suspensión acuosa, que contiene el compuesto activo en la concentración abajo mencionada. El día siguiente, se infectaron las hohas con una suspensión de zoosporas de *Alternaria solani* (0.17×10^6 esporas por ml de una solución de biomalta al 2%). Entonces se colocaron las plantas en una cámara saturada con vapor de agua a 20 hasta 22°C. Después de 5 días, la enfermedad se había extendida en un grado tal sobre las plantas no tratadas, que se podía evaluar la actividad fungicida de las sustancias.

En este ensayo, las plantas que se habían tratado con 250 ppm respectivamente de los compuestos I-2, I-4, I-8, I-17, I-20, I-21, I-25, I-28, I-90, I-91, I-94, I-97, y I-101, presentaban una infección de, como máximo, un 7%, mientras que las plantas no tratadas estaban infectadas en un 90%.

Ejemplo de uso 2 - Control de la podredumbre (*Botrytis cinerea*) en las hojas de pimientos

Plántulas de pimiento fueron pulverizadas hasta chorrear en el estadio de las cuatro a cinco hojas con una suspensión acuosa, que contiene la concentración en ingrediente activo abajo indicada. El día siguiente se inocularon las plantas con una suspensión de esporas de *Botrytis cinerea*, que contiene 1.7×10^6 esporas por ml en solución acuosa de biomalta al 2% en peso. Las plantas infectadas se incubaron, luego, durante cinco días a 22-24°C en cámaras con alta humedad. La extensión del desarrollo del hongo fue evaluado en %, con respecto a la superficie total de la hoja.

En este ensayo, las plantas que se habían tratado con 250 ppm de los compuestos I-2, I-4, I-5, I-8, I-17, y I-20, respectivamente, presentaban una infección de, como máximo, un 5 %, mientras que las plantas no tratadas estaban infectadas en un 85 %.

Ejemplo de uso 3 - Control fungicida de mildiu de la vidia (*Plasmopara viticola*)

Las hojas de vid crecida en macetas de la variedad "Müller Thurgau"

fueron pulverizadas con caldos acuosos preparados de una solución madre, que contiene la concentración en ingrediente activo abajo indicada. El día siguiente se inocularon las hojas con una suspensión acuosa de esporas de *Plasmopara viticola*, pulverizando la suspensión sobre la cara reversa de la hoja. Luego se colocaron las plantas de ensayo para 48 h en una cámara húmeda de aprox. 24°C y una humedad relativa de cerca de un 100%. A continuación, se cultivaron durante un período de 5 días en un invernadero a 20 hasta 30°C. Para estimular el desarrollo de síntomas de enfermedad, se colocaron las plantas otra vez para 16 horas en una cámara húmeda. Entonces se evaluó visualmente la extensión del ataque en la superficie de la hoja en por cien del área de la hoja infectada.

En este ensayo, las plantas que se habían tratado con 250 ppm de los compuestos I-2, I-4, I-8, I-17, y I-20, respectivamente, presentaban una infección de, como máximo, 15 %, mientras que las plantas no tratadas estaban infectadas en un 95%.

Ejemplo de uso 4 - Acción contra *Pyricularia oryzae* (acción protectora)

Las hojas de plántulas de arroz crecidas en macetas de la variedad "Tai-Nong 67" fueron pulverizadas hasta chorrear con una suspensión acuosa, que contiene la concentración en ingrediente activo abajo indicada. El día siguiente se inocularon las plantas con una suspensión acuosa de esporas de *Pyricularia oryzae*. Luego se colocaron las plantas para 6 días en una cámara húmeda a 22 hasta 24°C y una humedad relativa de un 95

hasta 99 %. La extensión del desarrollo del hongo fue evaluada como % de ataque, con respecto a la superficie total de la hoja.

En este ensayo, las plantas que se habían tratado con 250 ppm de los compuestos I-2, I-4, I-5, y I-20, respectivamente, presentaban una infección de, como máximo, 15%, mientras que las plantas no tratadas estaban infectadas en un 80%.

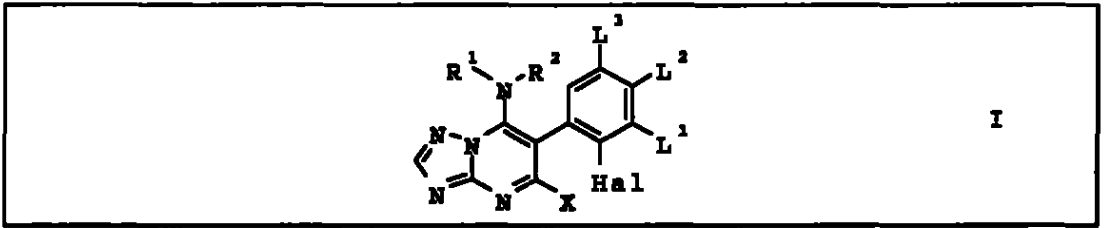
Ejemplo de uso 5 - Control de la mancha reticular de la cebada causada por *Pyrenophora teres*

Las hojas de plántulas de cebada crecida en macetas de la variedad "Igrí" fueron pulverizadas hasta chorrear con una suspensión acuosa, que contiene la concentración en ingrediente activo abajo mencionada. El día siguiente, se inocularon las plantas tratadas con una suspensión acuosa de esporas de *Pyrenophora* [syn. *Drechslera*] *teres*. A continuación, se colocaron las plantas de ensayo inmediatamente en una cámara húmeda en el invernadero. Después de un cultivo de 6 días a 20-24°C y una humedad relativa de cerca de 100%, la extensión del ataque fungicida sobre las hojas fue evaluada visualmente en % del área de hoja infectada.

En este ensayo, las plantas que se habían tratado con 250 ppm de los compuestos I-21, I-25, I-28, I-43, I-45, I-91, I-94, y I-97, respectivamente, presentaban una infección de, como máximo, 10%, mientras que las plantas no tratadas estaban infectadas en un 90%.

REIVINDICACIONES

1. 6-(2-Halógenofenil)-triazolopirimidinas sustituidas caracterizadas porque tienen la fórmula I



en la que

R¹ significa alquilo-C₁-C₁₀, alquenilo-C₂-C₁₀, alquinilo-C₂-C₁₀, o alcadienilo-C₄-C₁₀, haloalquilo-C₁-C₁₀, haloalquenilo-C₂-C₁₀, cicloalquilo-C₃-C₁₀, fenilo, naftilo, o

un heterociclo saturado, no saturado o aromático de 5 ó 6 miembros, que contiene de uno a cuatro átomos de nitrógeno o de uno a tres átomos de nitrógeno y un átomo de azufre o de oxígeno,

en donde los radicales R¹ y R² pueden estar no sustituidos o parcial o completamente halogenados o pueden llevar de uno a tres grupos R^a,

R^a es ciano, nitro, hidroxilo, alquilo- C_1-C_6 , cicloalquilo- C_3-C_6 , alcoxi- C_1-C_6 , alquiltio- C_1-C_6 , alquilamino- C_1-C_6 , alquilamino-di- C_1-C_6 , alquenilo- C_2-C_6 , alqueniloxi- C_2-C_6 , alquinilo- C_2-C_6 , alquiniloxi- C_3-C_6 , o alquilenodioxo- C_1-C_4 ;

R^2 significa hidrógeno, o un grupo mencionado para R^1 ; o

R^1 y R^2 junto con el átomo de nitrógeno interyacente representan un heterociclo saturado o parcialmente insaturado de 5 ó 6 miembros, que contiene de uno a cuatro átomos de nitrógeno o de uno a tres átomos de nitrógeno y un átomo de azufre o de oxígeno, cuyo anillo puede estar sustituido por uno a tres radicales R^a ;

Hal es halógeno;

L^1, L^3 significan, independientemente, hidrógeno, halógeno, o alquilo- C_1-C_4 ;

L^2 es hidrógeno, halógeno, haloalquilo- C_1-C_4 , o NH_2 , NHR^b , o $N(R^b)_2$,

R^b es alquilo- C_1-C_8 , alquenilo- C_3-C_{10} , alquinilo- C_3-C_{10} , haloalquilo- C_1-C_6 , haloalquenilo- C_3-C_6 , haloalquinilo-

C₃-C₆, alcoxi-C₁-C₈-alquilo-C₁-C₈, alquiltio-C₁-C₈-alquilo-C₁-C₈, cicloalquilo-C₃-C₁₀, o C(=O)-A, en donde

A es hidrógeno, hidroxí, alquilo-C₁-C₈, alcoxi-C₁-C₈, halógenoalcoxi-C₁-C₆, alquilamino-C₁-C₈ o di-(alquil-C₁-C₈)amino;

en donde por lo menos uno de los radicales L¹, L², y L³ no es hidrógeno;

X es halógeno, ciano, alquilo-C₁-C₆, alcoxi-C₁-C₆, haloalcoxi-C₁-C₆ o alquenilo-C₃-C₈.

2. Compuestos de la fórmula I según la reivindicación 1, **caracterizados** porque

R¹ es alquenilo-C₂-C₆, alquilo-C₁-C₆, o haloalquilo-C₁-C₁₀ de cadena lineal o ramificados, y

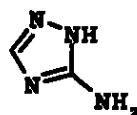
R² es hidrógeno o alquilo-C₁-C₆, o

R¹ y R² representan junto con el átomo de nitrógeno interyacente un anillo heterocíclico con 5 ó 6 átomos de carbono, opcionalmente sustituido por uno o dos grupos alquilo con 1 a

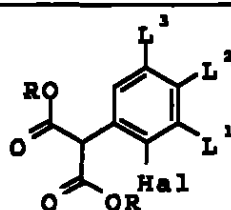
4 átomos de carbono.

3. Compuestos según cualquiera de las reivindicaciones 1 ó 2, **caracterizados** porque R^1 y R^2 representan junto con el átomo de nitrógeno interyacente un anillo heterocíclico de 5 ó 6 miembros, opcionalmente sustituido por uno o dos grupos metilo.
4. Compuestos de la fórmula I según cualquiera de las reivindicaciones 1 a 3, **caracterizados** porque X es halógeno.
5. Compuestos de la fórmula I según las reivindicaciones 1 a 4, **caracterizados** porque los grupos 6-(2-halógenofenilo) son uno de los siguientes:

2,3,5-trifluorofenilo, 2,4-difluorofenilo, 2-F,4-CF₃-fenilo, 2-F,5-CH₃-fenilo, 2-Cl,4-F-fenilo, 2-F,4-Cl-fenilo, 2-F,4-Br-fenilo, 2-Cl,4-Br-fenilo, 2,3-difluorofenilo, 2,4-difluorofenilo, 2,4,5-trifluorofenilo, 2,3,4-trifluorofenilo, 2-F,4-NH₂-fenilo, 2-F,4-N(CH₃)₂-fenilo, 2-F,4-NHC(O)CH₃-fenilo, 2-Br,3,5-difluorofenilo, 2-F,4-NO₂-fenilo, and 2-Cl,4-NO₂-fenilo.
6. Procedimiento para la preparación de compuestos de la fórmula I tal y como están definidos en la reivindicación 4 y la reivindicación 5, **caracterizado** porque se hace reaccionar 5-amino-1,2,4-triazoles

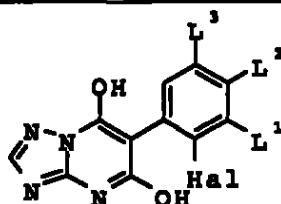


con un éster de ácido malónico sustituido por 2-fenilo de la fórmula II,



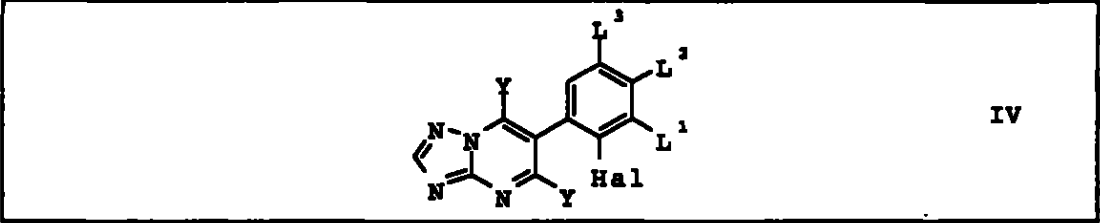
II

en donde Hal, L^1 , L^2 , y L^3 tienen las definiciones indicadas para la fórmula I, y R significa alquilo con 1 a 6 átomos de carbono, bajo condiciones alcalinas, dando compuestos de la fórmula III,

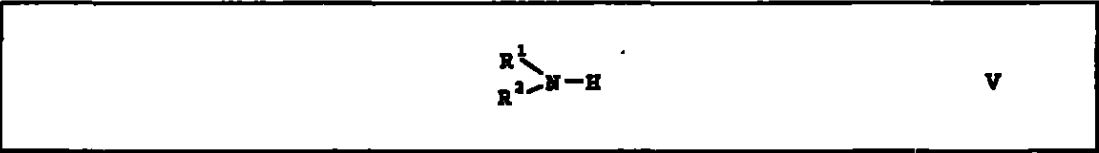


III

se tratan estos, subsiguientemente, con un agente halogenante, dando 5,7-dihalógeno-6-fenil-triazolopirimidinas de la fórmula IV

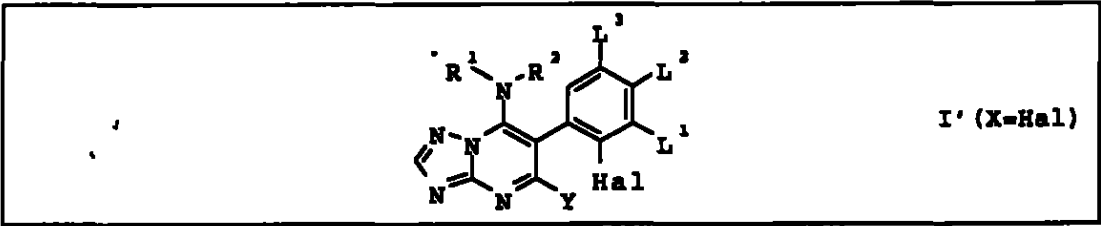


en la que Y es halógeno, se transforman estas últimas con una amina de la fórmula V



en la que R¹ y R² tienen las definiciones indicadas para la fórmula I, dando los compuestos de la fórmula I.

7. Procedimiento para la preparación de compuestos de la fórmula I según la reivindicación 1, caracterizado porque X es ciano, alcoxi-C₁-C₁₀ o halógenoalquilo-C₁-C₁₀, en donde se hace reaccionar una 5-halógeno-triazolopirimidina de la fórmula I',



en la que Y es halógeno, con compuestos de la fórmula VI,

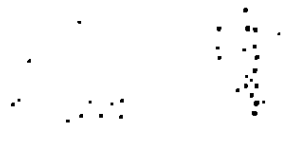
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$M-X'$	VI
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que son, dependiendo del valor de X' a ser introducido, una sal de ciano inorgánica, un alcoxilato, haloalcoxilato o un alqueniloxilato, respectivamente, donde M es un catión de amonio, de tetraalquilamonio, de metal alcalino o de metal alcalinotérreo, dando compuestos de la fórmula I.

- 8. Productos intermedios caracterizados porque tienen las fórmulas II, III, y IV tal y como están definidos en la reivindicación 6.
- 9. Composición apropiada para controlar hongos fitopatógenos, caracterizado porque comprende un soporte sólido o líquido y un compuesto de la fórmula I según la reivindicación 1.
- 10. Método para controlar hongos fitopatógenos, caracterizado porque comprende tratar los hongos o los materiales, plantas, el suelo o las semillas a proteger frente al ataque por los hongos, con una cantidad efectiva de un compuesto de la fórmula I según la reivindicación 1.

BUENOS AIRES, NOVIEMBRE DE 2003.

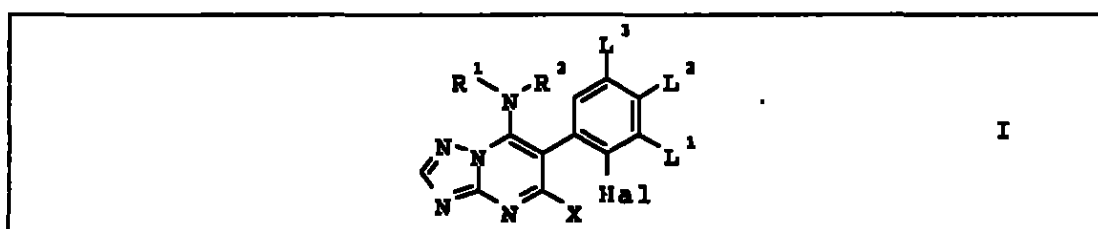


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RESUMEN

6-(2-HALÓGENOFENIL)-TRIAZOLOPIRIMIDINAS SUSTITUIDAS

6-(2-Halógenofenil)-triazolopirimidinas sustituidas de la fórmula I



en la que

R^1 significa alquilo- C_1-C_{10} , alqueno- C_2-C_{10} , alquino- C_2-C_{10} , o alcadieno- C_4-C_{10} , haloalquilo- C_1-C_{10} , haloalqueno- C_2-C_{10} , cicloalquilo- C_3-C_{10} , fenilo, naftilo, o

un heterociclo saturado, no saturado o aromático de 5 ó 6 miembros, que contiene de uno a cuatro átomos de nitrógeno o de uno a tres átomos de nitrógeno y un átomo de azufre o de oxígeno,

en donde los radicales R^1 y R^2 pueden estar no sustituidos o parcial o completamente halogenados o pueden llevar de uno a tres grupos R^a ,

R^a es ciano, nitro, hidroxilo, alquilo- C_1-C_6 , cicloalquilo- C_3-C_6 , alcoxi- C_1-C_6 , alquiltio- C_1-C_6 , alquilamino- C_1-C_6 , alquilamino-di- C_1-C_6 , alqueno- C_2-C_6 , alquenilo- C_2-C_6 , alquinoxio- C_2-C_6 , alquino- C_2-C_6 , alquinoxio- C_3-C_6 o alquilenodioxio- C_1-C_4 ;

R^2 significa hidrógeno, o un grupo mencionado para R^1 ; o

R^1 y R^2 junto con el átomo de nitrógeno interyacente representan un heterociclo saturado o parcialmente insaturado de 5 ó 6 miembros, que contiene de uno a cuatro átomos de nitrógeno o de uno a tres átomos de nitrógeno y un átomo de azufre o de oxígeno, cuyo anillo puede estar sustituido por uno a tres radicales R^a ;

Hal es halógeno;

L^1, L^3 significan, independientemente, hidrógeno, halógeno, o alquilo- C_1-C_4 ;

L^2 es hidrógeno, halógeno, haloalquilo- C_1-C_4 , o NH_2 , NHR^b , o $N(R^b)_2$,

R^b es alquilo- C_1-C_6 , alquenilo- C_3-C_{10} , alquimilo- C_3-C_{10} , haloalquilo- C_1-C_6 , haloalquenilo- C_3-C_6 , haloalquimilo- C_3-C_6 , alcoxi- C_1-C_8 , alquilo- C_1-C_6 , alquiltio- C_1-C_8 , alquilo- C_1-C_6 , cicloalquilo- C_3-C_{10} , o $C(=O)-A$, en donde

A es hidrógeno, hidroxi, alquilo- C_1-C_8 , alcoxi- C_1-C_8 , halógenoalcoxi- C_1-C_6 , alquilamino- C_1-C_8 o di-(alquil- C_1-C_8)amino;

en donde por lo menos uno de los radicales L^1 , L^2 , y L^3 no es hidrógeno;

X es halógeno, ciano, alquilo- C_1-C_6 , alcoxi- C_1-C_6 , haloalcoxi- C_1-C_6 o alqueniloxi- C_3-C_8 .

Procedimientos e intermediarios para su obtención, compuestos que las contienen y sus uso para combinar hongos fitopatógenos.

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SUPERINTENDENCIA DE INDUSTRIA Y COMERCIO

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***** CONSIGNACION *****

DEPOSITANTE	TIPO PAGO	BANCO	CUENTA	No. PAGO	FECHA PBO	Vr. PAGO
CAVELIER ABOGADOS	CONSIGNACION	BANCO POPULAR	050-00110-6	40114852	26/03/2005	26,490,000.00

***** C O N C E P T O *****

CANT.	RENTISTICO	CONCEPTO	TOTAL CONCEPTO
1	50005-01-01 SOLICITUDES	1 TRAMITES DE SOL. DE PATENTE DE IN	443,000.00
		TOTAL :	\$ 443,000.00

SON: CUATROCIENTOS CUARENTA Y TRES MIL PESOS

RESPONSABLE : _____

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